L Number	Hits	Search Text	DB	Time stamp
1	9923	544/238, 544/296, 544/295, 544/319, 544/333, 544/363, 544/180, 544/237, 544/353, 544/354, 544/356, 544/284, 514/256, 514/259, 514/253.06, 514/253.07, 514/249, 514/263.21, 514/241	USPAT	2002/09/25 16:27
2	272	(544/238, 544/296, 544/295, 544/319, 544/333, 544/363, 544/180, 544/237, 544/353, 544/354, 544/356, 544/284, 514/256, 514/259, 514/253.06, 514/253.07, 514/249, 514/263.21, 514/241) and quinoline?	USPAT	2002/09/25 16:27

n=1
m=1

Enter NEWS followed by the item number or name to see news on that specific topic.

No answer

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:21:22 ON 25 SEP 2002

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:21:30 ON 25 SEP 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 SEP 2002 HIGHEST RN 454646-45-8
DICTIONARY FILE UPDATES: 24 SEP 2002 HIGHEST RN 454646-45-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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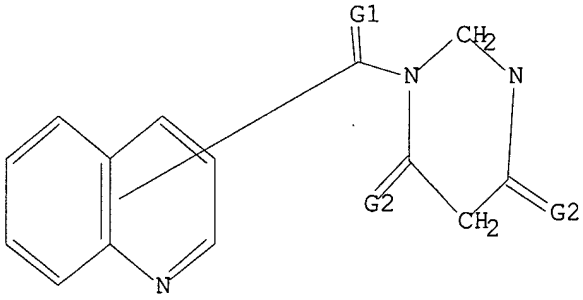
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S

G2 H,O,S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -      9 TO ITERATE

100.0% PROCESSED       9 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:22:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    253 TO ITERATE

100.0% PROCESSED     253 ITERATIONS                               0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   140.28     140.49

STN INTERNATIONAL LOGOFF AT 15:22:09 ON 25 SEP 2002
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FILE COVERS 1907 - 25 Sep 2002 VOL 137 ISS 13
FILE LAST UPDATED: 24 Sep 2002 (20020924/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3
L4 74 L3

=> s l4 and quinoline?
L5 45 L4 AND QUINOLINE?

=> d ibib abs hitstr tot

L5 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:538102 CAPLUS
DOCUMENT NUMBER: 137:93771
TITLE: Preparation of piperazinocarbonyl(iso) **quinolines** as 5-HT2A receptor antagonists
INVENTOR(S): Boettcher, Henning; Bartoszyk, Gerd; Harting, Juergen;
Van Amsterdam, Christoph; Seyfried, Christoph
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10102053	A1	20020718	DE 2001-10102053	20010117
WO 2002057256	A1	20020725	WO 2001-EP15311	20011224

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2001-10102053 A 20010117

OTHER SOURCE(S): MARPAT 137:93771

AB R2COIZZ1R1 (Z = piperazine-1,4-diyl)[I; R1 = (un)substituted Ph, -naphthyl, -heteroaryl; R2 = (un)substituted (iso)quinolyl; Z1 = alkylene]

were prepd. Thus, isoquinoline-1-carboxylic acid was amidated by HZCH2CH2C6H4F-4 to give I (R1 = C6H4F-4, R2 = 1-isoquinolyl, Z1 = CH2CH2).

Data for biol. activity of I were given.

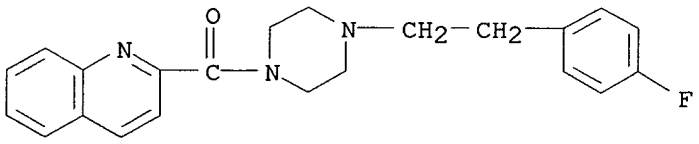
IT **442520-23-2P 442520-24-3P 442520-27-6P 442520-28-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazinocarbonyl(iso)**quinolines** as 5-HT2A receptor antagonists)

RN 442520-23-2 CAPLUS

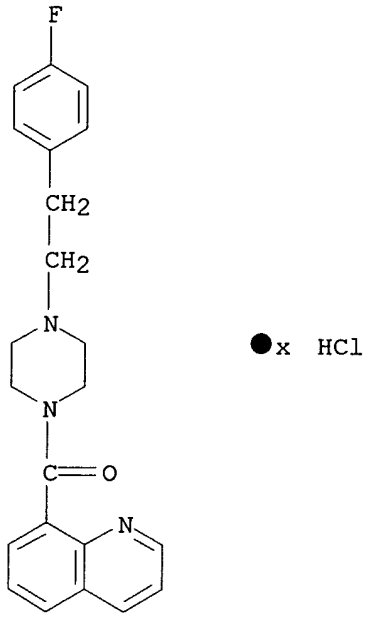
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(2-quinolinylcarbonyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

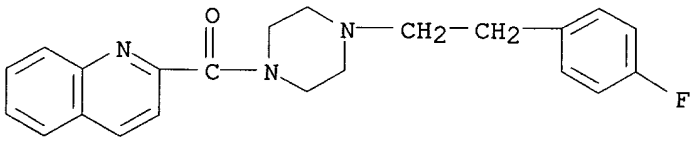
RN 442520-24-3 CAPLUS

CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(8-quinolinylcarbonyl)-, hydrochloride (9CI) (CA INDEX NAME)

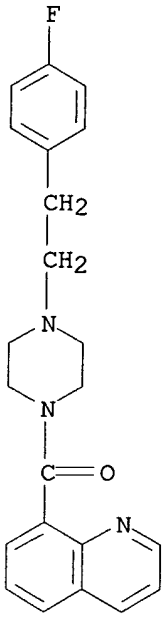


● x HCl

RN 442520-27-6 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 442520-28-7 CAPLUS
CN Piperazine, 1-[2-(4-fluorophenyl)ethyl]-4-(8-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:312037 CAPLUS
DOCUMENT NUMBER: 136:325436
TITLE: Preparation of quinolinylindoles as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Chopra, Ian
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: U.S., 167 pp., Cont. of U.S. Ser. No. 639,622.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6376670	B1	20020423	US 2000-658690	20000908
US 6207679	B1	20010327	US 1998-45051	19980319
US 6172084	B1	20010109	US 1998-99640	19980618
US 6103905	A	20000815	US 1998-213385	19981211

PRIORITY APPLN. INFO.:
US 1997-878781 B2 19970619
US 1998-45051 A2 19980319
US 1998-99640 A2 19980618
US 1998-213385 A1 19981211
US 2000-639622 A2 20000815

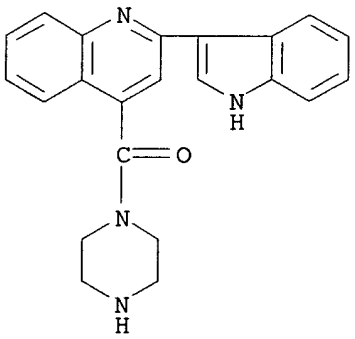
OTHER SOURCE(S): MARPAT 136:325436
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

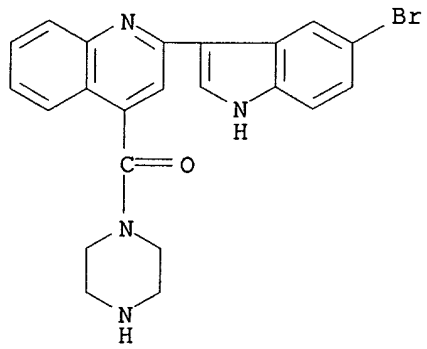
AB The title compds. [I; Z = CO, CR2; R = H, alkyl; R5-R8, R14-R17 = H, halo, alkyl, etc.; R9, R10 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl; R11 = H, alkyl; R12 = H, alkyl] which are bactericidal to a Gram-pos. bacterium via a non-lytic mechanism at its MIC (data given), were prepd. E.g., a multi-step synthesis of II, was given.

IT **210698-12-7P 218463-01-5P 218463-13-9P 218463-16-2P 218463-17-3P 218463-19-5P 218463-32-2P 218463-41-3P 218463-49-1P 218463-50-4P 218463-51-5P 218463-52-6P 218463-53-7P 218463-54-8P 218463-55-9P 218463-56-0P 218464-15-4P 275357-17-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of quinolinylindole derivs. as antimicrobial agents)

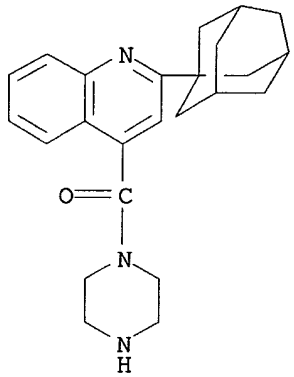
RN 210698-12-7 CAPLUS
CN Piperazine, 1-[[2-(1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



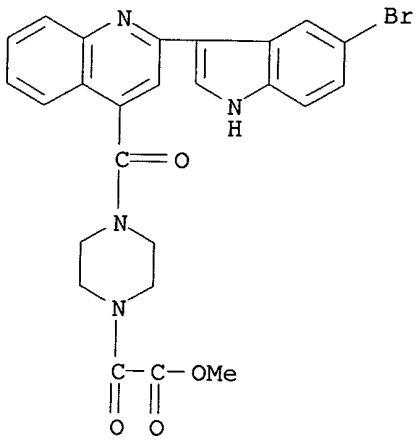
RN 218463-01-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



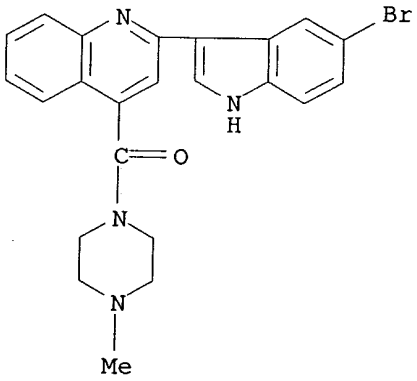
RN 218463-13-9 CAPLUS
CN Piperazine, 1-[(2-tricyclo[3.3.1.13,7]dec-1-yl-4-quinolinyl)carbonyl]-
(9CI) (CA INDEX NAME)



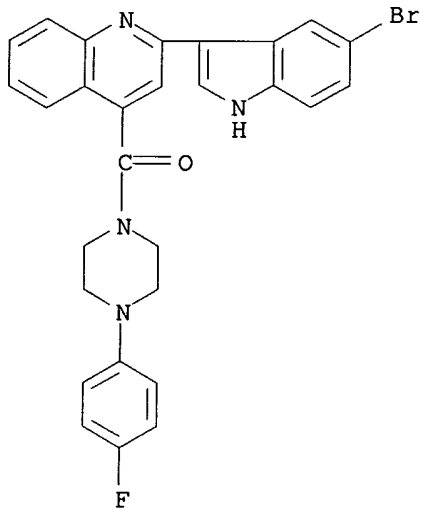
RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-
quinolinyl]carbonyl]-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)



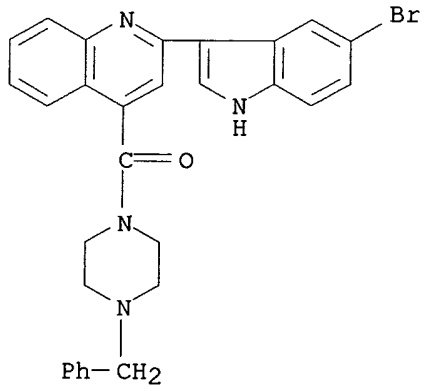
RN 218463-17-3 CAPLUS
CN Piperazine,
1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl-
(9CI) (CA INDEX NAME)



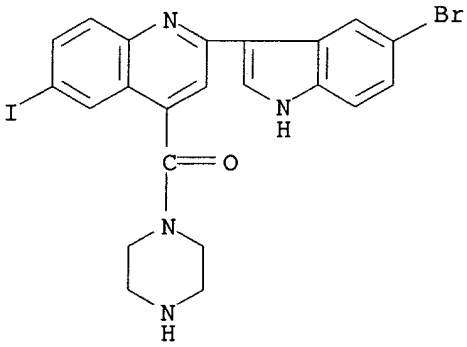
RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-
fluorophenyl)- (9CI) (CA INDEX NAME)



RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

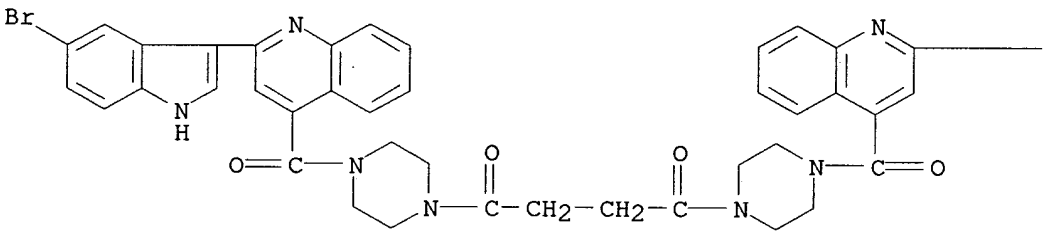


RN 218463-41-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-iodo-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

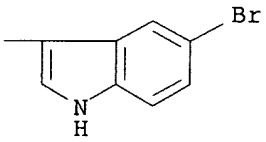


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

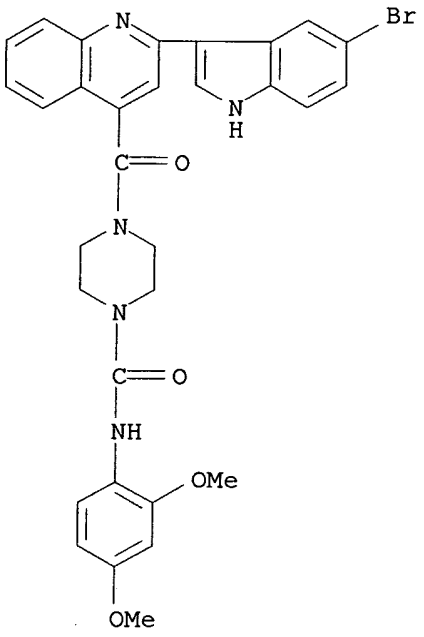
PAGE 1-A



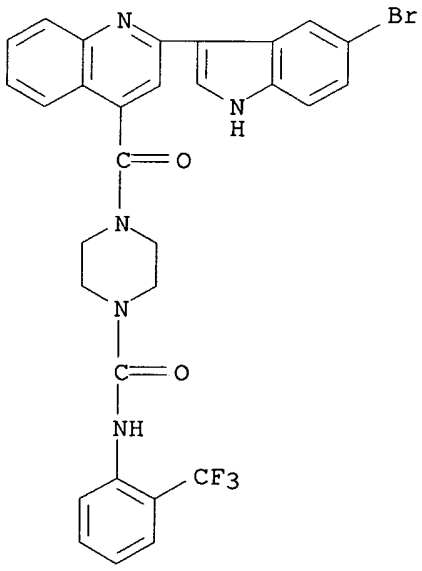
PAGE 1-B



RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

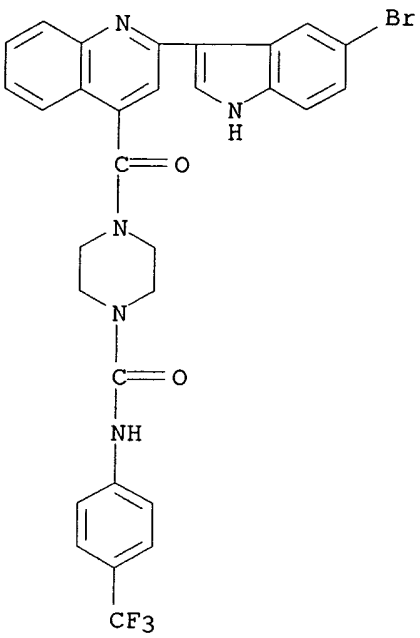


RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

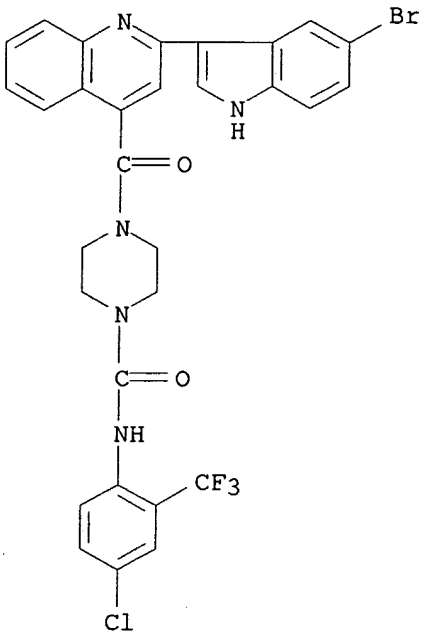


RN 218463-52-6 CAPLUS

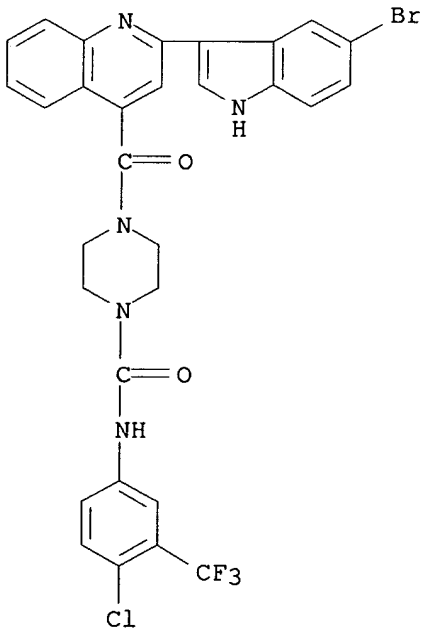
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



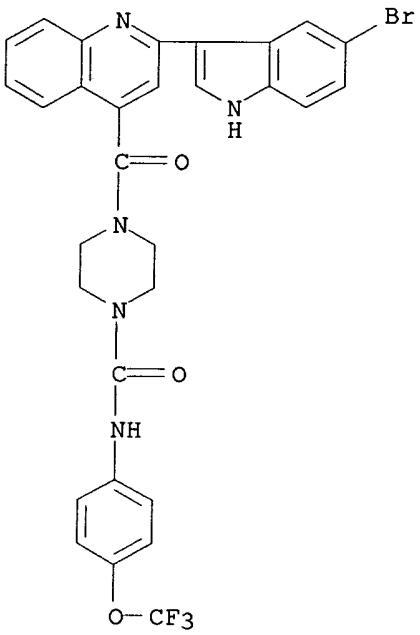
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



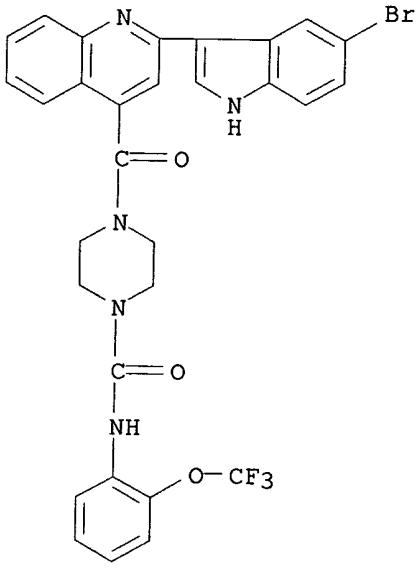
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



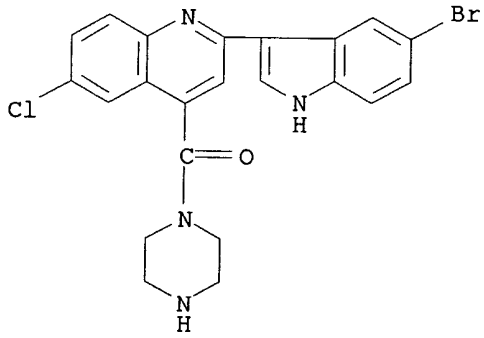
RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



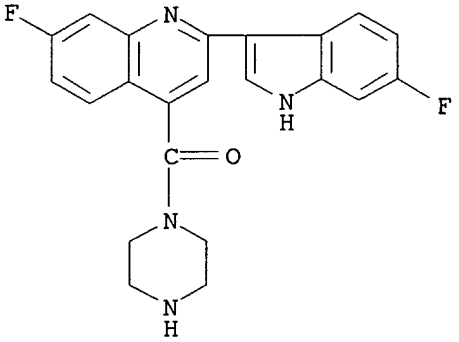
RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218464-15-4 CAPLUS
CN Piperazine,
1-[[2-(5-bromo-1H-indol-3-yl)-6-chloro-4-quinolinyl]carbonyl]-
(9CI) (CA INDEX NAME)



RN 275357-17-0 CAPLUS
CN Piperazine,
1-[[7-fluoro-2-(6-fluoro-1H-indol-3-yl)-4-quinolinyl]carbonyl]-
(9CI) (CA INDEX NAME)

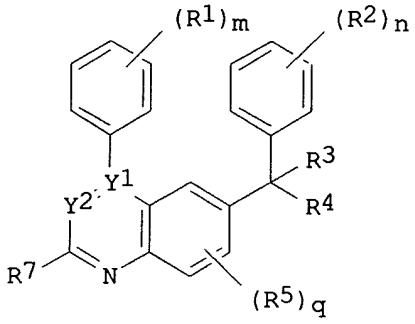


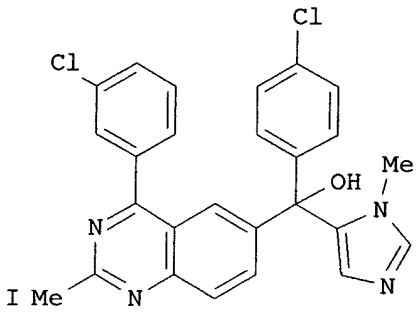
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 3 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:240759 CAPLUS
DOCUMENT NUMBER: 136:279469
TITLE: Preparation of **quinoline** and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Pilatte, Isabelle Noeelle Constance
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024682	A1	20020328	WO 2001-EP10867	20010918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001093826	A5	20020402	AU 2001-93826	20010918

PRIORITY APPLN. INFO.: EP 2000-203365 A 20000925
EP 2000-2000203365A 20000925
WO 2001-EP10867 W 20010918
OTHER SOURCE(S): MARPAT 136:279469
GI



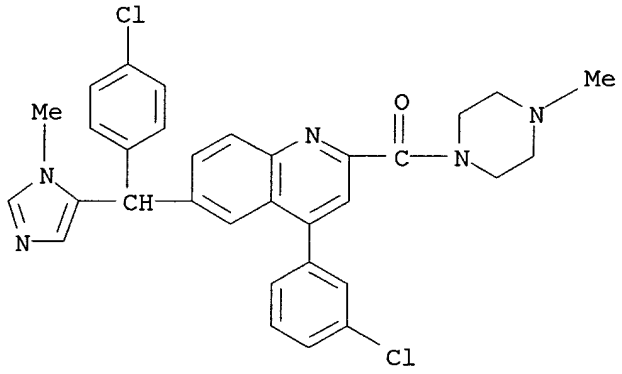


II

AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N or C:CR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2= independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or R1R2 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R7 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, N-[2-(3-chlorobenzoyl)-4-(4-chlorobenzoyl)phenyl]acetamide was cyclized with NH3 in i-PrOH to give (4-chlorophenyl)[4-(3-chlorophenyl)-2-methyl-6-quinazolinyl]methanone (36%). Addn. of 1-methyl-1H-imidazole in the presence of BuLi and SiEt3Cl in THF afforded II (40%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

IT **405549-30-6P**, 1-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-**quinolinecarbonyl**]-4-methylpiperazine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(farnesyl transferase inhibitor; prepn. of **quinoline** and quinazoline derivs. as farnesyl transferase inhibitors for treatment of

tumors and proliferative diseases)
RN 405549-30-6 CAPLUS
CN Piperazine, 1-[[4-(3-chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



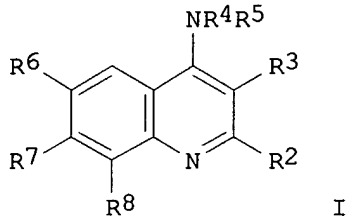
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 4 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:185086 CAPLUS
DOCUMENT NUMBER: 136:247505
TITLE: Preparation of aminoquinolines as inhibitors of cGMP phosphodiesterase
INVENTOR(S): Bi, Yingzhi; Yu, Guixue; Rotella, David P.; Macor, John E.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020489	A2	20020314	WO 2001-US26130	20010821
WO 2002020489	A3	20020606		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2000-230267P P 20000906
OTHER SOURCE(S): MARPAT 136:247505
GI



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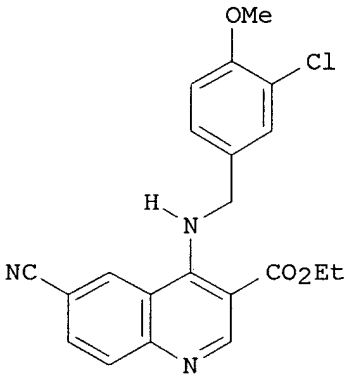


II

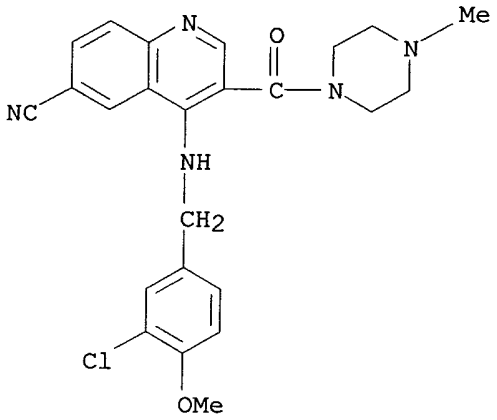
AB Title compds. I [R2, R6, R7, and R8 = independently H, halo, (un)substituted alkyl, alkoxy, nitro, etc.; R4 and R5 = independently H, (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl with provision R4 and R5 are not both H; R3 = (CH2)zY, wherein z = 0-3 and Y is independently selected from (un)substituted imidazole, triazole, OR9, CO2R9, CH(CO2R9)2, NR10R11, NR10CONR11R12, etc.; or R4 and R5 together with Y form a heterocyclic ring; R9 = H, OH, (un)substituted alkyl, alkoxy, aryl, heteroaryl, etc.; R10, R11 and R12 = independently H, (un)substituted alkyl, alkoxy, cycloalkyl, heterocyclo, heteroaryl, etc.; or R10 forms a 3-7 membered heterocyclo ring with R11 or R12, or R11 forms a 3-7 membered ring with R12] are prepd. and disclosed as inhibitors of cGMP PDE, esp. type 5. Thus, II was prepd. via substitution of 4-chloro-6-cyanoquinoline-3-carboxylic acid Et ester with 3-chloro-4-methoxybenzylamine hydrochloride (97% yield). As inhibitors of cGMP phosphodiesterase, I are useful in treatment of cardiovascular disorders, diabetes, gastrointestinal disorders and sexual dysfunction, in

particular erectile dysfunction (no data).

IT **403839-27-0P 403839-34-9P 403839-35-0P**
403839-36-1P 403839-42-9P 403839-43-0P
403839-44-1P 403839-45-2P 403839-46-3P
403839-47-4P 403839-49-6P 403840-15-3P
403840-16-4P 403840-17-5P 403840-18-6P
403840-20-0P 403840-21-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of aminoquinolines as inhibitors of cGMP phosphodiesterase)

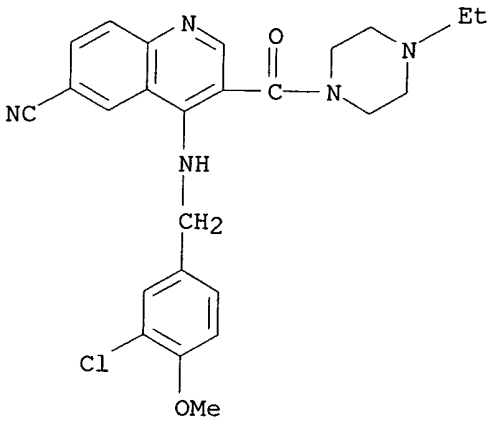
RN 403839-27-0 CAPLUS

CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

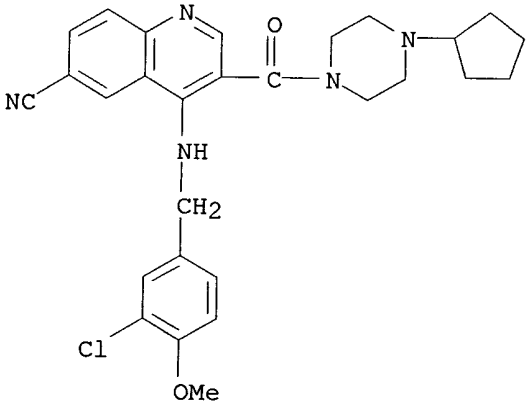


RN 403839-34-9 CAPLUS

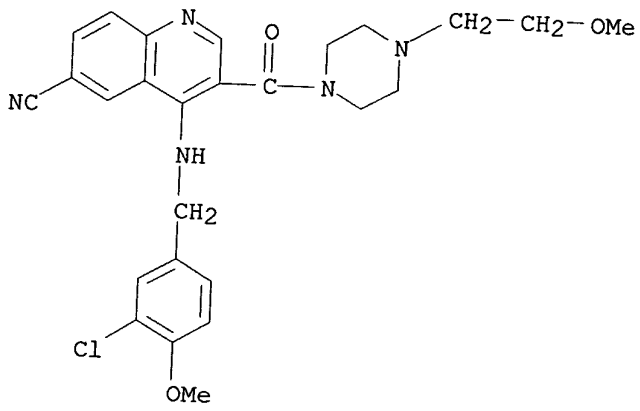
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)



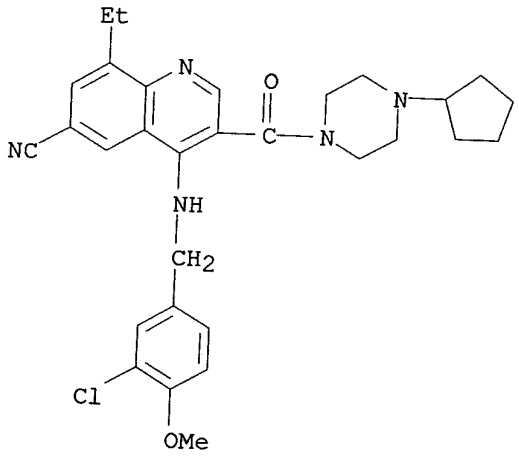
RN 403839-35-0 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-cyclopentyl- (9CI) (CA INDEX NAME)



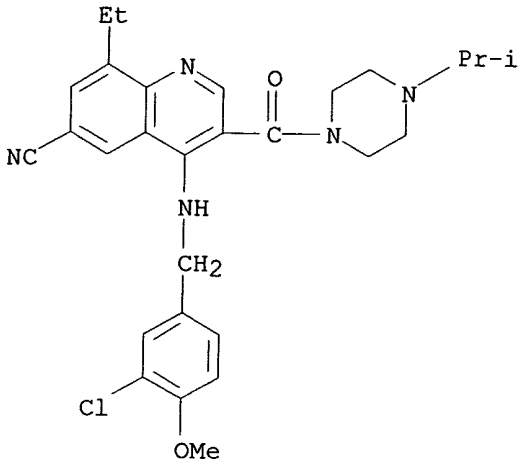
RN 403839-36-1 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



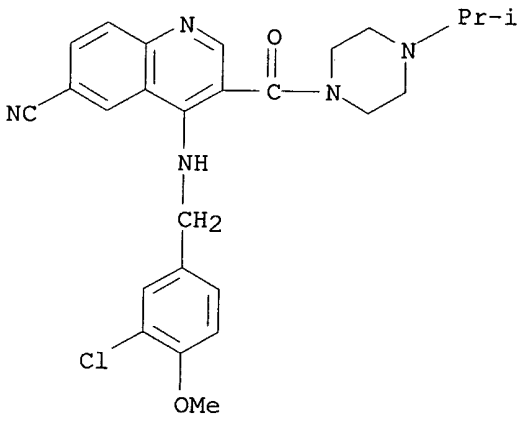
RN 403839-42-9 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-8-ethyl-3-quinolinyl]carbonyl]-4-cyclopentyl- (9CI) (CA INDEX NAME)



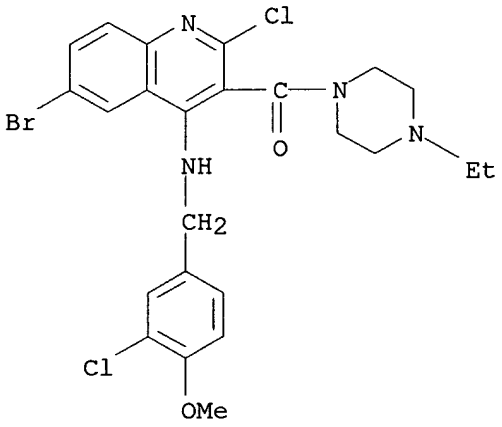
RN 403839-43-0 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-8-ethyl-3-quinolinyl]carbonyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



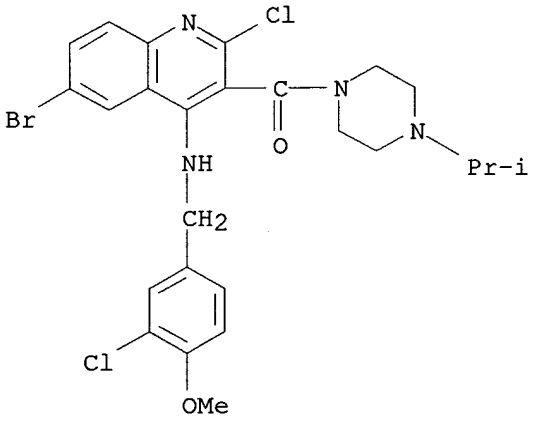
RN 403839-44-1 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



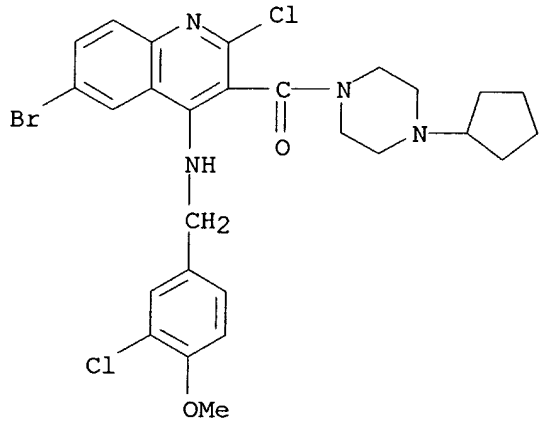
RN 403839-45-2 CAPLUS
CN Piperazine, 1-[[6-bromo-2-chloro-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-quinolinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)



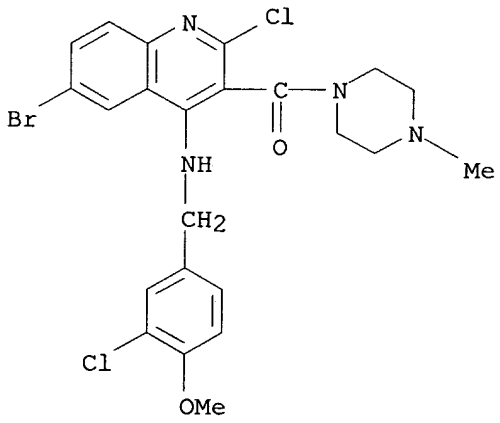
RN 403839-46-3 CAPLUS
CN Piperazine, 1-[[6-bromo-2-chloro-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-quinolinyl]carbonyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



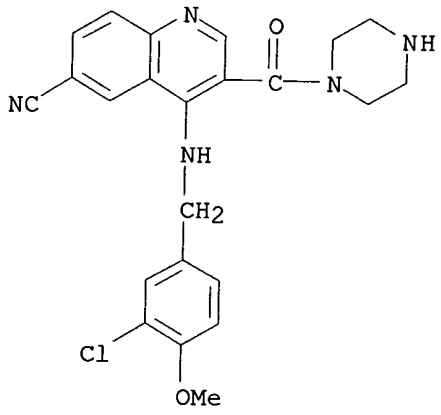
RN 403839-47-4 CAPLUS
CN Piperazine, 1-[[6-bromo-2-chloro-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-quinolinyl]carbonyl]-4-cyclopentyl- (9CI) (CA INDEX NAME)



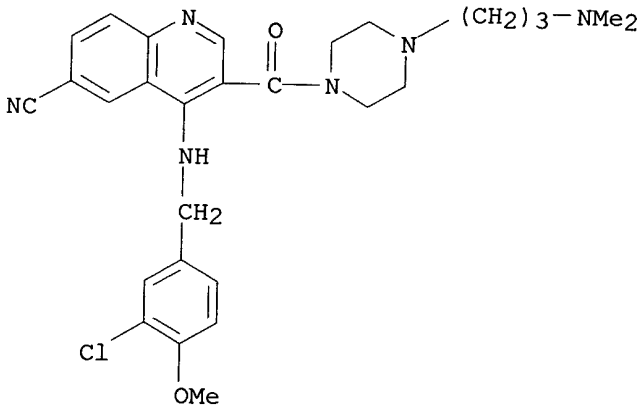
RN 403839-49-6 CAPLUS
CN Piperazine, 1-[[6-bromo-2-chloro-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



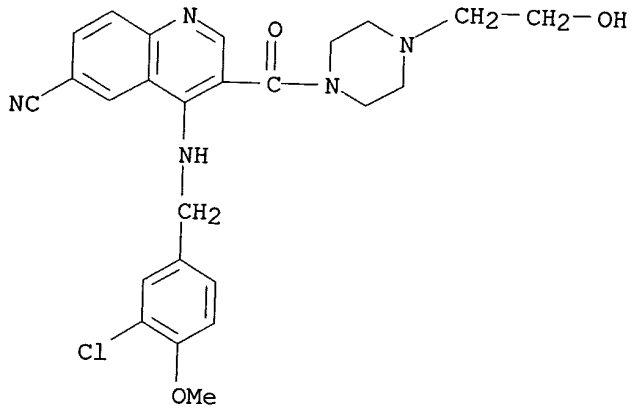
RN 403840-15-3 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



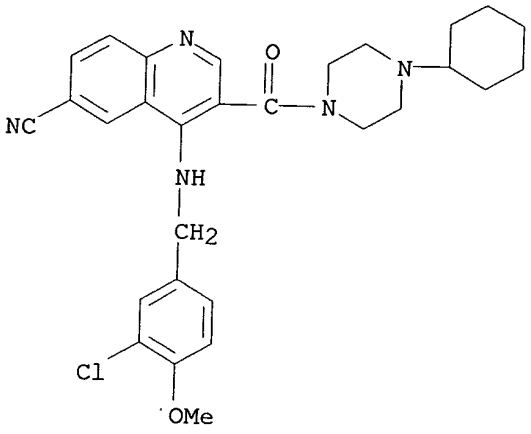
RN 403840-16-4 CAPLUS
CN 1-Piperazinepropanamine,
4-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-
cyano-3-quinolinyl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



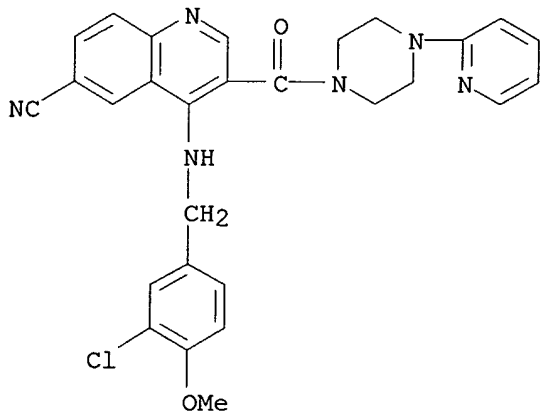
RN 403840-17-5 CAPLUS
CN 1-Piperazineethanol, 4-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-
cyano-3-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



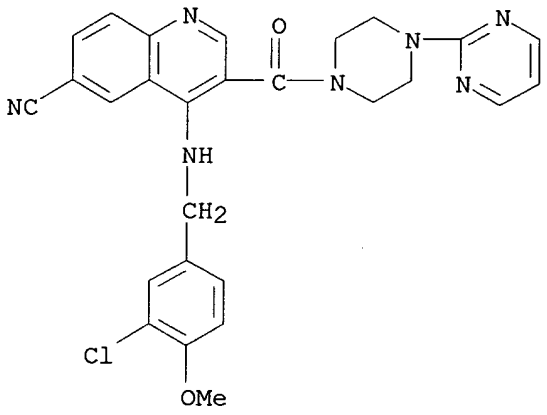
RN 403840-18-6 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-cyclohexyl- (9CI) (CA INDEX NAME)



RN 403840-20-0 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 403840-21-1 CAPLUS
CN Piperazine, 1-[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-3-quinolinyl]carbonyl]-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107312 CAPLUS
DOCUMENT NUMBER: 136:167389
TITLE: Preparation of pyrrole, indole, thiophene, pyrazole, imidazole, and isothiazole derivatives as inhibitors of transforming growth factor-beta (TGF-.beta.)
INVENTOR(S): Tokunaga, Teruhisa; Hume, William Ewan; Kitoh, Makoto;
Nagata, Ryu
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010131	A1	20020207	WO 2001-JP6495	20010727

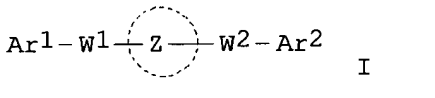
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-229423 A 20000728

OTHER SOURCE(S): MARPAT 136:167389

GI



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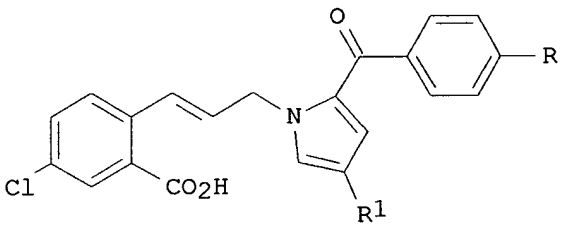


III

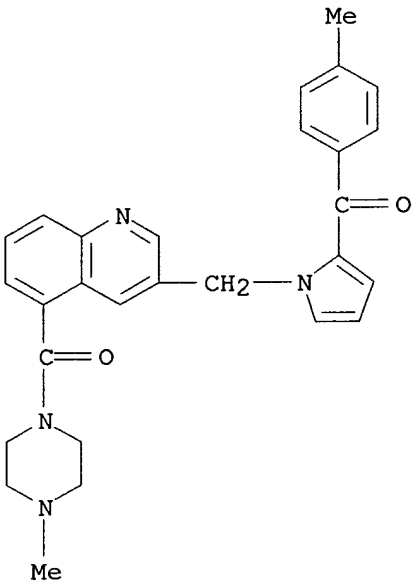
AB The title compds. represented by the following formula (I) or pharmaceutically acceptable salts of these [wherein ring Z represents an optionally substituted pyrrole, indole, thiophene, pyrazole, benzene, imidazole, or isothiazole; W2 represents CO, SO2, CONR (R = H, alkyl), optionally substituted C1-4 alkylene or C2-4 alkenylene; Ar2 represents optionally substituted aryl or heteroaryl; and W1 and Ar1 mean the following: (1) W1 represents optionally substituted C1-4 alkylene or C2-4 alkenylene, Ar1 represents bicyclic heteroaryl having one to four N atoms or (2) W1 represents optionally substituted C2-5 alkylene, C2-5 alkenylene, C2-5 alkynylene, or -Y-W3 (wherein Y = O or cycloalkanediyl; W3 = optionally substituted C1-5 alkylene, C2-5 alkenylene, or C2-5 alkynylene), Ar represents optionally substituted aryl or monocyclic heteroaryl substituted at ortho or meta position by CO2H, alkoxycarbonyl, optionally alkyl-substituted carbamoyl, cyclic aminocarbonyl, alkylsulfonylcarbonyl, arylsulfonylcarbonyl, alkylsulfonyl, etc.] or prodrugs or pharmacol. acceptable salts thereof are prepd. These compds. are useful as fibroid inhibitors for organs or tissues. Thus, bromination

of 3-(4-chloro-2-methoxycarbonylphenyl)-2-propenol (prepn. given) by N-bromosuccinimide and PPh3 in CH2Cl2 at 0.degree. for 10 min gave 3-(4-chloro-2-methoxycarbonylphenyl)-2-propenyl bromide (II). A THF soln. of 2-(4-methylbenzoyl)pyrrole was added dropwise to a suspension of NaH in THF and the resulting soln. was slowly added dropwise to a THF soln. of II at 55.degree. and stirred for 2 h to give 2-[3-[2-(4-methylbenzoyl)-1-pyrrolyl]-1-propen-1-yl]-5-chlorobenzoic acid Me ester which was sapond. with aq. NaOH in methanol and acidified with aq. HCl to give III (R = Me, R1 = H). In a kidney fibroid model using a rat Thy-1 nephritis model, administration of III.Na (R = Me, R1 = H) at 15 mg/kg and Thy-1 (one of surface antigens of thymocyte) to rats lowered the level of hydroxyproline (fibroid index) in kidney compared to the control group administered only with Thy-1. III.Na (R = 2-morpholinoethoxy, R1 = Me) at 3 .mu.M in vitro inhibited the TGF-.beta.-induced prodn. of proteoglycan in MRK-49F rat fibroblast cells by 99%.

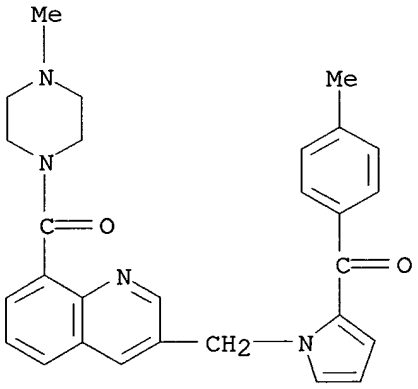
IT **397323-18-1P**, 5-[(4-Methylpiperazin-1-yl)carbonyl]-3-[[2-(4-methylbenzoyl)pyrrol-1-yl]methyl]**quinoline** **397323-62-5P**, 8-((4-Methylpiperazin-1-yl)carbonyl)-3-[[2-(4-methylbenzoyl)pyrrol-1-yl]methyl]**quinoline**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrole, indole, thiophene, pyrazole, imidazole, and isothiazole derivs. as inhibitors of transforming growth factor-.beta. and fibroid inhibitors for organs or tissues)

RN 397323-18-1 CAPLUS

CN Piperazine, 1-methyl-4-[[3-[[2-(4-methylbenzoyl)-1H-pyrrol-1-yl]methyl]-5-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 397323-62-5 CAPLUS
CN Piperazine,
1-methyl-4-[[3-[[2-(4-methylbenzoyl)-1H-pyrrol-1-yl]methyl]-8-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

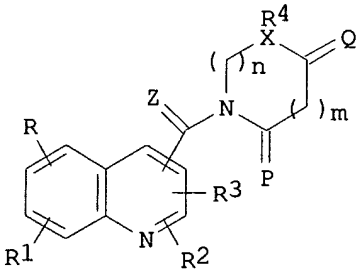
FORMAT

L5 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:90012 CAPLUS
DOCUMENT NUMBER: 136:134790
TITLE: Preparation of quinolylcarbonylpiperazines and related

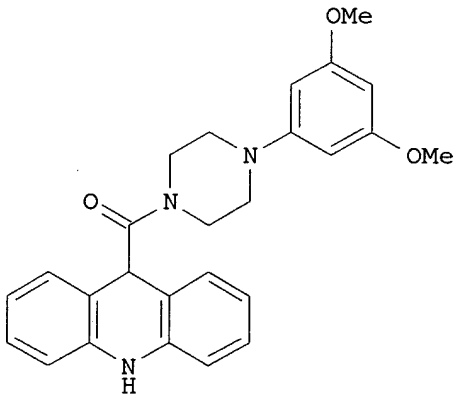
compounds for treatment of tumors.
INVENTOR(S): Emig, Peter; Guenther, Eckhard; Schmidt, Juergen; Nickel, Bernd; Kutscher, Bernhard
PATENT ASSIGNEE(S): Zentaris A.-G., Germany
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008192	A1	20020131	WO 2001-EP8261	20010718
W: AU, BG, BR, BY, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10035928	A1	20020307	DE 2000-10035928	20000721
US 2002103214	A1	20020801	US 2001-910141	20010720

PRIORITY APPLN. INFO.: DE 2000-10035928 A 20000721
OTHER SOURCE(S): MARPAT 136:134790
GI



I



II

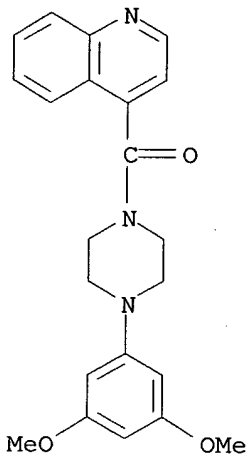
AB Title compds. [I; R-R3 = H, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, halo, aralkoxy, NO2, amino, cyano, CO2H, CF3, etc.; RR1, R2R3 = atoms to form condensed 6-membered arom. rings; Z = O, S; X = N, CR5; R5 = H, alkyl; R4 = (substituted) (unsatd.) alkyl, aryl, aralkyl, etc.; P, Q = O, H2; m, n = 0-3], were prepd. Thus, **quinoline**-4-carboxylic acid in DMF was treated with N-methylmorpholine, Py-BOP (1-benzotriazolyltripyrrolidinophosphoniumhexafluorophosphate), and 1-(3,5-dimethoxyphenyl)piperazine in DMF. The mixt. was stirred 12 h to

give 78.3% 1-(3,5-dimethoxyphenyl)-4-(4-quinolylcarbonyl)piperazine. Title compd. (II) (D-43411) showed antiproliferative activity with IC50 <0.0003 .mu.g/mL against SKOV-3 tumor cells.

IT **393111-09-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolylcarbonylpiperazines for treatment of tumors)

RN 393111-09-6 CAPLUS

CN Piperazine, 1-(3,5-dimethoxyphenyl)-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 45 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:10442 CAPLUS

DOCUMENT NUMBER: 136:85762

TITLE: New aryl-, quinolyl-, and other heterocyclyl-containing amino alcohol derivatives useful as .beta.3 adrenergic receptor agonists

INVENTOR(S): Kayakiri, Hiroshi; Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Fujii, Naoaki; Taniguchi, Kiyoshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000622	A2	20020103	WO 2001-JP5425	20010625

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001074613	A5	20020108	AU 2001-74613	20010625

PRIORITY APPLN. INFO.:	AU 2000-8413	A	20000627
	WO 2001-JP5425	W	20010625

OTHER SOURCE(S): MARPAT 136:85762

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

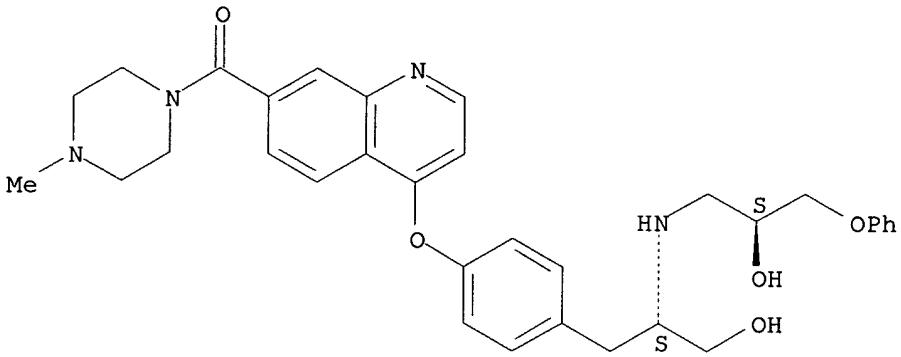
AB The invention relates to compds. I [wherein: X1 = bond or OCH2; X2 = (CH2)1-2; X3 = bond, O, or NH; R1 = (un)substituted Ph, indolyl, or carbazolyl [substituents = 1 or 2 of OH, halo, NO2, amino, formyl, (lower)alkylsulfonylamino, aryl(lower)alkoxy, and hydroxy(lower)alkyl]; R2 = H or aryl(lower)alkyl; R3 = H or hydroxy(lower)alkyl; R4 = (un)substituted aryl, 4-quinolyl, phthalazinyl, quinazolinyl, cinnolinyl, or naphthyridinyl; with provisos], or their pharmaceutically acceptable salts. The compds. are .beta.3 adrenergic receptor agonists, and therefore have gut sympathomimetic, antiulcer, anti-pancreatitis, lipolytic, and smooth muscle relaxant activities. In particular, I and salts are useful for the prophylactic and/or the therapeutic treatment of pollakiuria or urinary incontinence. Sixty precursor prepns. and 63 invention examples, including well over 200 invention compds., are provided. For example, the structure of claimed compd. II is typical. Another invention compd., phthalazine deriv. III, was prepd. from 4-((2S)-2-amino-3-hydroxypropyl)phenol HCl, benzaldehyde, (2S)-3-phenoxy-1,2-epoxypropane, and 1-chlorophthalazine, in 4 steps. III at 0.32 mg/kg (intraduodenal) in beagle dogs gave 35.9% inhibition of carbachol-induced increase in intravesical pressure.

IT **386208-52-2P**, (2S)-2-[N-((2S)-2-Hydroxy-3-phenoxypropyl)amino]-3-[4-[[7-[(4-methyl-1-piperazinyl)carbonyl]-4-quinolyl]oxy]phenyl]propan-1-ol **386208-53-3P**, (2S)-2-[N-((2S)-2-Hydroxy-3-phenoxypropyl)amino]-3-[4-[[7-(1-piperazinylcarbonyl)-4-quinolyl]oxy]phenyl]propan-1-ol **386209-78-5P**, (2S)-2-[N-Benzyl-N-((2S)-2-hydroxy-3-phenoxypropyl)amino]-3-[4-[[7-[(4-methyl-1-piperazinyl)carbonyl]-4-quinolyl]-oxy]phenyl]propan-1-ol **386209-79-6P**, (2S)-2-[N-Benzyl-N-((2S)-2-hydroxy-3-

phenoxypropyl)amino]-3-[4-[[7-[(4-benzyl-1-piperazinyl)carbonyl]-4-quinolyl]oxy]phenyl]propan-1-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of aryl- and quinolyl-contg. amino alcs. and analogs as .beta.3-adrenergic receptor agonists)

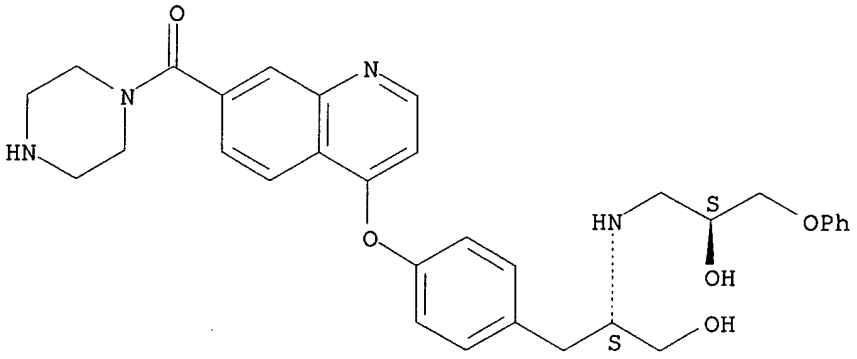
RN 386208-52-2 CAPLUS
CN Piperazine, 1-[[4-[4-[(2S)-3-hydroxy-2-[[(2S)-2-hydroxy-3-phenoxypropyl]amino]propyl]phenoxy]-7-quinolinyl]carbonyl]-4-methyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 386208-53-3 CAPLUS
CN Piperazine, 1-[[4-[4-[(2S)-3-hydroxy-2-[[(2S)-2-hydroxy-3-phenoxypropyl]amino]propyl]phenoxy]-7-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

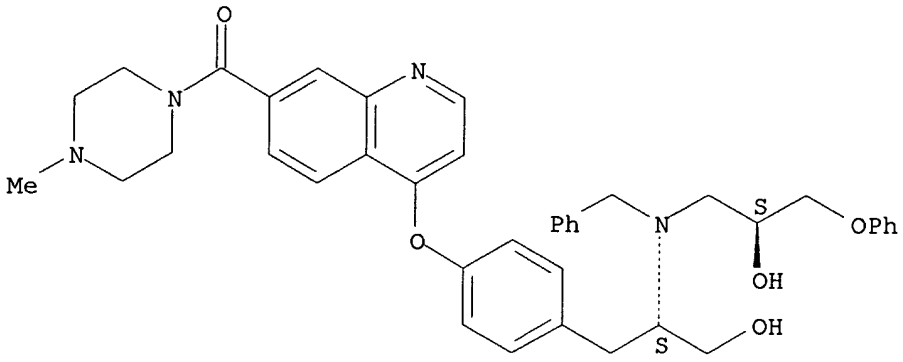


RN 386209-78-5 CAPLUS
CN Piperazine, 1-[[4-[4-[(2S)-3-hydroxy-2-[[(2S)-2-hydroxy-3-

phenoxypropyl](phenylmethyl)amino]propyl]phenoxy]-7-quinolinyl]carbonyl]-4-

methyl- (9CI) (CA INDEX NAME)

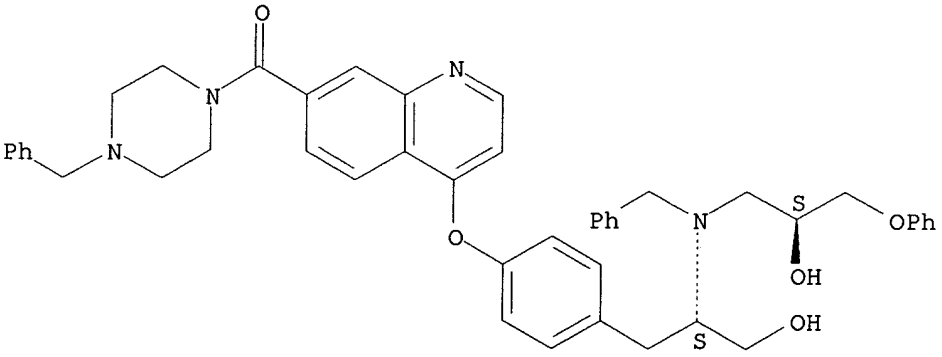
Absolute stereochemistry.



RN 386209-79-6 CAPLUS
CN Piperazine, 1-[[4-[4-[(2S)-3-hydroxy-2-[[(2S)-2-hydroxy-3-

phenoxypropyl](phenylmethyl)amino]propyl]phenoxy]-7-quinolinyl]carbonyl]-4-
(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 8 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:769282 CAPLUS
DOCUMENT NUMBER: 135:313616
TITLE: Heterocyclic sulfonyl compounds and activated blood coagulation factor X (FXa) inhibitors containing them
INVENTOR(S): Kobayashi, Shozo; Komoritani, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Yoshikawa, Kenji; Muto, Akira; Ozanai, Takeshi; Nakamoto, Yumi; Mochizuki, Akiyoshi; Nagata, Tsutomu
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 304 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294572	A2	20011023	JP 2000-38100	20000209

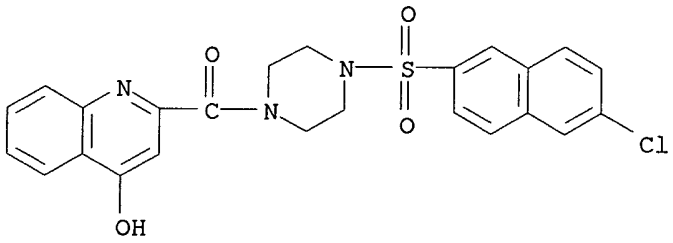
OTHER SOURCE(S): MARPAT 135:313616

AB Pharmaceuticals, useful for prevention and/or treatment of thrombus and embolus, contain Q1Q2T1SO2QA [I; Q1 = (un)substituted bicyclic or tricyclic group; Q2 = single bond, O, S, C1-6 alkylene, etc.; Q3 = N-contg. cyclic group; QA = (un)substituted (hetero)arylalkenyl, bicyclic or tricyclic group, etc.; T1 = CO, (un)substituted methylene, etc.], their salts, or solvates. (2RS)-2-(N-tert-butoxycarbonylaminomethyl)-6-methoxycarbonyl-1,2,3,4-tetrahydronaphthalene was treated with NaOH, condensed with 1-[(6-chloronaphthalen-2-yl)sulfonyl]piperazine.HCl, and deprotected to give (RS)-I.HCl (Q1 = 6-aminomethyl-5,6,7,8-tetrahydronaphthalen-2-yl, Q2 = bond, T1 = CO, Q3 = 1,4-piperazinediyl, QA = 6-chloronaphthalen-2-yl). I.HCl (Q1 = 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl, Q2 = bond, T1 = CO, Q3 = 1,4-piperazinediyl, QA = 6-chloronaphthalen-2-yl) in vitro inhibited human FXa with IC50 of 20 nM.

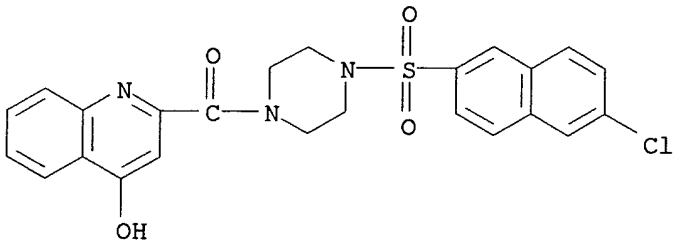
IT **222985-51-5P 222985-52-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic sulfonyl compds. as activated blood coagulation factor X inhibitors)

RN 222985-51-5 CAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4-hydroxy-2-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

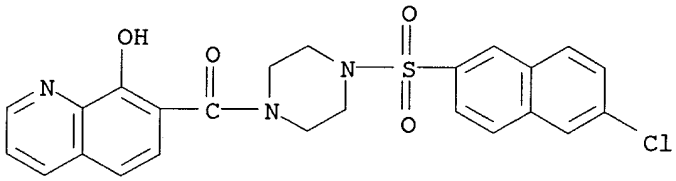


● HCl



● HCl

RN 222985-52-6 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(8-hydroxy-7-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

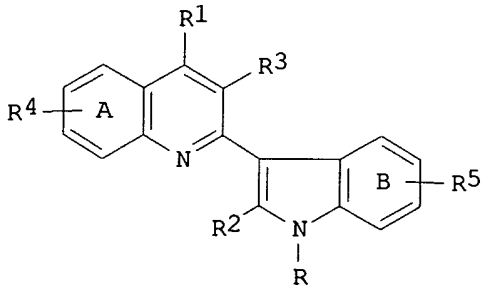
L5 ANSWER 9 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:222008 CAPLUS
DOCUMENT NUMBER: 134:252257
TITLE: Preparation of 2-(indolin-3-yl)**quinoline** derivatives and compositions in use as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 112 pp., Cont.-in-part of U.S. Ser. No. 878,781,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6207679	B1	20010327	US 1998-45051	19980319
WO 9857931	A2	19981223	WO 1998-US12762	19980618
WO 9857931	A3	19990429		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, BM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 991623	A2	20000412	EP 1998-930396	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6172084	B1	20010109	US 1998-99640	19980618
JP 2002505689	T2	20020219	JP 1999-504835	19980618
US 6103905	A	20000815	US 1998-213385	19981211
NO 9906269	A	20000216	NO 1999-6269	19991217
US 6376670	B1	20020423	US 2000-658690	20000908

PRIORITY APPLN. INFO.:
US 1997-878781 B2 19970619
US 1998-45051 A2 19980319
US 1998-99640 A2 19980618
WO 1998-US12762 W 19980618
US 1998-213385 A1 19981211
US 2000-639622 A2 20000815

OTHER SOURCE(S): MARPAT 134:252257

GI



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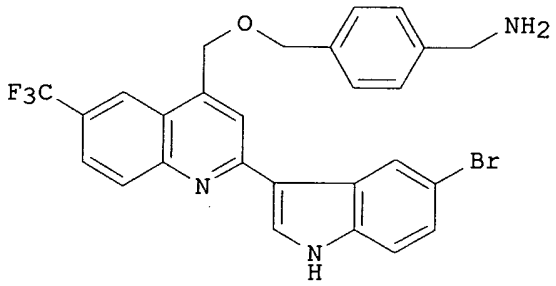
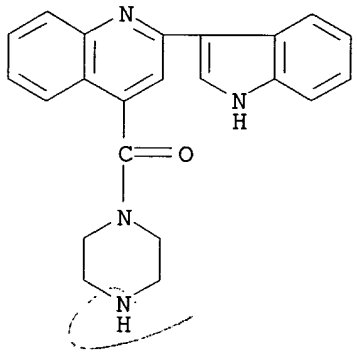


II

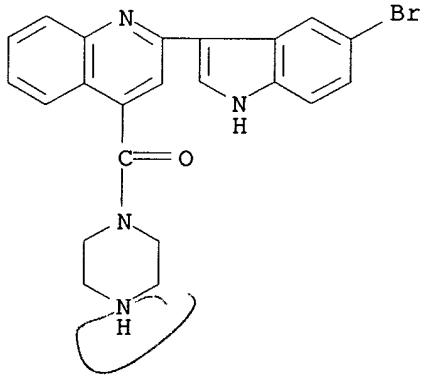
AB Title compds. I [wherein; R, R1, R2 and R3 are H, halo, alk(en)(yn)yl, OH, alkoxy, amino, nitro, SH, imine, amide, CO, -(CH2)0-8-R80, etc.; R4 is the same as R-R3 but not H; R5 is the same as R4 except that at least 1(-8) CH2 precede R80; A is (un)substituted with any no. of R4 up to the no. limited by stability and rules of valence; B is substituted with at least one instance of R5 up to the no. limited by stability and rules of valence; R80 is (substituted) aryl, cycloalk(en)yl, heterocyclyl or polycyclyl.] and related **quinoline** derivs. are prepd. as antimicrobial agents. For instance, synthesis of II is accomplished by alkylation of 4-hydroxymethyl-6-trifluoromethyl-2-(N-t-butoxycarbonylindol-3-yl)**quinoline** with (4-t-butoxycarbonylaminomethyl)benzyl iodide followed by deprotection. There are 282 examples of I provided. The min. inhibitory concn. (MIC) of I against at least one Gram-pos. bacterium is 0.1-10 .mu.g/mL. Certain compds. of formula I have a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

IT **210698-12-7P 218463-01-5P 218463-13-9P**
218463-16-2P 218463-17-3P 218463-19-5P
218463-32-2P 218463-41-3P 218463-49-1P
218463-50-4P 218463-51-5P 218463-52-6P
218463-53-7P 218463-54-8P 218463-55-9P

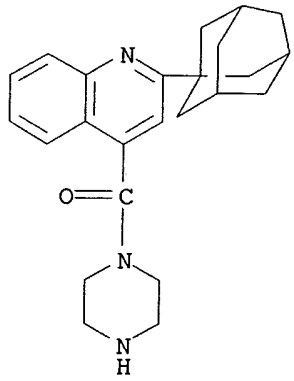
218463-56-0P 218464-15-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and use of quinolinylindole derivs. as antimicrobial agents)
RN 210698-12-7 CAPLUS
CN Piperazine, 1-[[2-(1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



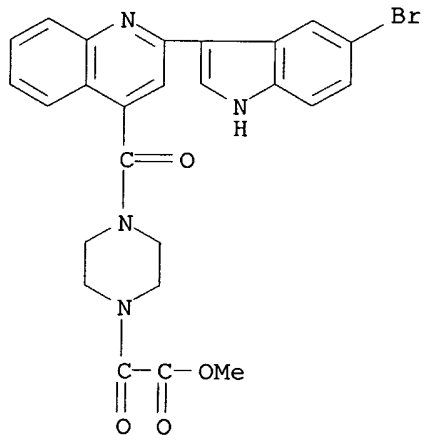
RN 218463-01-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



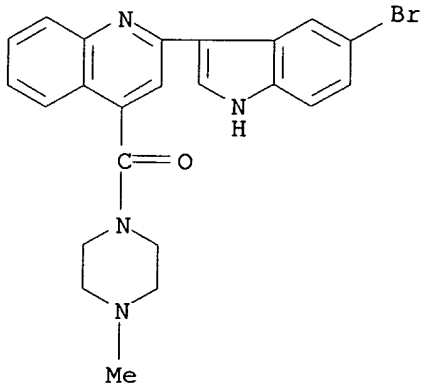
RN 218463-13-9 CAPLUS
CN Piperazine, 1-[(2-tricyclo[3.3.1.13,7]dec-1-yl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



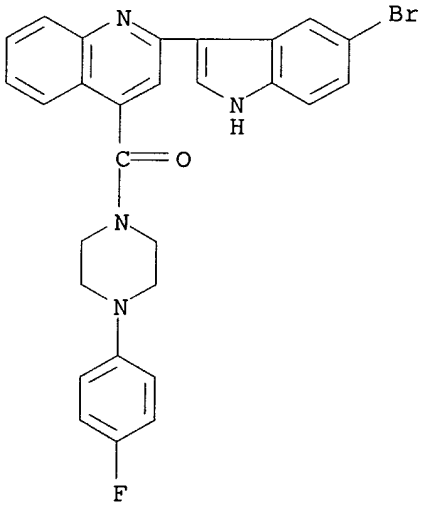
RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)



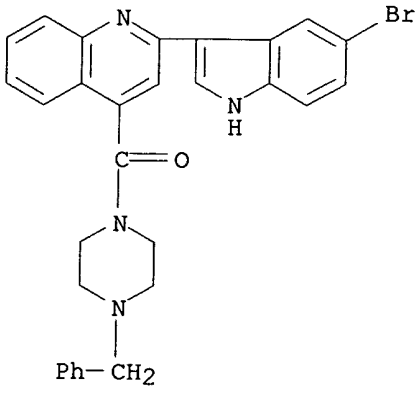
RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 218463-41-3 CAPLUS
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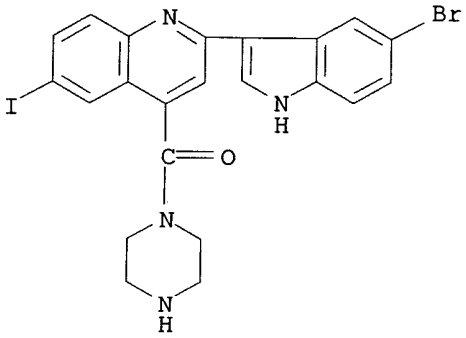
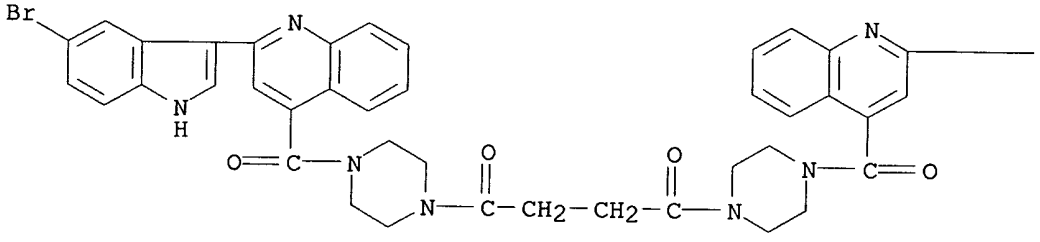
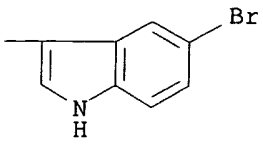


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

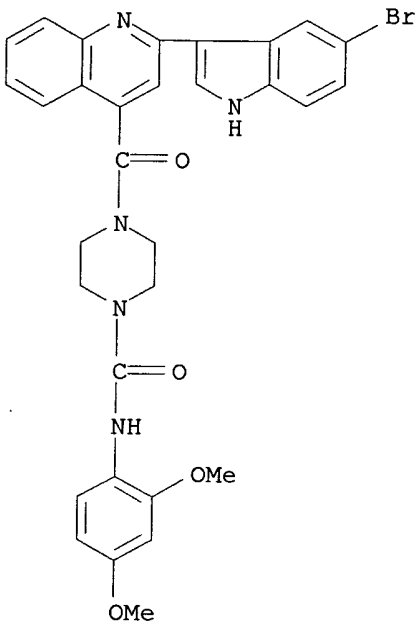
PAGE 1-A



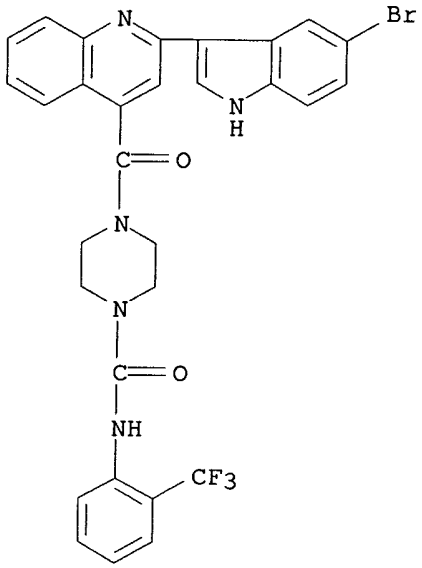
PAGE 1-B



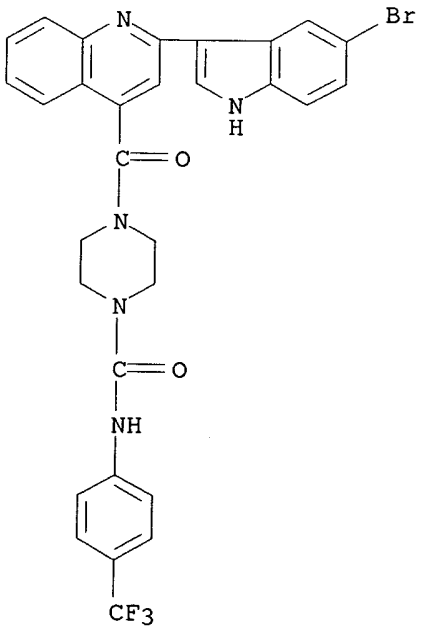
RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

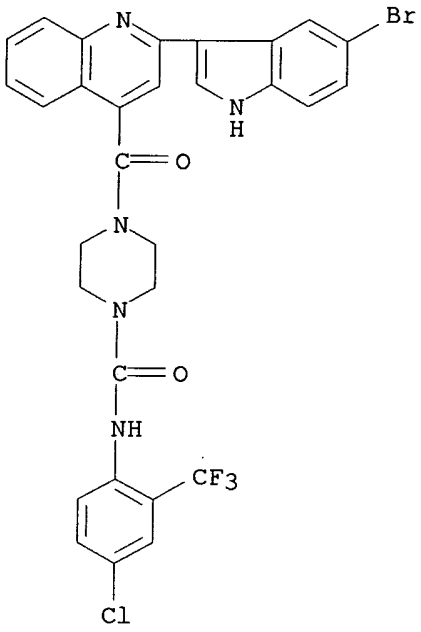


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

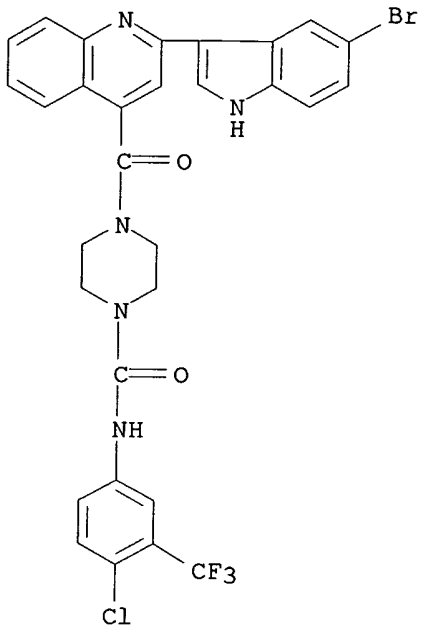


RN 218463-53-7 CAPLUS

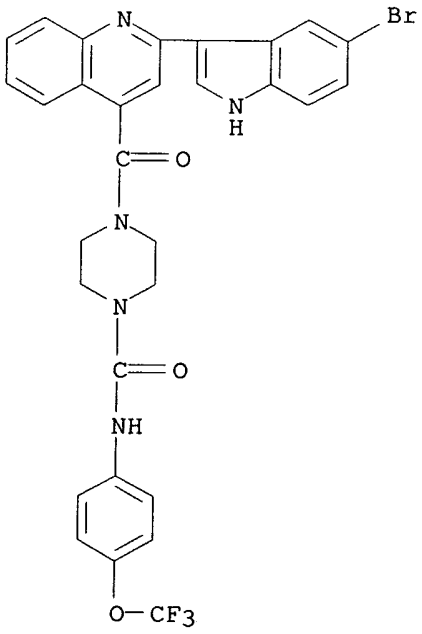
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



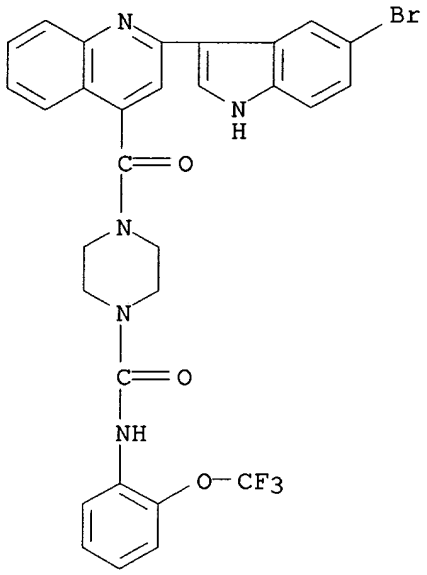
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



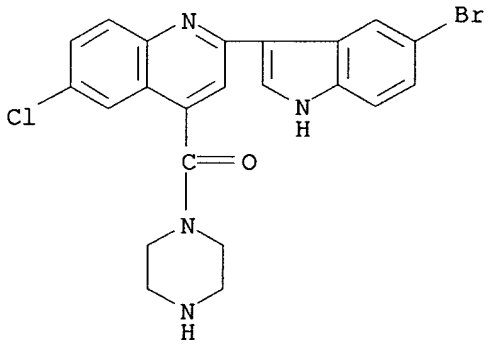
RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218464-15-4 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-chloro-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



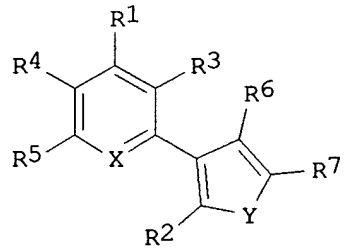
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:25778 CAPLUS
DOCUMENT NUMBER: 134:86170
TITLE: **Quinoline**-indole antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-badalian, Anita; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 151 pp., Cont.-in-part of U.S. Ser. No. 45,051.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172084	B1	20010109	US 1998-99640	19980618
US 6207679	B1	20010327	US 1998-45051	19980319
US 6103905	A	20000815	US 1998-213385	19981211
US 6376670	B1	20020423	US 2000-658690	20000908

PRIORITY APPLN. INFO.:
US 1997-878781 B2 19970619
US 1998-45051 A2 19980319
US 1998-99640 A2 19980618
US 1998-213385 A1 19981211
US 2000-639622 A2 20000815

OTHER SOURCE(S): MARPAT 134:86170
GI



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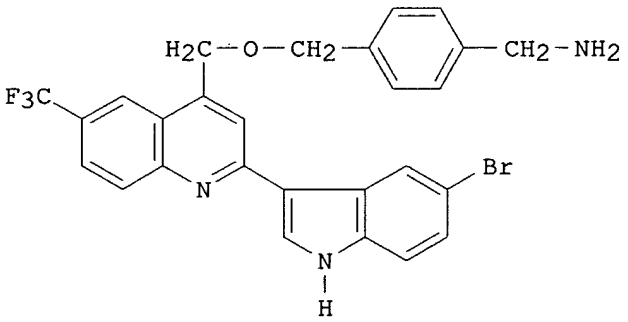

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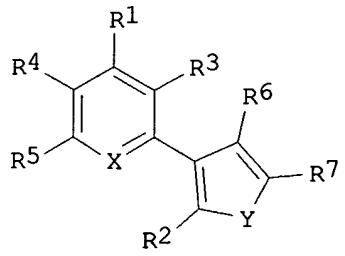

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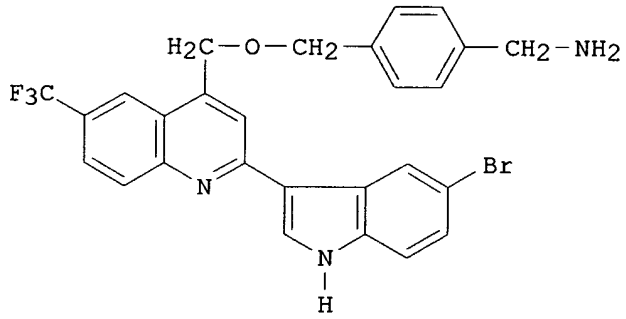


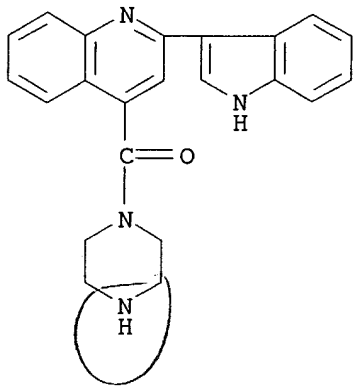
II

AB Indolylquinolines I [X = N; Y = NR; R-R3 = independently H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CONH2, anhydride, silyl, alkylsulfonyl, arylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, guanidine, amidine, acetal, ketal, amine oxide, (hetero)aryl, azide, aziridine, carbamate, epoxide, C(:NH)OH, imide, oxime, SO2NH2, CSNH2, thiocarbamate, urea, thiourea, or (CH2)mR80; R4R5, R6R7 = atoms required to complete an (un)substituted fused benzo ring system; R80 = (un)substituted aryl, cycloalkyl, cycloalkenyl, heterocycle, or polycycle; m = 0-8] were prepd. by conventional or combinatorial synthetic methods for use as bactericides. Thus, 4-H2NCH2C6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced, and treated with iodine to give 4-BocNHCH2C6H4CH2I, which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 .mu.g/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococccus pneumoniae.

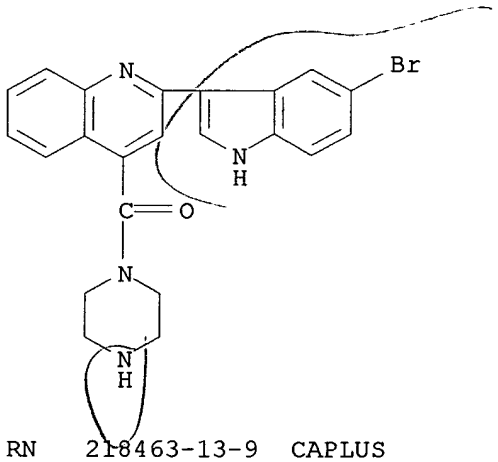
IT **210698-12-7P 218463-01-5P 218463-13-9P**
218463-16-2P 218463-17-3P 218463-19-5P
218463-32-2P 218463-41-3P 218463-49-1P
218463-50-4P 218463-51-5P 218463-52-6P
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P 218464-15-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indolylquinoline bactericides by conventional or combinatorial methods)

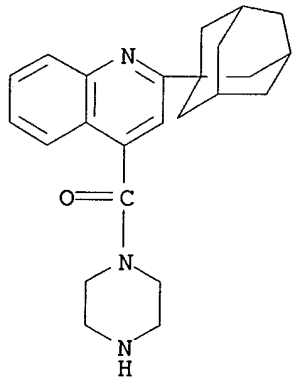
RN 210698-12-7 CAPLUS
CN Piperazine, 1-[[2-(1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



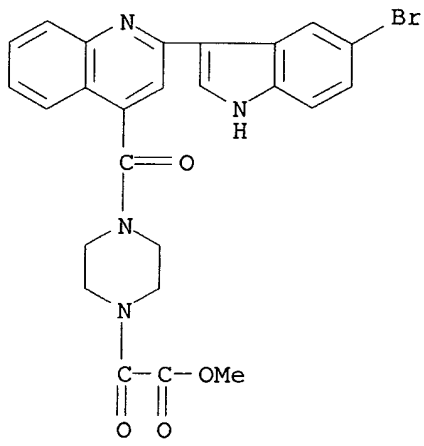
RN 218463-01-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 218463-13-9 CAPLUS
CN Piperazine, 1-[(2-tricyclo[3.3.1.13,7]dec-1-yl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 218463-17-3 CAPLUS
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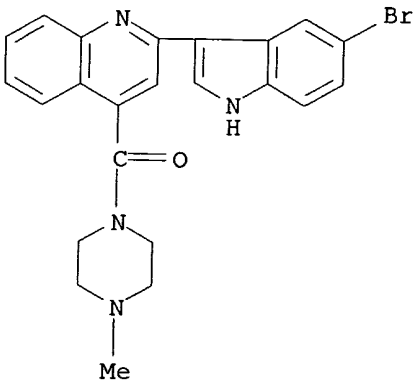

RN 218463-19-5 CAPLUS
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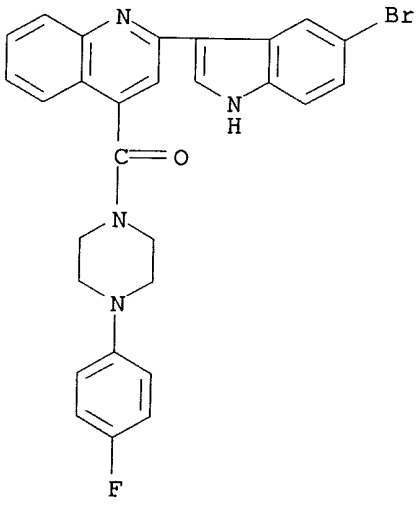
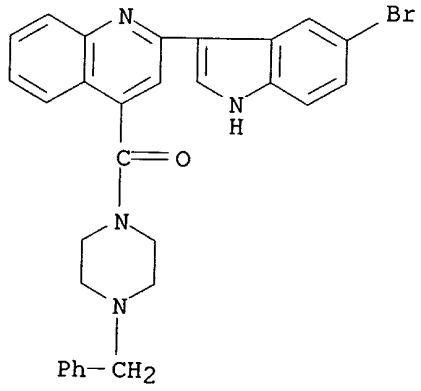
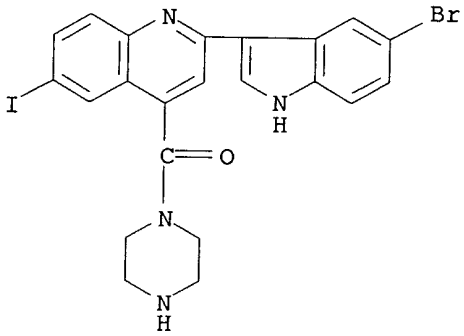


RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

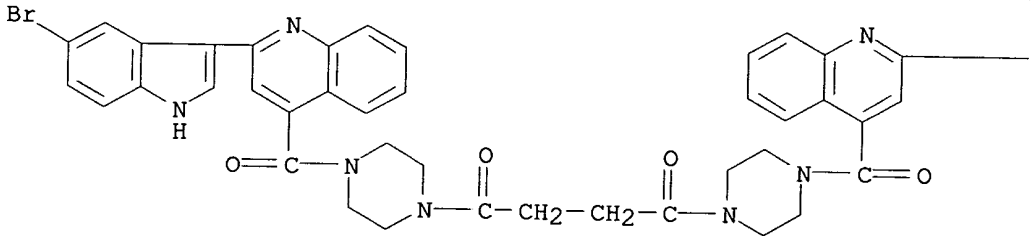


RN 218463-41-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-iodo-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

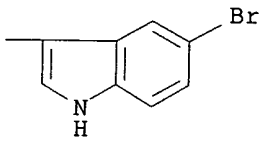


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

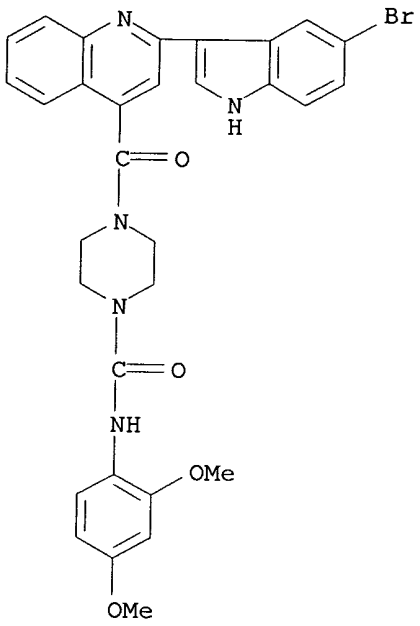
PAGE 1-A



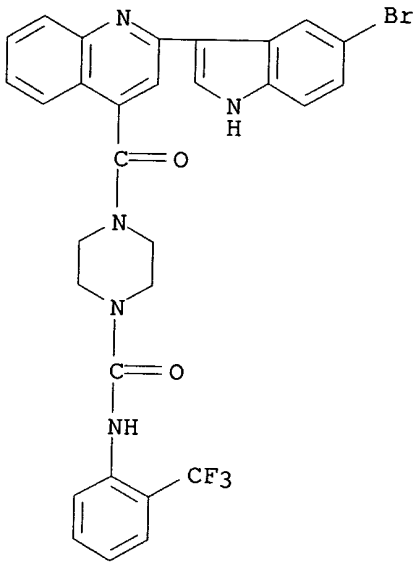
PAGE 1-B



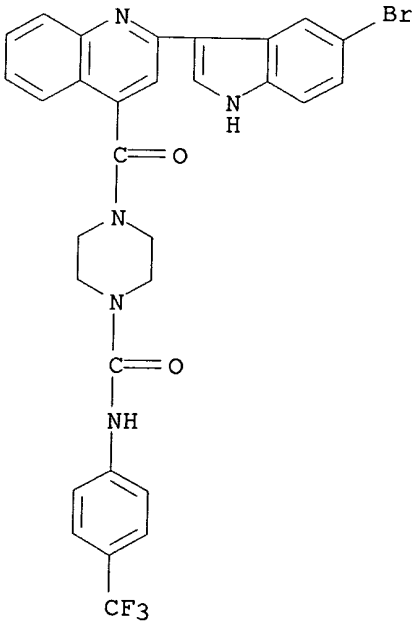
RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

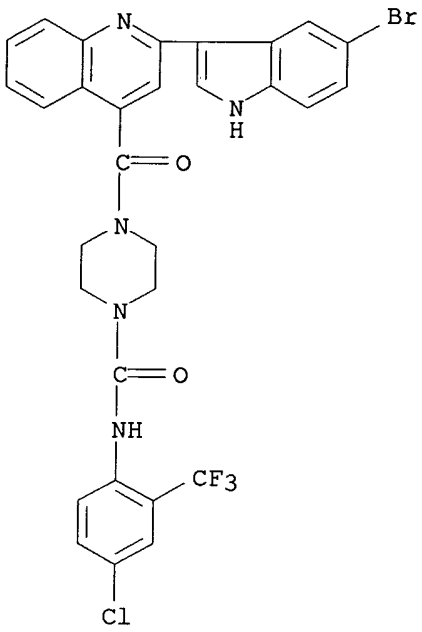


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

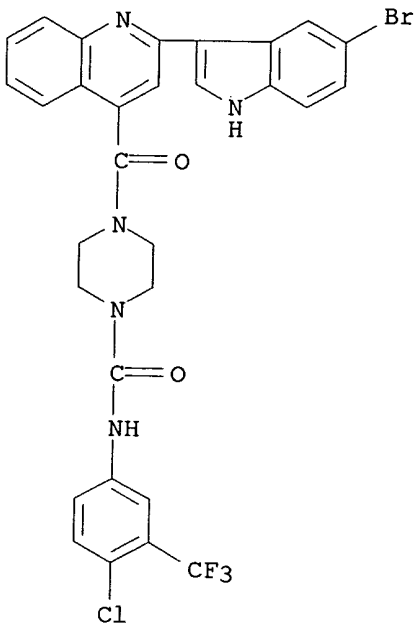


RN 218463-53-7 CAPLUS

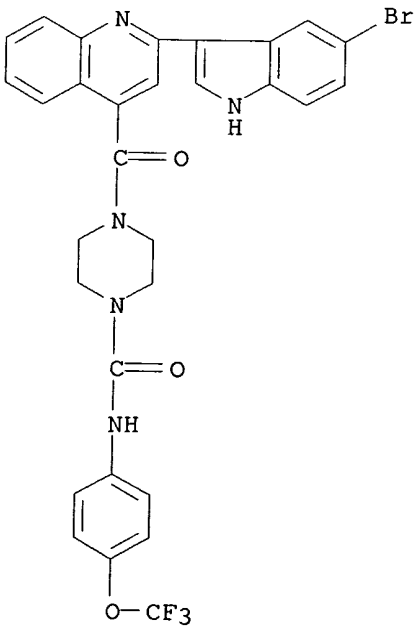
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



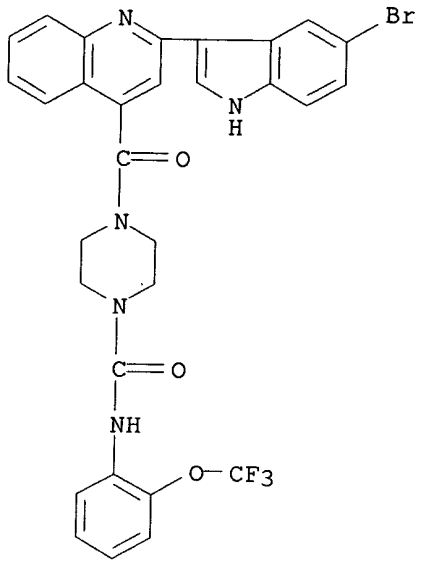
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



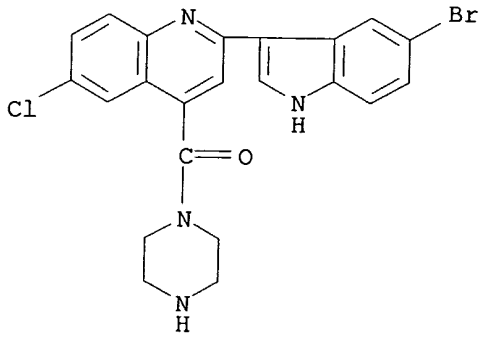
RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218464-15-4 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-chloro-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



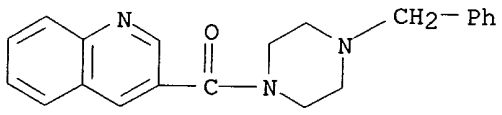
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L5 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:825244 CAPLUS
DOCUMENT NUMBER: 134:147129
TITLE: A rapid approach for the optimization of polymer supported reagents in synthesis
AUTHOR(S): Jamieson, Craig; Congreve, Miles S.; Emiabata-Smith, David F.; Ley, Steven V.
CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK
SOURCE: Synlett (2000), (11), 1603-1607
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:147129

AB The technique of Design of Expts. (DoE) was employed to facilitate the rapid automated optimization of amide formation using a polymer-supported carbodiimide system. Using an optimized set of reaction conditions, an array of 80 compds. was synthesized in a 96-well plate with the reagent being delivered via an IRORI kan to each individual well. The carbodiimide reagent is com. available (Argonaut Technologies); it is represented by chloromethylated styrene-bound 3-(cyclohexylazo)-1-propanol [i.e., N-cyclohexylcarbodiimide-N'-(propyloxy)methyl polystyrene (sic)].

IT **322763-78-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amides by polymer-supported carbodiimide-mediated condensation of amines with carboxylic acids (optimization of polymer-supported reagents in synthesis))

RN 322763-78-0 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-(3-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

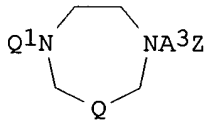
L5 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:627999 CAPLUS
DOCUMENT NUMBER: 133:222744
TITLE: Preparation of 1-acyl-4-cyanobenzylimidazolylmethylpiperazines and related compounds as inhibitors of prenyl-protein transferases.
INVENTOR(S): Stump, Craig A.; Williams, Theresa M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051614	A1	20000908	WO 2000-US5354	20000301
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1165084	A1	20020102	EP 2000-910386	20000301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-122971P P 19990303
US 1999-127252P P 19990331
WO 2000-US5354 W 20000301

OTHER SOURCE(S): MARPAT 133:222744
GI



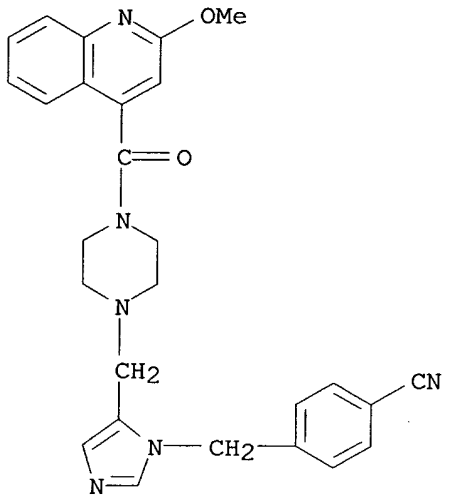
I

AB Title compds. I; R1a, R1b = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, (substituted) alkyl, etc.; R8 = H, (substituted) aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, N3, NO2, cyano, etc.; R9 = H, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, (substituted) alkyl, etc.; A1, A2 = bond, CH:CH, C.tplbond.C, CO, O, S, SO, SO2, etc.; A3 = CO, S, SO, SO2; V = H, heterocyclyl, aryl, alkyl, alkenyl; W = heterocyclyl; Z = (substituted) aryl, heteroaryl; Q = (CH2)s; Q1 = (R8)mVA1[C(R1a)2]nA2[C(R1a)2]nW(R9)q[C(R1b)2]p; m = 0-5; n, p = 0-4; q = 1, 2; s = 0, 1; with provisos, were prepd. Thus, 1-[1-(4-cyanobenzyl)imidazol-5-ylmethyl]piperazine trihydrochloride, 2-methoxyquinoline-4-carboxylic acid, EDC hydrochloride, hydroxybenzotriazole, and EtN(CHMe2)2 were stirred in DMF to give 4-[1-(4-cyanobenzyl)imidazol-5-ylmethyl]-1-(2-methoxyquinolin-4-oyl)piperazine trihydrochloride. Tested I inhibited human farnesyl

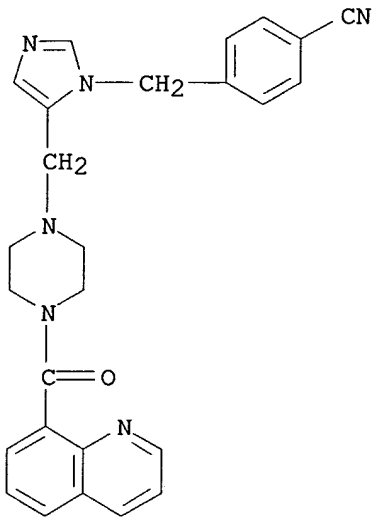
protein transferase with IC50.ltoreq.5 .mu.M.

IT **290819-31-7P 290819-47-5P 290819-49-7P**
290819-57-7P 290819-77-1P 290819-80-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acyl-4-cyanobenzylimidazolylmethylpiperazines and related compds. as inhibitors of prenyl-protein transferases)

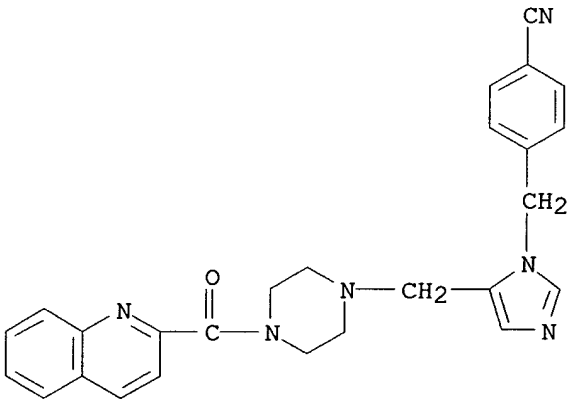
RN 290819-31-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-[(2-methoxy-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



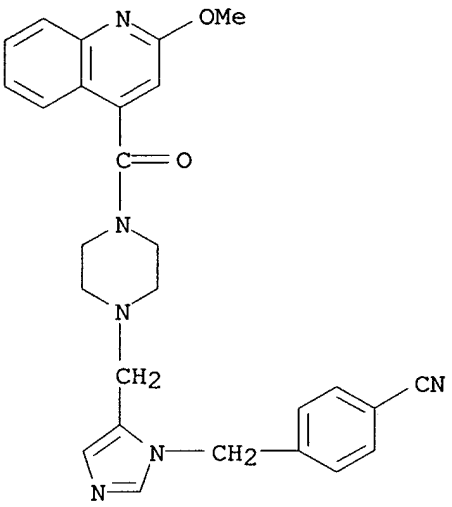
RN 290819-47-5 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(8-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 290819-49-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(2-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

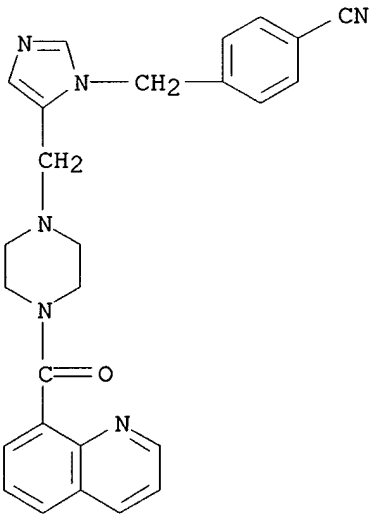


RN 290819-57-7 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-[(2-methoxy-4-quinolinyl)carbonyl]-, trihydrochloride (9CI) (CA INDEX NAME)



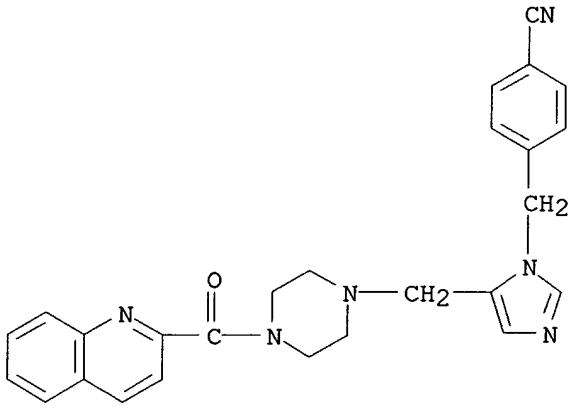
●3 HCl

RN 290819-77-1 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(8-quinolinylcarbonyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 290819-80-6 CAPLUS
CN Piperazine, 1-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(2-quinolinylcarbonyl)-, trihydrochloride (9CI) (CA INDEX NAME)

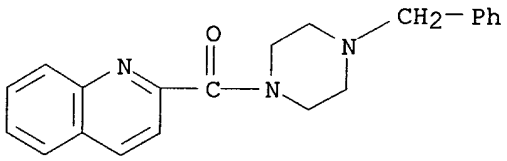


●3 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 13 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:595751 CAPLUS
DOCUMENT NUMBER: 133:290645
TITLE: Synthesis and antidepressant activity study of aryl and heteroaryl carboxamides of benzylpiperazine
AUTHOR(S): Younes-El-Hage, S.; Labssita, Y.; Baziard-Mouysset, G.; Payard, M.; Caignard, D.-H.; Rubat, C.
CORPORATE SOURCE: Chim. Pharm., Fac. Pharm., Toulouse, F-31400, Fr.
SOURCE: Annales Pharmaceutiques Francaises (2000), 58(4), 254-259
CODEN: APFRAD; ISSN: 0003-4509
PUBLISHER: Masson Editeur
DOCUMENT TYPE: Journal
LANGUAGE: French
AB The synthesis of 44 original amide derivs. of benzylpiperazine and some analogs of befuraline and piberaline is reported. All compds. were tested for antidepressant activity and 3 appeared active.
IT **219744-25-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antidepressant activity of aryl and heteroaryl carboxamides of benzylpiperazine)
RN 219744-25-9 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-(2-quinolinylcarbonyl)-, monohydrochloride

(9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

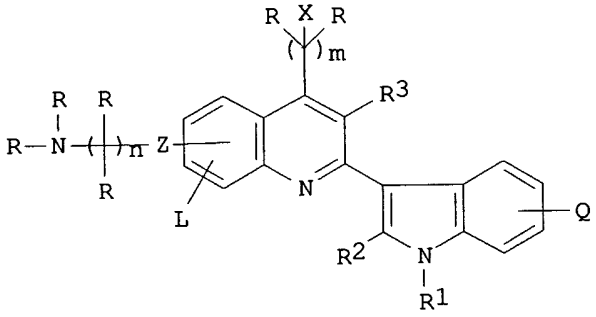
L5 ANSWER 14 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:568542 CAPLUS
DOCUMENT NUMBER: 133:150464
TITLE: Preparation of quinolinylindole derivatives and compositions in use as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 228 pp., Cont.-in-part of U.S. Ser. No. 99,640.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6103905	A	20000815	US 1998-213385	19981211
US 6207679	B1	20010327	US 1998-45051	19980319
US 6172084	B1	20010109	US 1998-99640	19980618
WO 2000034265	A2	20000615	WO 1999-US28744	19991203
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6376670	B1	20020423	US 2000-658690	20000908

PRIORITY APPLN. INFO.: US 1997-878781 B2 19970619
US 1998-45051 A2 19980319
US 1998-99640 A2 19980618
US 1998-213385 A 19981211
US 2000-639622 A2 20000815

OTHER SOURCE(S): MARPAT 133:150464

GI



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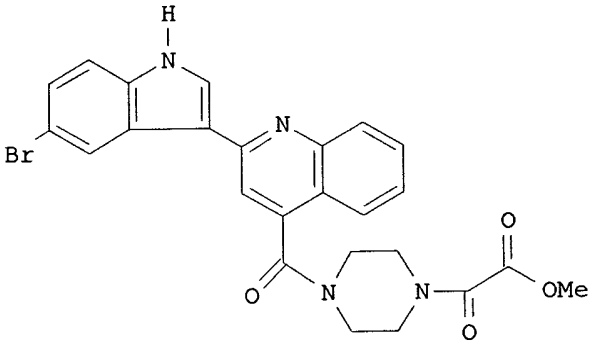
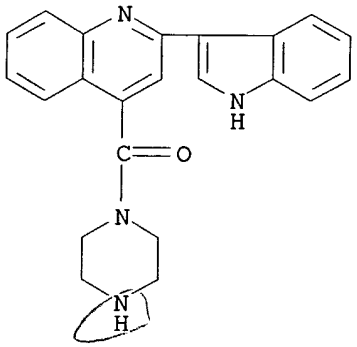


II

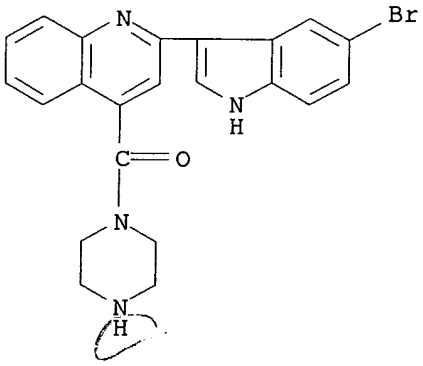
AB Title compds. [I; Q = hydrophobic group, H; X = heterocyclyl, amidinyl, formamidonyl, guanidinyl, CN, CSNR2, OR, SR; Z = CC, (E)-CH:CH, (Z)-CH:CH, (CH2)2; L = hydrophobic group, H; R represents independently for each occurrence = H, alkyl, heteroalkyl, aryl, heteroaryl, acyl, sulfonyl; R1 = H, alkyl, aryl, 4-CH3C6H4SO2, (CH2)d; d = 1-6; R2 = H, alkyl, aryl; R3 = H, alkyl, aryl; m = 1-8; n = 1-4] and pharmaceutical prepns. using title compds. are prepd. as antimicrobial agents. The MIC value of I against at least one Gram-pos. bacterium ranged from 0.1-10 .mu.g/mL. Thus, the title compd. II was prepd. and has a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

IT **210698-12-7P 218463-01-5P 218463-13-9P**
218463-16-2P 218463-17-3P 218463-19-5P
218463-32-2P 218463-41-3P 218463-49-1P
218463-50-4P 218463-51-5P 218463-52-6P
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P 218464-15-4P 275357-17-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of quinolinylindole derivs. as antimicrobial agents)

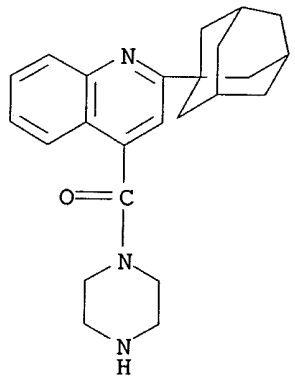
RN 210698-12-7 CAPLUS
CN Piperazine, 1-[[2-(1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



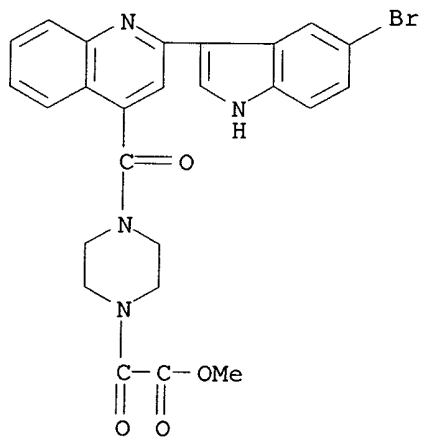
RN 218463-01-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



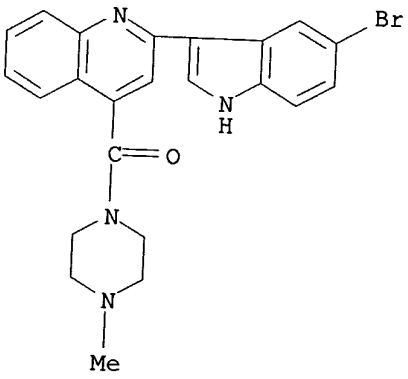
RN 218463-13-9 CAPLUS
CN Piperazine, 1-[(2-tricyclo[3.3.1.13,7]dec-1-yl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



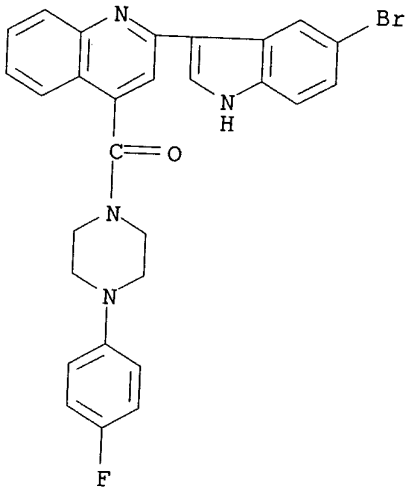
RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)



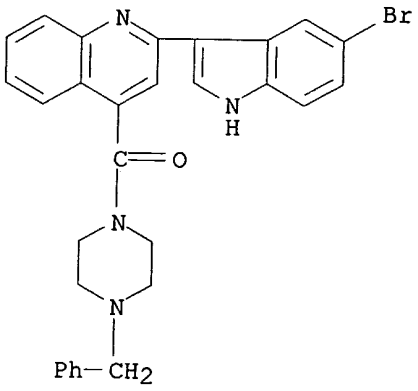
RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



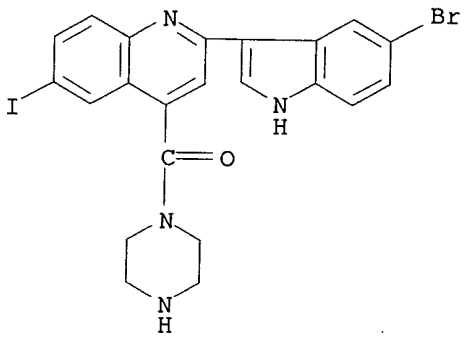
RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

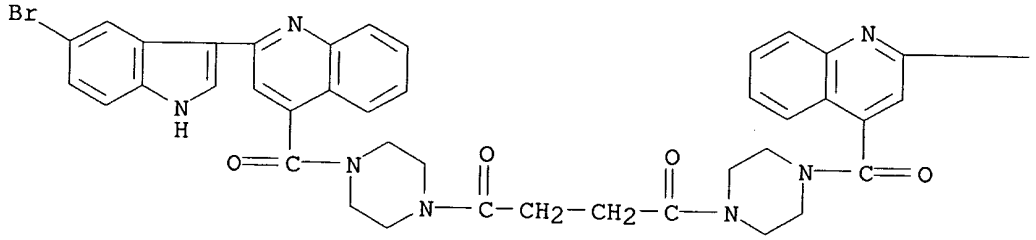


RN 218463-41-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-iodo-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

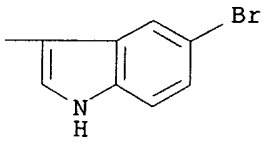


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

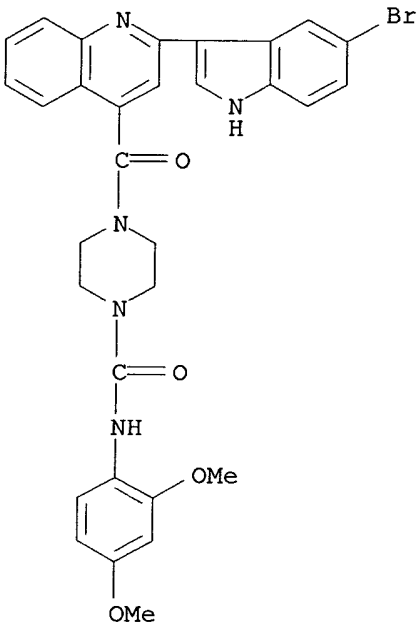
PAGE 1-A



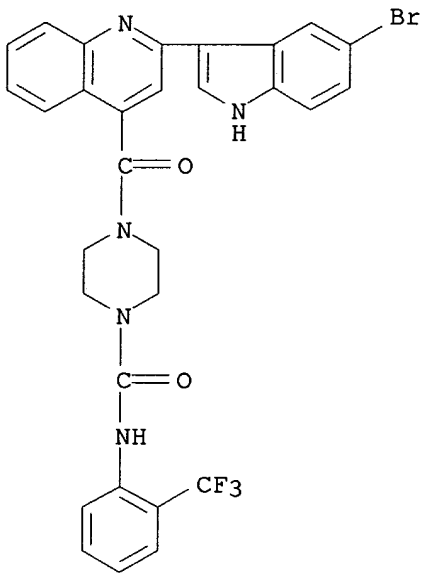
PAGE 1-B



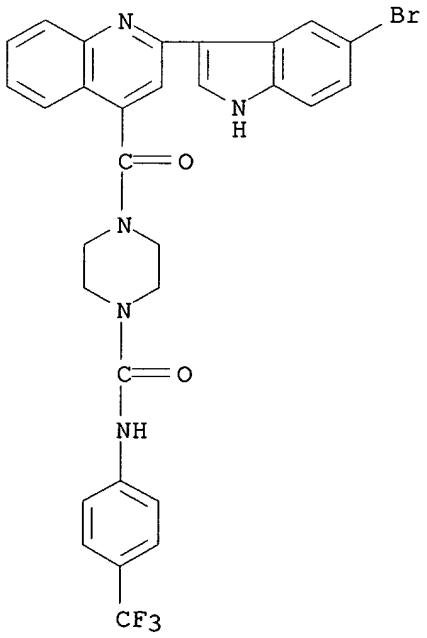
RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

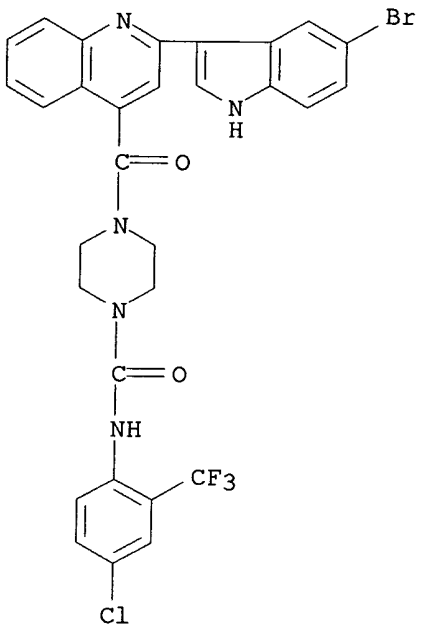


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

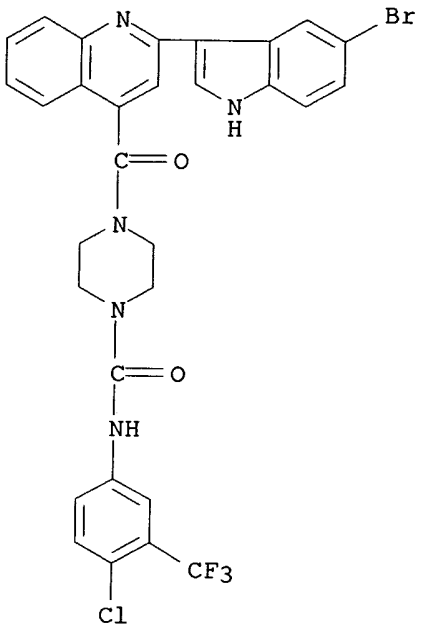


RN 218463-53-7 CAPLUS

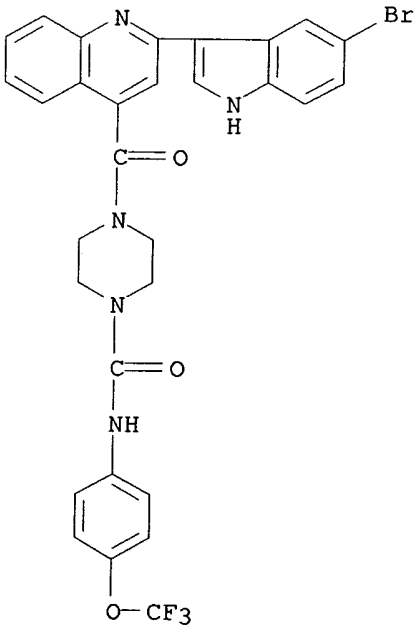
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



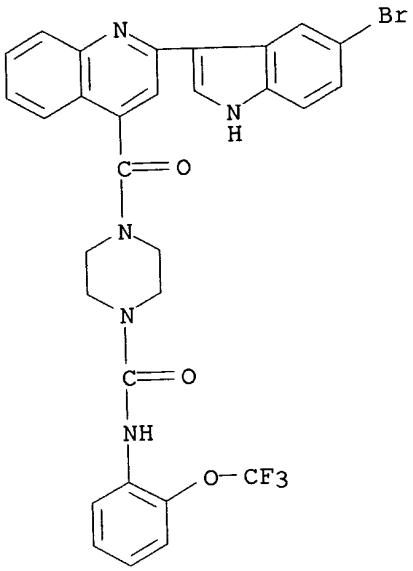
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



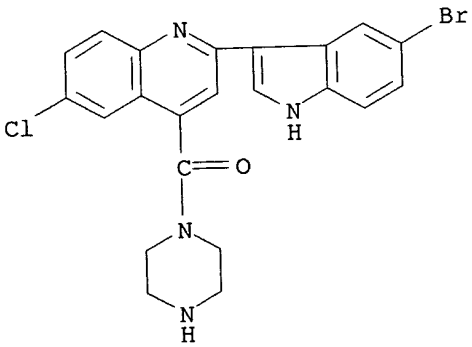
RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



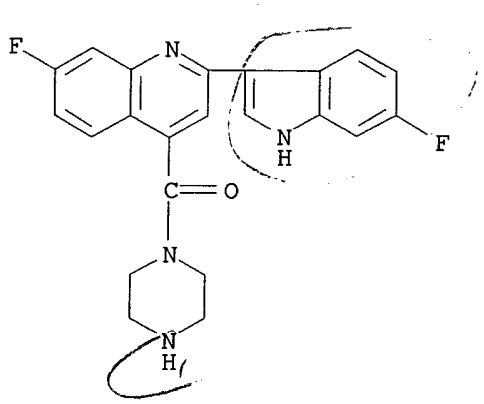
RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218464-15-4 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-chloro-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 275357-17-0 CAPLUS
CN Piperazine, 1-[[7-fluoro-2-(6-fluoro-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



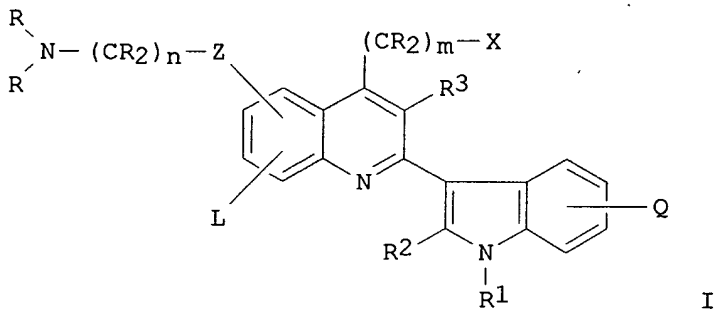
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:401813 CAPLUS
DOCUMENT NUMBER: 133:43453
TITLE: Preparation of 2-(3-indolyl)**quinolines** as antibacterial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034265	A2	20000615	WO 1999-US28744	19991203
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6103905	A	20000815	US 1998-213385	19981211

PRIORITY APPLN. INFO.: US 1998-213385 A 19981211
US 1997-878781 B2 19970619
US 1998-45051 A2 19980319

US 1998-99640 A2 19980618
OTHER SOURCE(S): MARPAT 133:43453
GI



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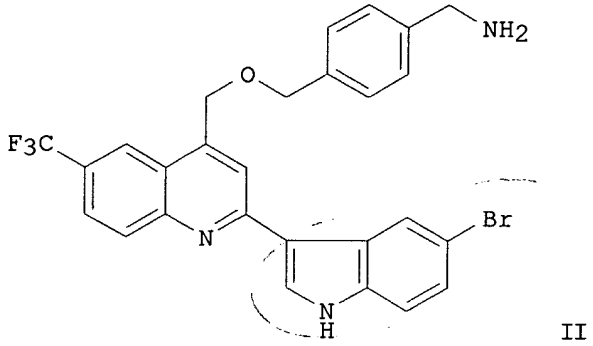


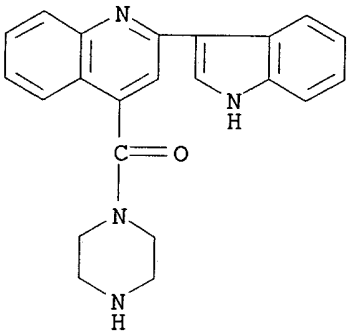
II

AB The title compds. (I) [wherein L and Q = independently a hydrophobic group or is absent; X = heterocyclyl, (form)amidinyl, guanidinyl, CN, C(S)NR2, N(R)C(S)R, OR, SR, NR2, or PR2; Z = C.tplbond.C, CH:CH, or CH2CH2; R = independently H, (hetero)alkyl, (hetero)aryl, acyl, sulfonyl, etc.; R1 = H, alkyl, aryl, p-toluenesulfonyl, phthalimidoalkyl, or aminoalkyl; R2 and R3 = independently H, alkyl, or acyl] were prepd. by std. synthetic and solid phase combinatorial methods. For example, II was synthesized in a 3-step sequence involving: (1) redn. of 2-[5-bromo-1-(tert-butoxycarbonyl)indol-3-yl]-6-(trifluoromethyl)-4-**quinolinecarboxylic** acid to the alc. with LiAlH4 (44%), (2) addn. of 4-iodo-N-(tert-butoxycarbonyl)benzylamine (prepn. given) to the alc. (82%), and (3) indolyl and amine deprotection using TFA (78%). Nearly two-thirds of the 534 indolylquinolines tested in assays against cultures of methicillin-resistant Staphylococcus aureau (MRSA), ciprofloxacin-resistant Staphylococcus aureus (CRSA), vancomycin-resistant Enterococcus spp.(VRE), and/or penicillin-resistant Pseudomonas (PRP) had

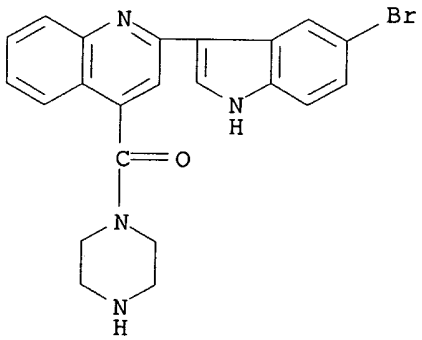
in vitro min. inhibitory concns. (MICs) .ltoreq. 10 .mu.M. For 12 of the 15 compds. tested in vivo for toxicity, all mice were surviving 7 days after administration of 40 mg/kg doses.

IT **210698-12-7P 218463-01-5P 218463-13-9P**
218463-16-2P 218463-17-3P 218463-19-5P
218463-32-2P 218463-41-3P 218463-49-1P
218463-50-4P 218463-51-5P 218463-52-6P
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P 218464-15-4P 275357-17-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(3-indolyl)**quinolines** as antibacterial agents)

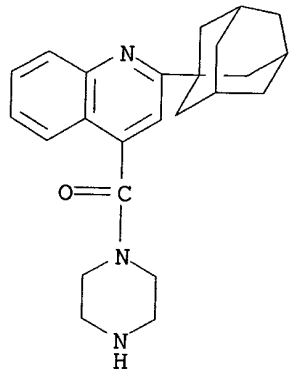
RN 210698-12-7 CAPLUS
CN Piperazine, 1-[[2-(1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



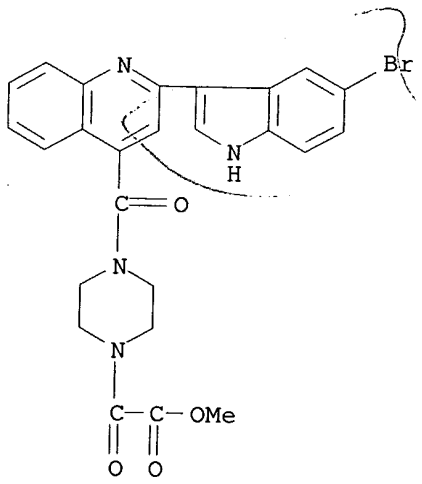
RN 218463-01-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



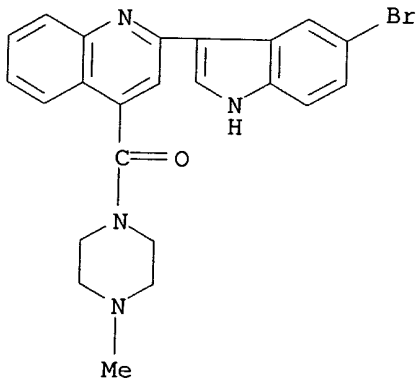
RN 218463-13-9 CAPLUS
CN Piperazine, 1-[(2-tricyclo[3.3.1.13,7]dec-1-yl-4-quinolinyl)carbonyl]-
(9CI) (CA INDEX NAME)



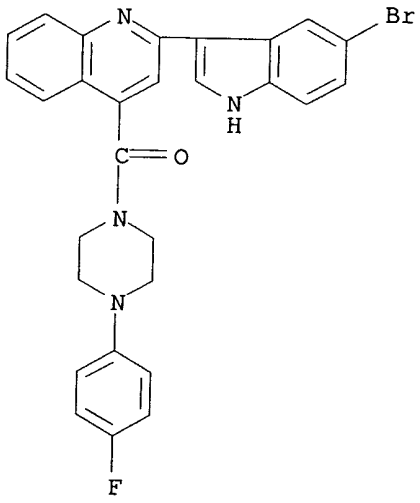
RN 218463-16-2 ' CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-
quinolinyl]carbonyl]-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)



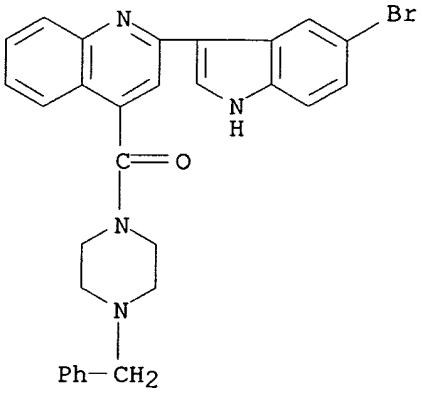
RN 218463-17-3 CAPLUS
CN Piperazine,
1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl-
(9CI) (CA INDEX NAME)



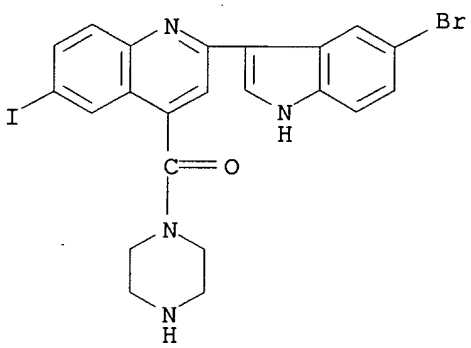
RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

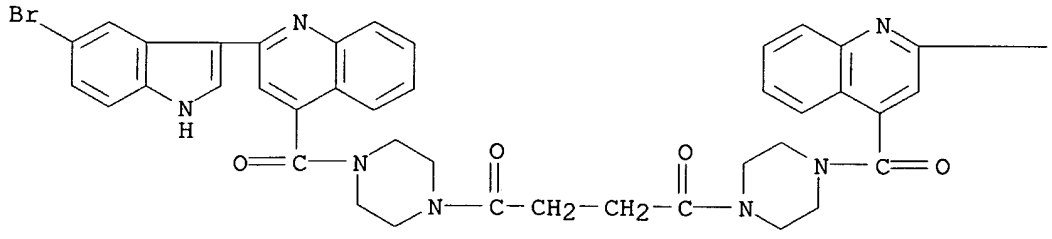


RN 218463-41-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-iodo-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

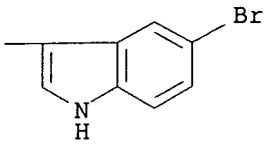


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

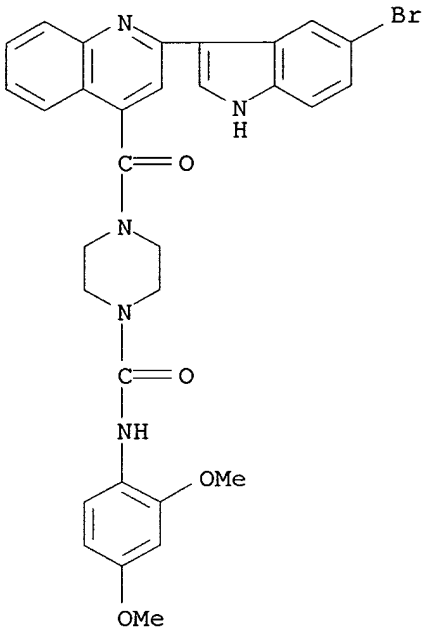
PAGE 1-A



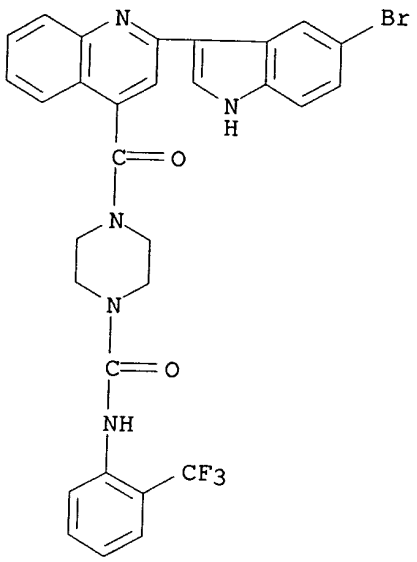
PAGE 1-B



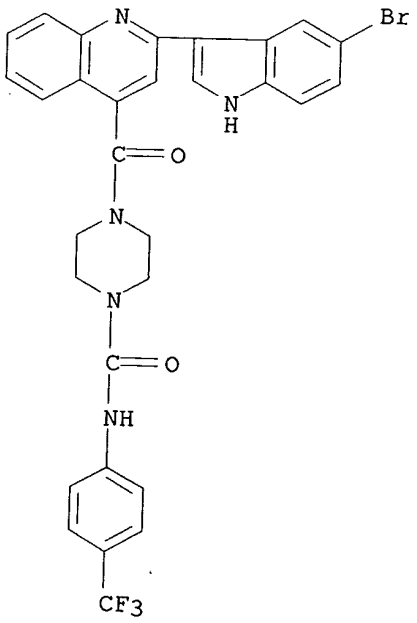
RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

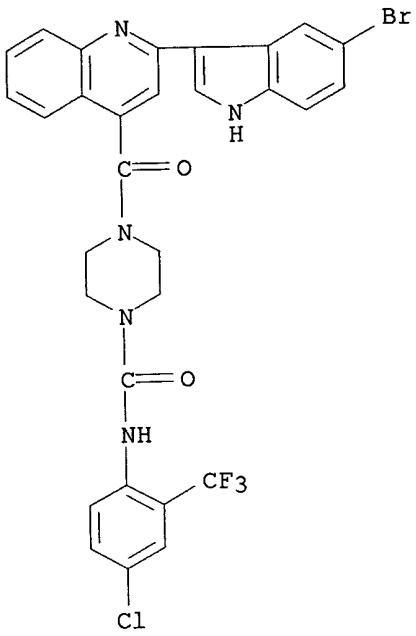


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

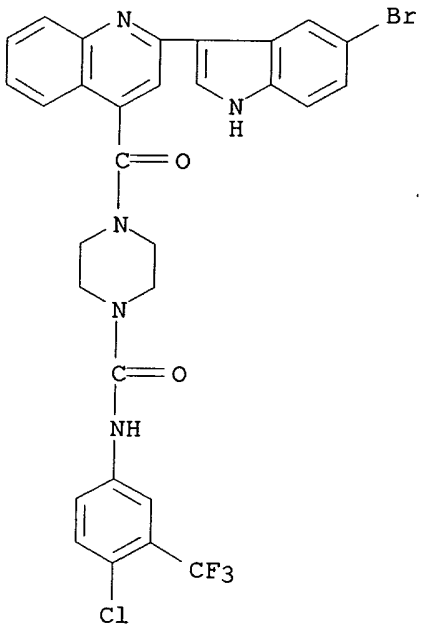


RN 218463-53-7 CAPLUS

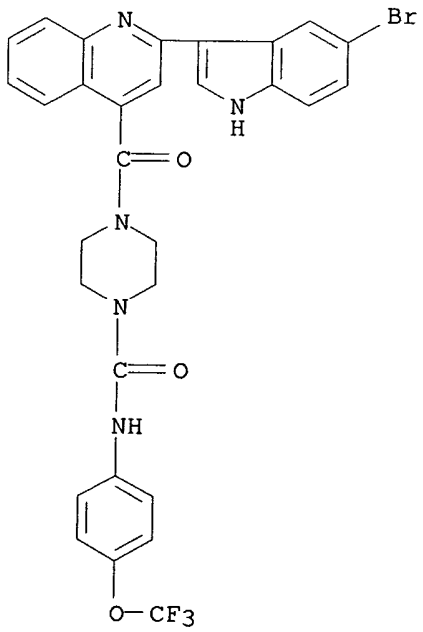
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



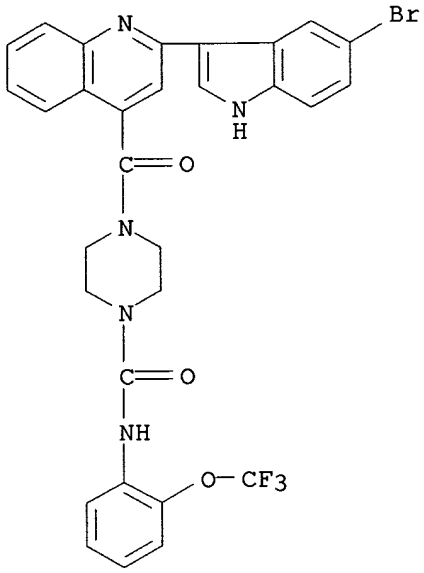
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



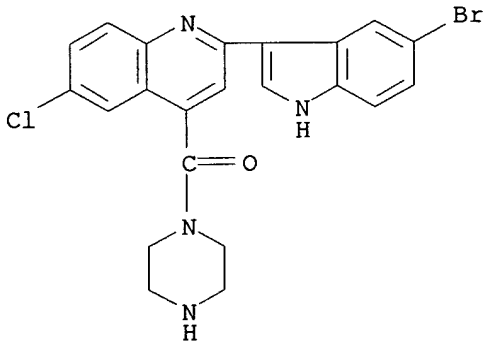
RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



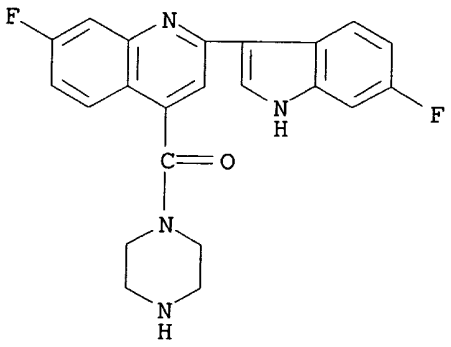
RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218464-15-4 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-chloro-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 275357-17-0 CAPLUS
CN Piperazine, 1-[[7-fluoro-2-(6-fluoro-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

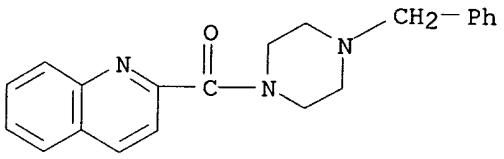


L5 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:184027 CAPLUS
DOCUMENT NUMBER: 132:321845
TITLE: Synthesis and structure-activity relationships of novel arylalkyl 4-benzylpiperazine derivatives as .sigma.-site selective ligands
AUTHOR(S): Younes, Salome; Labssita, Youssef; Baziard-Mouysset, Genevieve; Payard, Marc; Rettori, Marie-Claire; Renard, Pierre; Pfeiffer, Bruno; Caignard, Daniel-Henri
CORPORATE SOURCE: Laboratoire de chimie pharmaceutique, faculte de pharmacie, Toulouse, 31062, Fr.
SOURCE: European Journal of Medicinal Chemistry (2000), 35(1), 107-121
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Continuing our previous work that established that some chromones substituted by an arylalkyl piperazino alkyl side-chain are potent and selective .sigma. ligands and could be interesting in the treatment of psychosis, we synthesized 60 new compds., replacing the chromone moiety by various cyclic systems. Many derivs. bind to the .sigma. sites in the nanomolar range and are generally selective in comparison with 5HT1A and the D2 receptors. One of the most potent ligands of these series, 1-(2-naphthylmethyl)-4-benzylpiperazine, was studied in various pharmacol. tests. Although it does not have potential in the treatment of psychosis, the results we obtained confirm the data which indicates that such derivs. could be interesting in the treatment of inflammatory diseases.

IT **266674-17-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structure-activity relationships of arylalkylated benzylpiperazines as .sigma.-site selective ligands)
RN 266674-17-3 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-(2-quinolinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L5 ANSWER 17 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:133658 CAPLUS
DOCUMENT NUMBER: 132:194391
TITLE: Preparation of sulfonyl moiety-containing heterocyclic compounds as factor Xa inhibitors
INVENTOR(S): Kobayashi, Syozo; Komoriya, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Nagata, Tsutomu; Horino, Haruhiko; Ito, Masayuki; Mochizuki, Akiyoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 883 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009480	A1	20000224	WO 1999-JP4344	19990811

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

JP 2000119253	A2	20000425	JP 1999-226878		19990810
AU 9951963	A1	20000306	AU 1999-51963		19990811
EP 1104754	A1	20010606	EP 1999-937024		19990811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2000143623	A2	20000526	JP 1999-242814		19990830
PRIORITY APPLN. INFO.:			JP 1998-227449	A	19980811
			JP 1998-244175	A	19980828
			JP 1998-251674	A	19980904
			WO 1999-JP4344	W	19990811

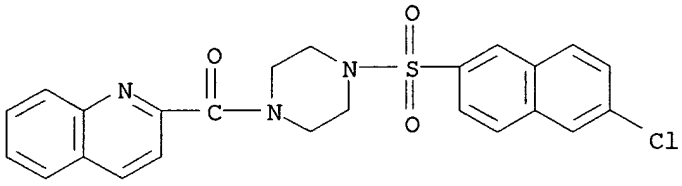
OTHER SOURCE(S): MARPAT 132:194391

AB The title compds. Q1Q2T1Q3SO2QA [wherein Q1 is an optionally substituted, satd. or unsatd., five- or six-membered cyclic hydrocarbon group, a five- or six-membered heterocyclic group, or the like; Q2 is a single bond, oxygen, sulfur, C1-C6 alkylene or the like; Q3 is a heterocyclic ring (represented by several generic structures); QA is optionally substituted arylalkenyl, heteroarylalkenyl or the like; and T1 is carbonyl or the like] are prepd. These compds. have potent factor Xa inhibiting effects and promptly exert satisfactory and persistent antithrombotic effects through oral administration, thus being useful as anticoagulant agents little accompanied with side effects. Several compds. of this invention in vitro showed IC50 values of 0.7 nM to 4.7 nM against factor Xa.

IT **222985-50-4P 222985-51-5P 222985-52-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonyl moiety-contg. heterocyclic compds. as factor Xa inhibitors)

RN 222985-50-4 CAPLUS

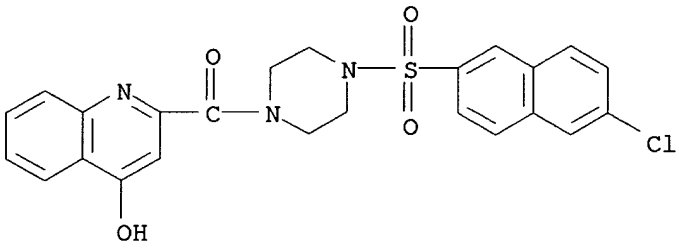
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-(2-quinolinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

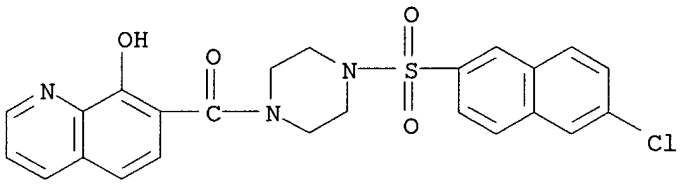
RN 222985-51-5 CAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4-hydroxy-2-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 222985-52-6 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(8-hydroxy-7-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:34889 CAPLUS
DOCUMENT NUMBER: 132:93658
TITLE: Preparation of amino acid and peptide derivatives as microbial efflux pump inhibitors.
INVENTOR(S): Chamberland, Suzanne; Ishida, Yohei; Lee, Ving J.; Leger, Roger; Nakayama, Kiyoshi; Ohta, Toshiharu; Ohtsuka, Masami; Renau, Thomas W.; Watkins, William J.; Zhang, Zhijia J.
PATENT ASSIGNEE(S): Microcide Pharmaceuticals, Inc., USA; Daiich Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

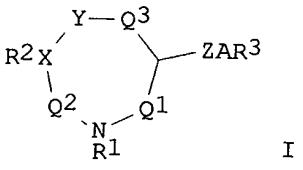
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001714	A1	20000113	WO 1999-US14871	19990629
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6399629	B1	20020604	US 1998-108906	19980701
AU 9952073	A1	20000124	AU 1999-52073	19990629

PRIORITY APPLN. INFO.:

US 1998-108906	A	19980701
US 1998-87514P	P	19980601
WO 1999-US14871	W	19990629

OTHER SOURCE(S): MARPAT 132:93658
GI



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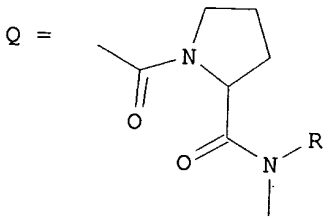


AB A method for treating a microbial infection comprises administration of title compds. [I; Q1 = (CH2)n1; Q2 = (CH2)n2; Q3 = (CH2)n3; n1 = 0, 1; n2 = 0-3; n3 = 0-2; n1+n2+n3 = 1-4; X = N, CR2a, CR2b; R2a = H, alkyl; R2b = OH, F; Y = bond, S, O, NR23; R23 = H, alkyl; R1, R2 = H, C(:NR)R', C(:NR)NR'R'', etc.; R, R', R'' = H, alkyl; Z = bond, (CHR4)nCONR4, Q, etc.; R4 = H, alkyl, aralkyl; n = 0-3; A = bond, (CHR5)nX1(CHR5)n; X1 = O, S, bond, cycloalkylene, heterocycloalkylene; R5 = H, alkyl; R3 = H, (substituted) aryl, tetrahydronaphthyl, indanyl, thienyl, furyl, pyridyl, quinolyl, cycloalkyl, etc.; with provisos]. Thus, 1-(trans-4-aminomethyl-L-prolyl)-4-(3-chloro-2-methylphenyl)piperazine (soln. phase prepn. given) at 2.5 .mu.g/mL together with levofloxacin 0.25 .mu.g/mL gave 100% inhibition of Pseudomonas aeruginosa PAM1001 growth.

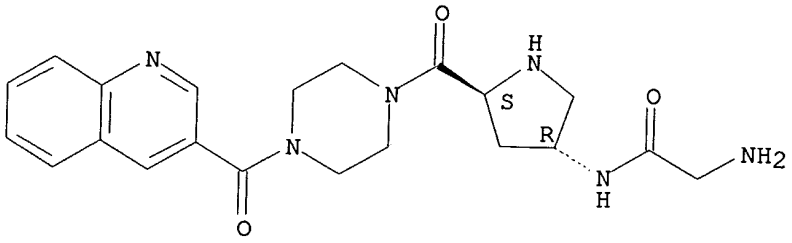
IT **254881-29-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid and peptide derivs. as microbial efflux pump inhibitors)

RN 254881-29-3 CAPLUS

CN Acetamide, 2-amino-N-[(3R,5S)-5-[[4-(3-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-3-pyrrolidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



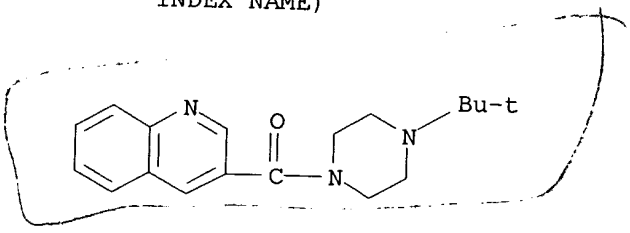
● 3 HCl

IT **254883-32-4P 254883-34-6P 254883-35-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acid and peptide derivs. as microbial efflux pump inhibitors)

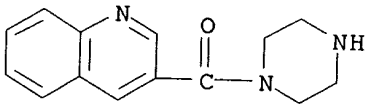
RN 254883-32-4 CAPLUS

CN Piperazine, 1-(1,1-dimethylethyl)-4-(3-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



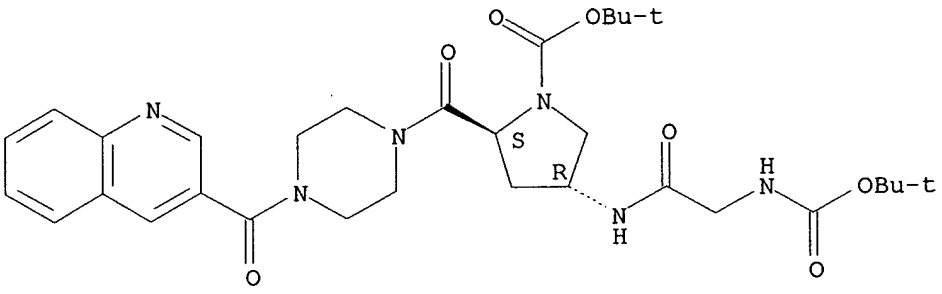
RN 254883-34-6 CAPLUS

CN Piperazine, 1-(3-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 254883-35-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid,
4-[[[[(1,1-dimethylethoxy)carbonyl]amino]ace
tyl]amino]-2-[[4-(3-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-,
1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



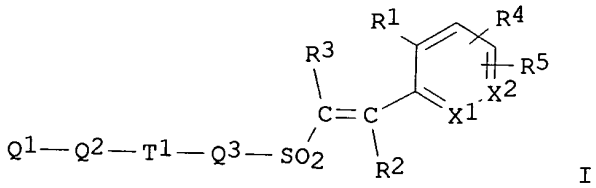
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 19 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:233901 CAPLUS
DOCUMENT NUMBER: 130:296694
TITLE: Preparation of heterocyclic compounds having the sulfonyl group as antithrombotics
INVENTOR(S): Kobayashi, Shozo; Komoriya, Satoshi; Ito, Masayuki; Nagata, Tsutomu; Mochizuki, Akiyoshi; Haginoya, Noriyasu; Nagahara, Takayasu; Horino, Haruhiko
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916747	A1	19990408	WO 1998-JP4411	19980930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304285	AA	19990408	CA 1998-2304285	19980930
AU 9892806	A1	19990423	AU 1998-92806	19980930

EP 1031563 A1 20000830 EP 1998-945542 19980930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
BR 9815377 A 20010116 BR 1998-15377 19980930
NO 2000001636 A 20000329 NO 2000-1636 20000329
PRIORITY APPLN. INFO.: JP 1997-267117 A 19970930
WO 1998-JP4411 W 19980930
OTHER SOURCE(S): MARPAT 130:296694
GI

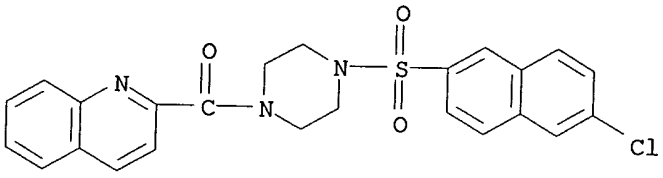


I

AB The title compds. I [R1 is hydrogen, hydroxyl, nitro or the like; R2 and R3 are each independently hydrogen, halogeno or the like; R4 and R5 are each independently hydrogen, halogeno or the like; Q1 is an optionally substituted satd. or unsatd. 5- or 6-membered cyclic hydrocarbon group or the like; Q2 is a single bond, oxygen or the like; Q3 is a heterocyclic moiety (represented by 4 generic structures); T1 is carbonyl or the like; and X1 and X2 are each independently methine or nitrogen] are prepd. I speedily exert satisfactory and persistent antithrombotic effects through oral administration and cause few adverse effects. In an in vitro test for inhibition of activated blood coagulation factor X, 1-[(6-chloronaphthalen-2-yl)sulfonyl]-4-[(6-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]piperazine hydrochloride showed the Ki value of 6.6 nM.

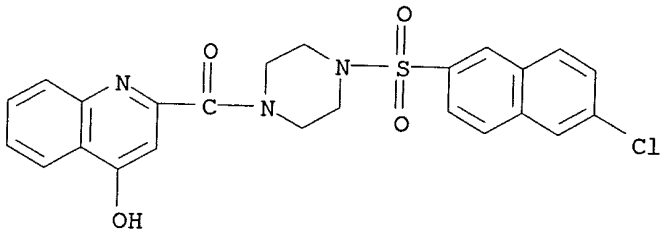
IT **222985-50-4P 222985-51-5P 222985-52-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. having the sulfonyl group as antithrombotics)

RN 222985-50-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-(2-quinolinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



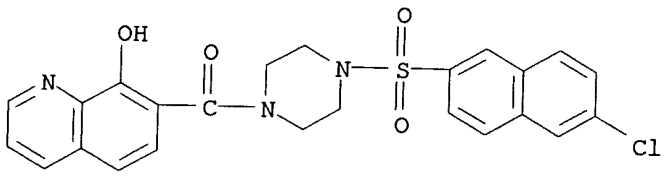
● HCl

RN 222985-51-5 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4-hydroxy-2-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 222985-52-6 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(8-hydroxy-7-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS

Habte

<09/25/2002

RECORD. ALL CITATIONS AVAILABLE IN THE RE

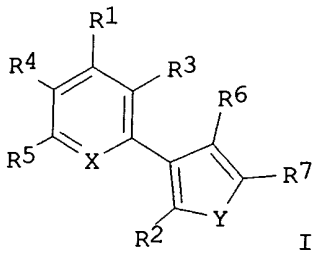
FORMAT

L5 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:27676 CAPLUS
DOCUMENT NUMBER: 130:81422
TITLE: **Quinoline**-indole antimicrobial agents
INVENTOR(S): Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857931	A2	19981223	WO 1998-US12762	19980618
WO 9857931	A3	19990429		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, BM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6207679	B1	20010327	US 1998-45051	19980319
EP 991623	A2	20000412	EP 1998-930396	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002505689	T2	20020219	JP 1999-504835	19980618
NO 9906269	A	20000216	NO 1999-6269	19991217

PRIORITY APPLN. INFO.:
US 1997-878781 A 19970619
US 1998-45051 A2 19980319
WO 1998-US12762 W 19980618

OTHER SOURCE(S): MARPAT 130:81422
GI



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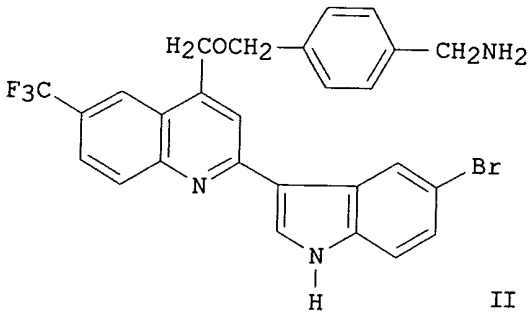


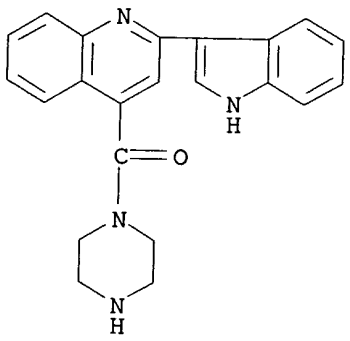
II

AB Indolylquinolines I [X = (un)substituted CH, N, N(O), P, As; Y = (un)substituted CH2, NH, O, Ph, S, AsH, Se; R1-R3 = H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CO2H, CONH2, anhydride, silyl, alkylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, epoxide, C(:NH)OH, oxime, SO2NH2, CSNH2, CS2NH2, urea, thiourea; R4R5, R6R7 = atoms required to complete a moncyclic or polycyclic ring system] were prepd. individually or by combinatorial synthesis for use as bactericides. Thus, 4-H2NC6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced and treated with iodine to give 4-BocNHC6H4CH2I which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 .mu.g/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococcus pneumoniae.

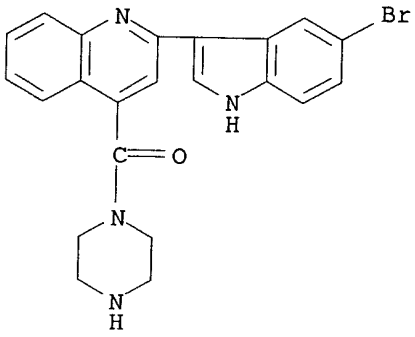
IT **210698-12-7P 218463-01-5P 218463-13-9P**
218463-16-2P 218463-17-3P 218463-19-5P
218463-32-2P 218463-41-3P 218463-49-1P
218463-50-4P 218463-51-5P 218463-52-6P
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P 218464-15-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolylquinoline bactericides)

RN 210698-12-7 CAPLUS

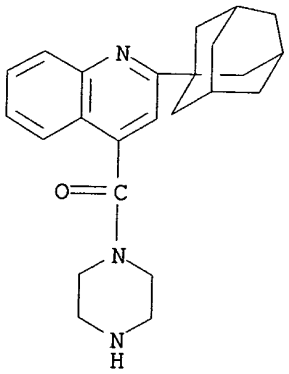
CN Piperazine, 1-[[2-(1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



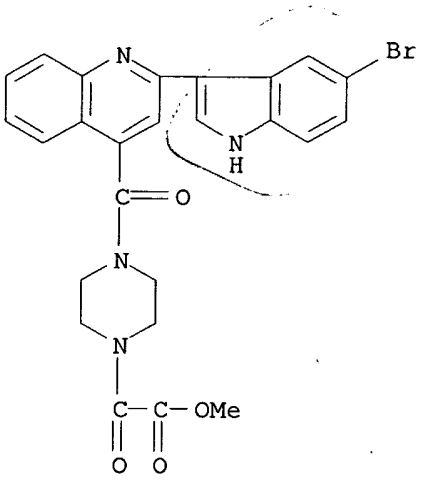
RN 218463-01-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



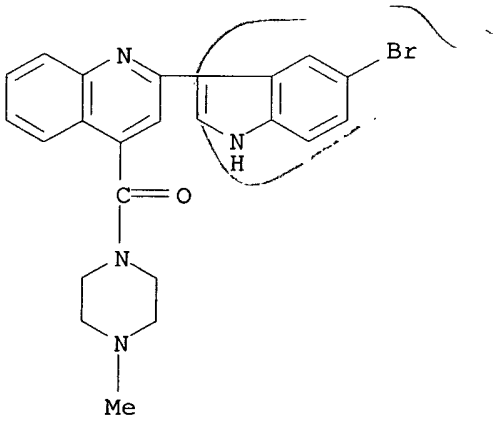
RN 218463-13-9 CAPLUS
CN Piperazine, 1-[(2-tricyclo[3.3.1.13,7]dec-1-yl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



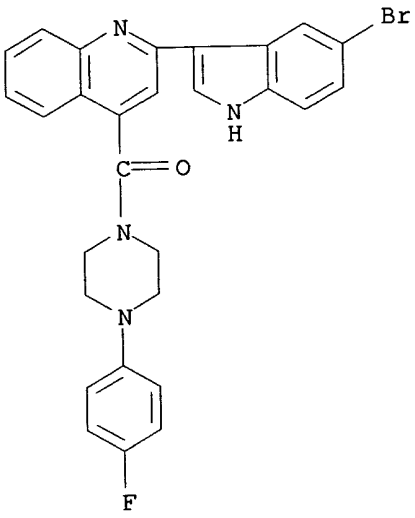
RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)



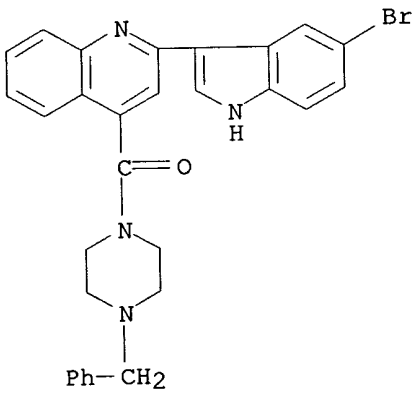
RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



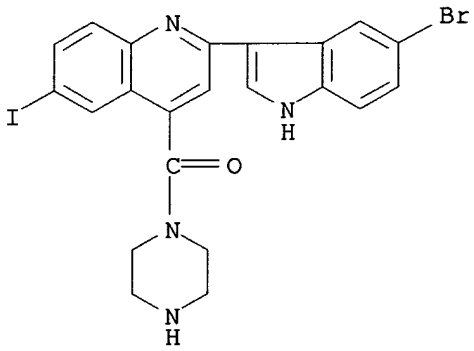
RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

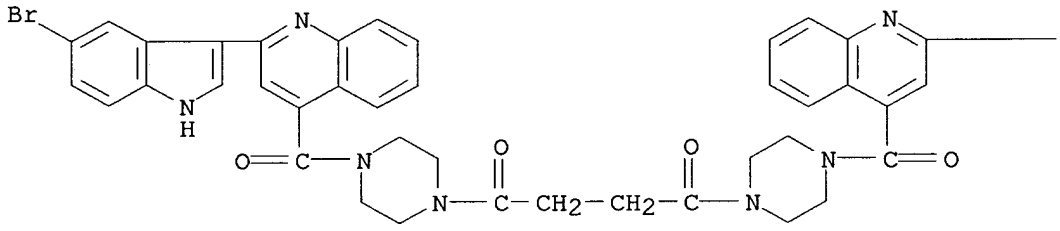


RN 218463-41-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-iodo-4-quinolinyl]carbonyl]-(9CI) (CA INDEX NAME)

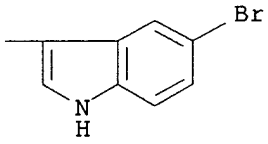


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

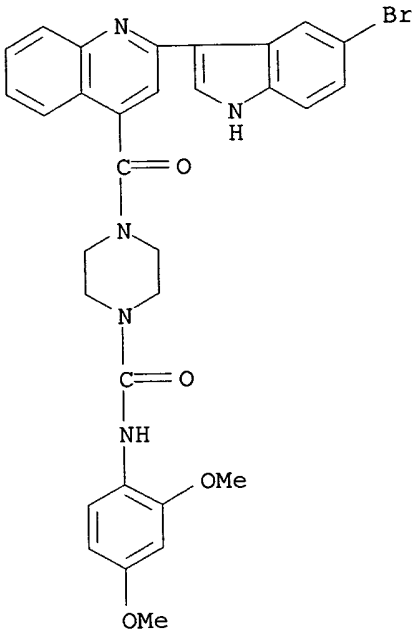
PAGE 1-A



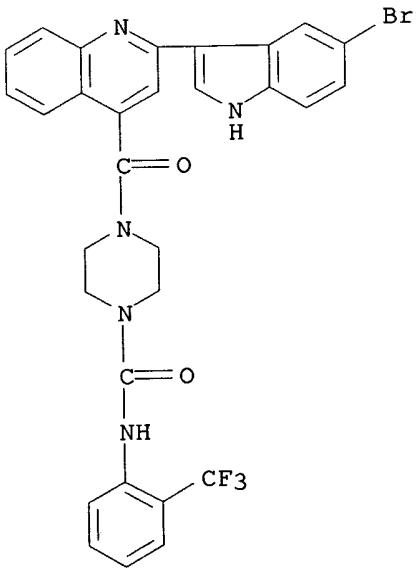
PAGE 1-B



RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

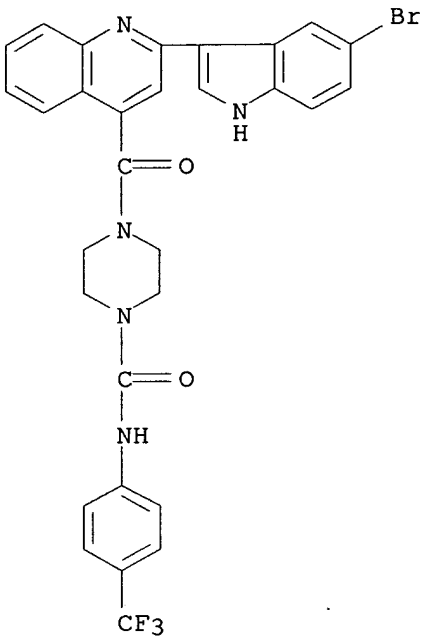


RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

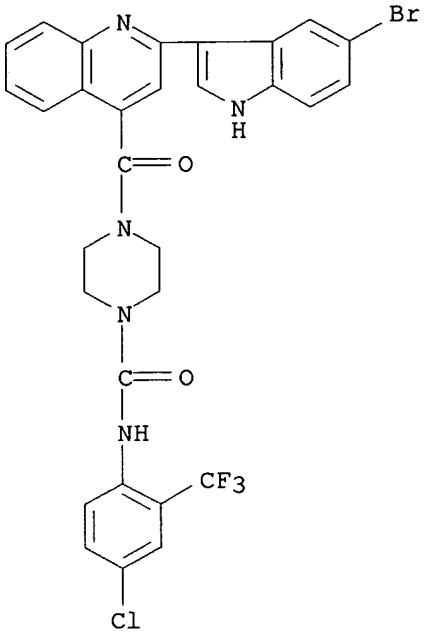


RN 218463-52-6 CAPLUS

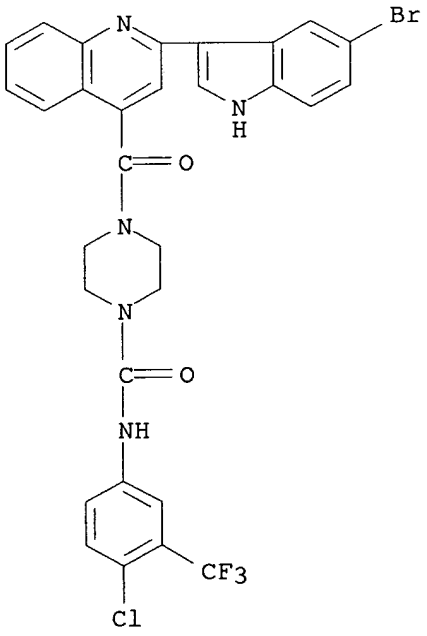
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



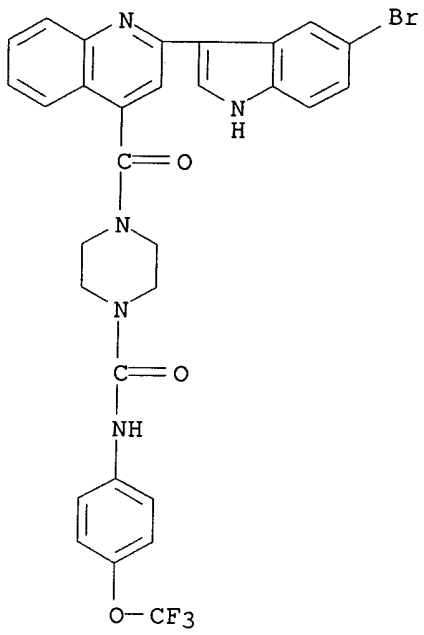
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



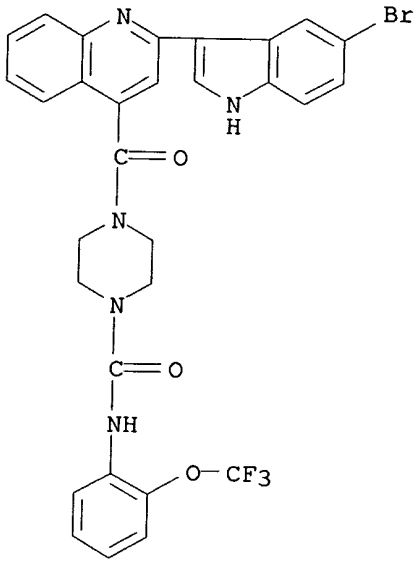
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



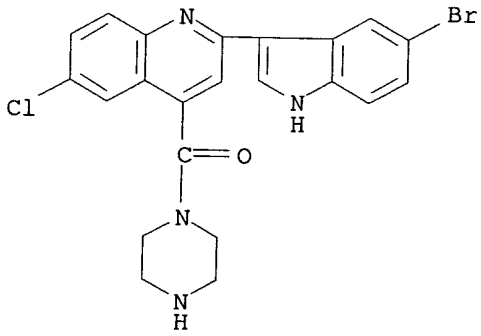
RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218464-15-4 CAPLUS
CN Piperazine,
1-[[2-(5-bromo-1H-indol-3-yl)-6-chloro-4-quinolinyl]carbonyl]-
(9CI) (CA INDEX NAME)

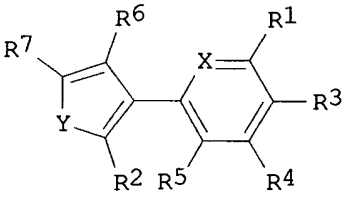


L5 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:9834 CAPLUS
DOCUMENT NUMBER: 130:81421
TITLE: Preparation of indolyl(iso)**quinolines** as bactericides
INVENTOR(S): Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857952	A1	19981223	WO 1998-US12706	19980618
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9882586	A1	19990104	AU 1998-82586	19980618

PRIORITY APPLN. INFO.: US 1997-878781 A2 19970619
WO 1998-US12706 W 19980618
OTHER SOURCE(S): MARPAT 130:81421
GI



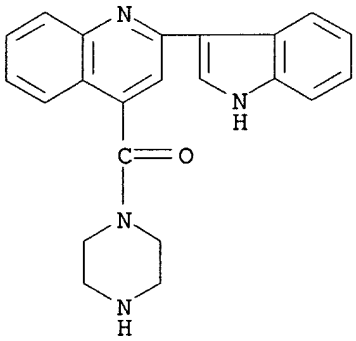
I

AB Title compds. [I; X = CR, N, NO, P, As; Y = CR2, NR, O, PR, S, AsR, Se; R,R1-R3 = H, halo, alkyl, alkoxy, etc.; R4R5,R6R7 = atoms to complete (un)substituted rings] were prepd. Thus, solid-phase synthesis of a 1-(3-indolyl)isoquinoline-3-aminoalkylcarboxamide was described. Data for biol. activity of I were given.

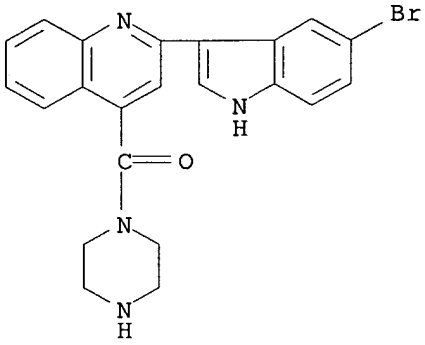
IT **210698-12-7P 218463-01-5P 218463-13-9P 218463-16-2P 218463-17-3P 218463-19-5P 218463-32-2P 218463-41-3P 218463-49-1P 218463-50-4P 218463-51-5P 218463-52-6P 218463-53-7P 218463-54-8P 218463-55-9P 218463-56-0P 218464-15-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolyl(iso)**quinolines** as bactericides)

RN 210698-12-7 CAPLUS
CN Piperazine, 1-[[2-(1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX

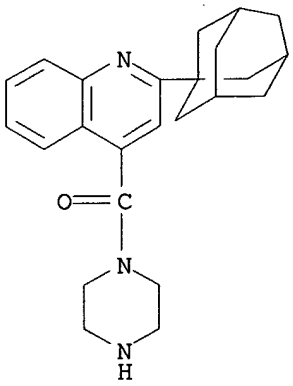
NAME)



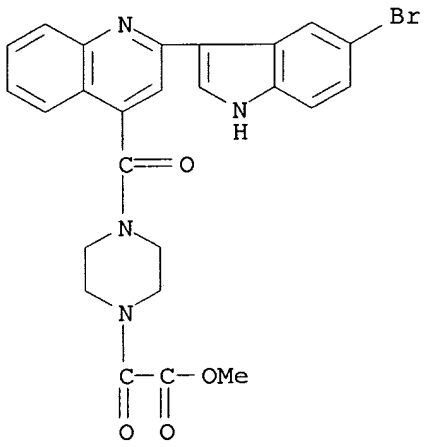
RN 218463-01-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



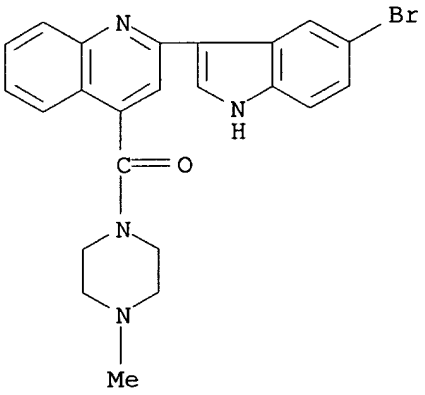
RN 218463-13-9 CAPLUS
CN Piperazine, 1-[(2-tricyclo[3.3.1.13,7]dec-1-yl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



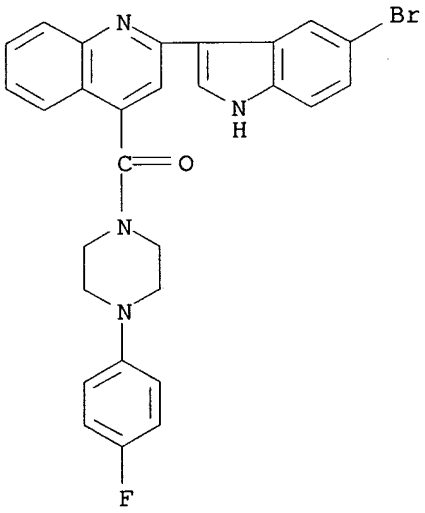
RN 218463-16-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)



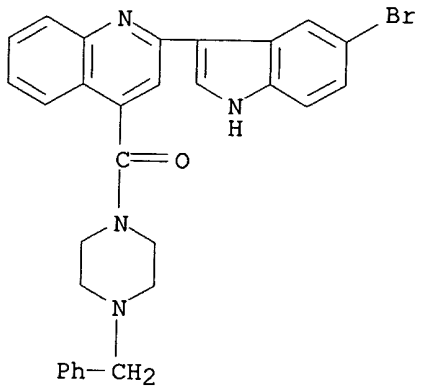
RN 218463-17-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



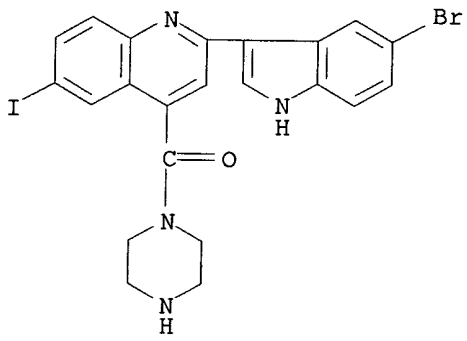
RN 218463-19-5 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 218463-32-2 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

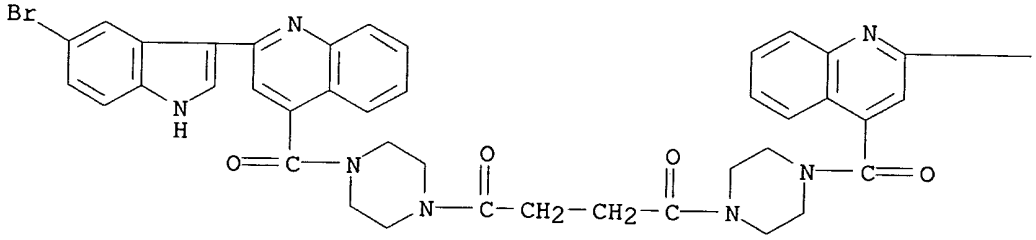


RN 218463-41-3 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-iodo-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

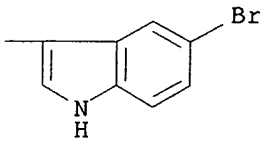


RN 218463-49-1 CAPLUS
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

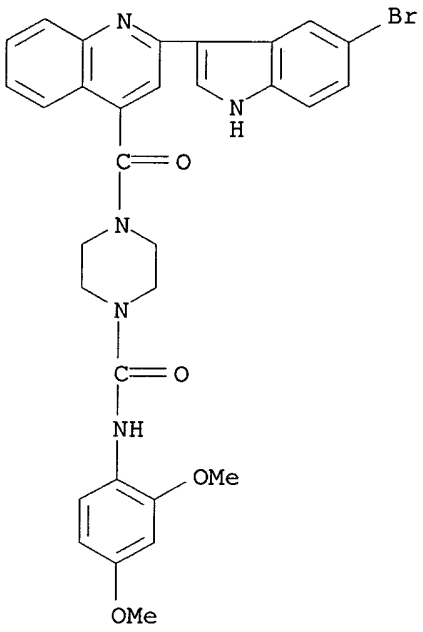
PAGE 1-A



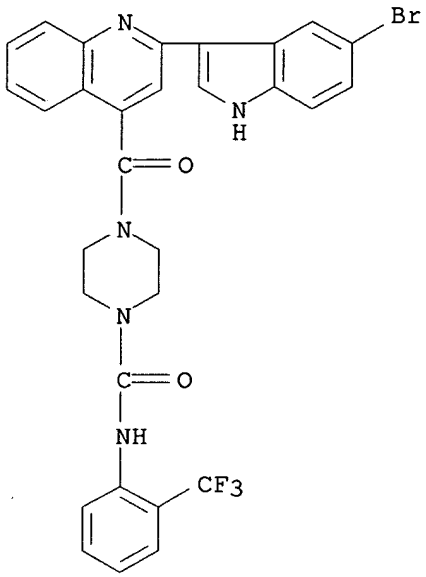
PAGE 1-B



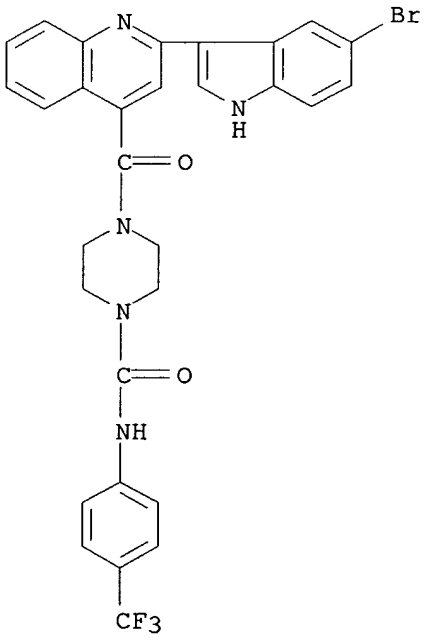
RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

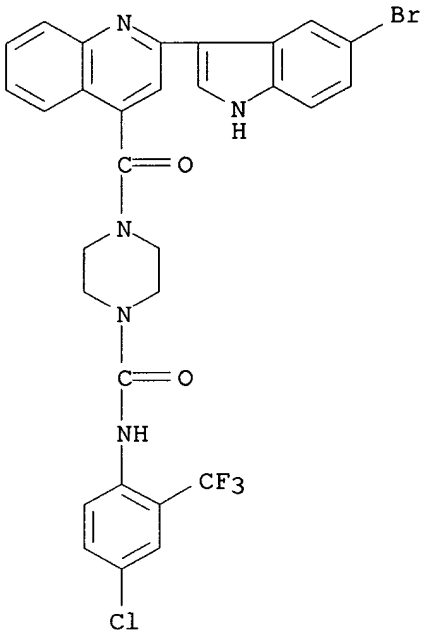


RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

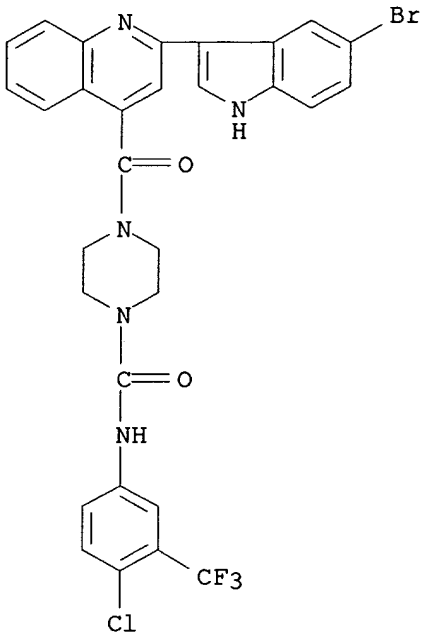


RN 218463-53-7 CAPLUS

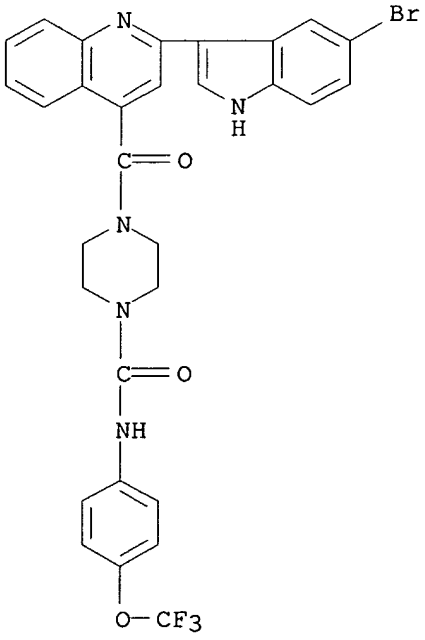
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



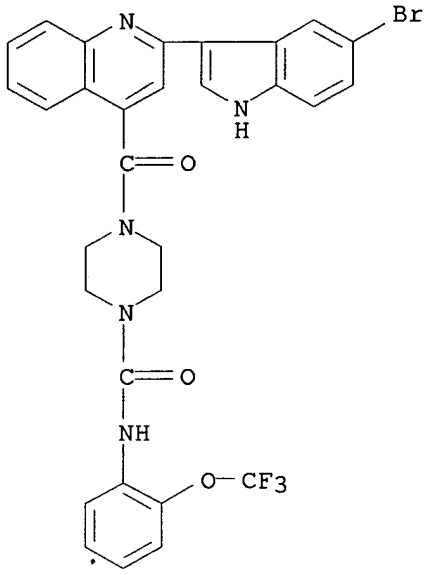
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



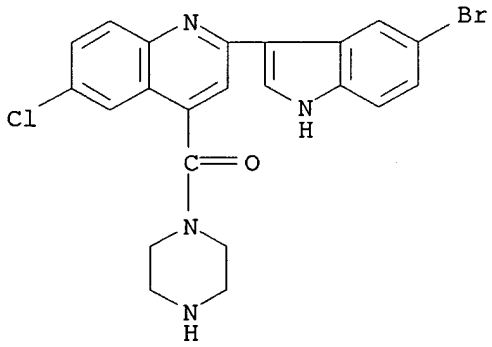
RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218464-15-4 CAPLUS
CN Piperazine, 1-[[2-(5-bromo-1H-indol-3-yl)-6-chloro-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

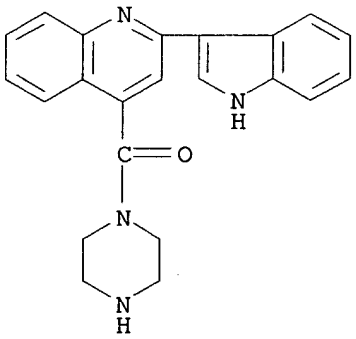
L5 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:435156 CAPLUS
DOCUMENT NUMBER: 129:136082
TITLE: Solid-phase synthesis of substituted **quinoline** and isoquinoline derivatives using heterocyclic N-oxide chemistry
AUTHOR(S): Hoemann, Michael Z.; Melikian-Badalian, Anita; Kumaravel, G.; Hauske, James R.
CORPORATE SOURCE: Sepracor Inc., Marlborough, MA, 01752, USA
SOURCE: Tetrahedron Letters (1998), 39(27), 4749-4752
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:136082

AB Using heterocyclic-N-oxide chem., various substituted **quinoline** and isoquinoline compds. were synthesized on a solid support in excellent purity and good to excellent yield. E.g., **quinoline** -4-carboxylic acid was attached to Wang resin using PyBOP coupling conditions. The resin bound **quinoline** was N-oxidized with m-CPBA, then sequentially treated with PhCOCl and indole. Cleavage from the resin gave an 83% yield of 2-(3-indolyl)-4-**quinoline** -4-carboxylic acid.

IT **210698-12-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of **quinolines** and isoquinolines using heterocyclic N-oxide chem.)

RN 210698-12-7 CAPLUS
CN Piperazine, 1-[[2-(1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

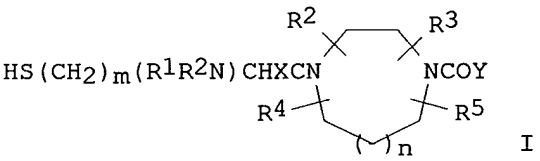


L5 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:220858 CAPLUS
DOCUMENT NUMBER: 128:270614
TITLE: Preparation of acylpiperazines and related compounds as inhibitors of farnesyl-protein transferase.
INVENTOR(S): Graham, Samuel L.; Williams, Theresa M.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 237,586, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5736539	A	19980407	US 1995-549829	19951116
WO 9500497	A1	19950105	WO 1994-US5634	19940519
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9404326	A	19951214	ZA 1994-4326	19940617
PRIORITY APPLN. INFO.:			US 1993-80028	19930618
			US 1994-237586	19940511
			WO 1994-US5634	19940519

OTHER SOURCE(S): MARPAT 128:270614
GI



I

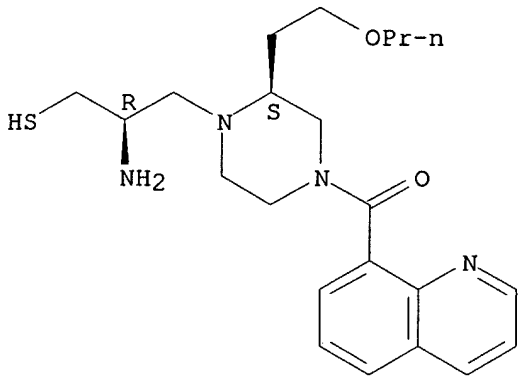
AB Title compds. e.g., [I; X = O, H2; m = 1, 2; n = 0, 1; t = 1, 4; R, R1 = H, alkyl, aralkyl; R2-R5 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, acyl; Y = (substituted) aryl, heterocyclyl], were prepd. Thus, 1-[2(R)-amino-3-mercaptopropyl]-2(S)-[2-(3-pyridylmethoxy)ethyl]-4-(1-naphthoyl)piperazine trihydrochloride (prepn. given) inhibited RAS farnesylation with IC50 = 1 nM.

IT **169448-28-6P 169448-43-5P 169449-21-2P 169449-28-9P 169449-29-0P 187268-12-8P 187268-15-1P 205678-89-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acylpiperazines and related compds. as inhibitors of farnesyl-protein transferase)

RN 169448-28-6 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-(2-propoxyethyl)-4-(8-

quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

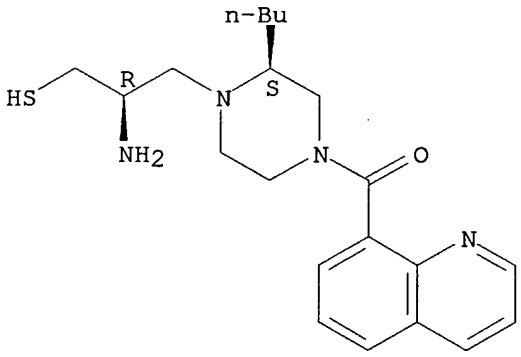
Absolute stereochemistry.



● 3 HCl

RN 169448-43-5 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-butyl-4-(8-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

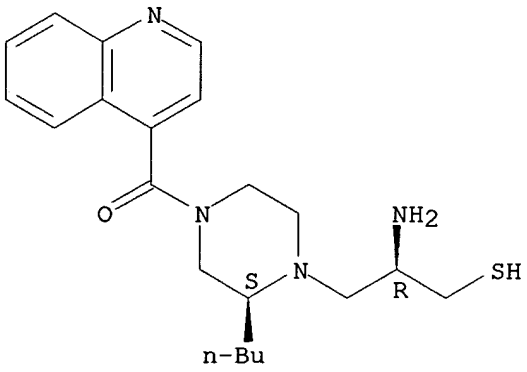
Absolute stereochemistry.



● 3 HCl

RN 169449-21-2 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-butyl-4-(4-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

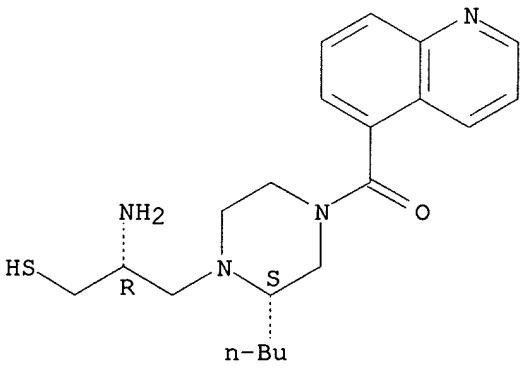
Absolute stereochemistry.



●3 HCl

RN 169449-28-9 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-butyl-4-(5-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

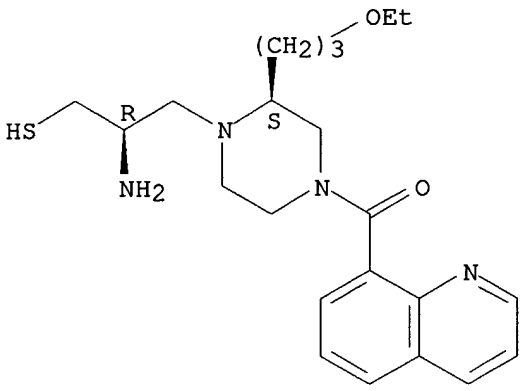
Absolute stereochemistry.



●3 HCl

RN 169449-29-0 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-(3-ethoxypropyl)-4-(8- quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

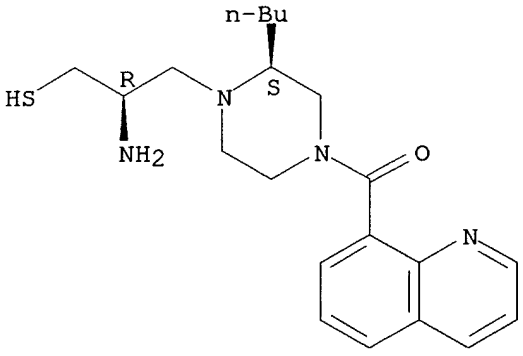
Absolute stereochemistry.



●3 HCl

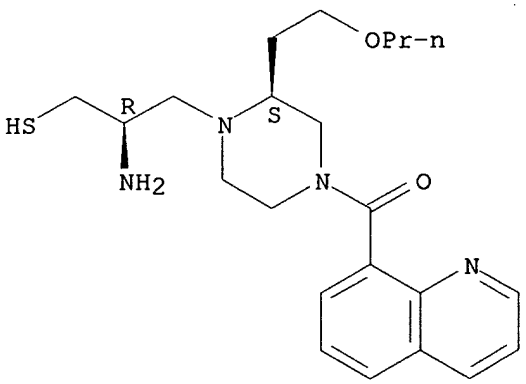
RN 187268-12-8 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-butyl-4-(8-quinolinylcarbonyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



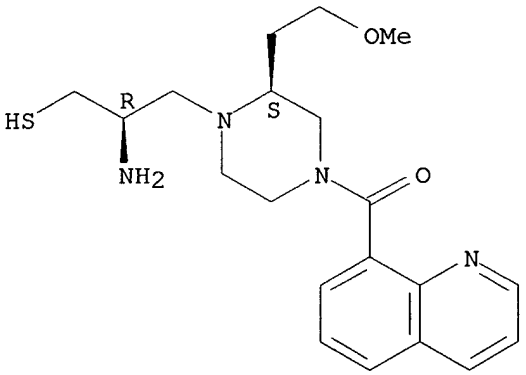
RN 187268-15-1 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-(2-propoxyethyl)-4-(8-quinolinylcarbonyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205678-89-3 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-(2-methoxyethyl)-4-(8-quinolinylcarbonyl)-, tetrahydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

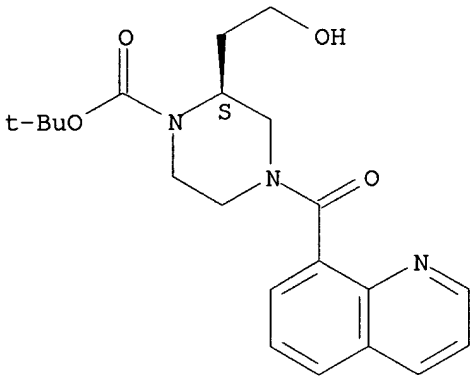
Absolute stereochemistry.



●4 HCl

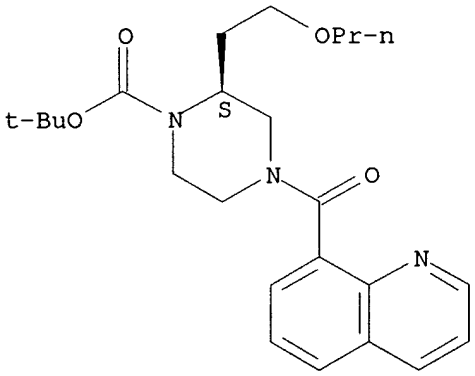
IT **169448-25-3P 169448-26-4P 169448-27-5P**
169448-41-3P 169448-42-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of acylpiperazines and related compds. as inhibitors of farnesyl-protein transferase)
RN 169448-25-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-(2-hydroxyethyl)-4-(8-quinolinylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



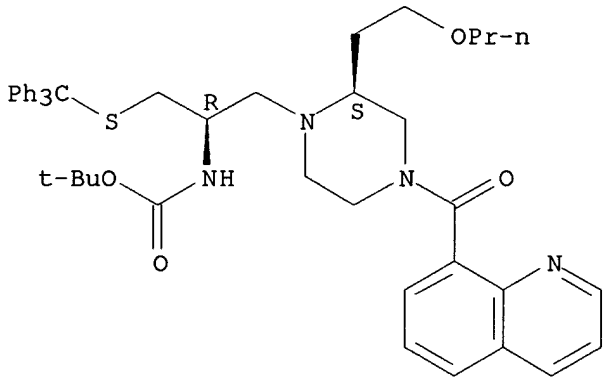
RN 169448-26-4 CAPLUS
CN 1-Piperazinecarboxylic acid,
2-(2-propoxyethyl)-4-(8-quinolinylcarbonyl)-,
1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



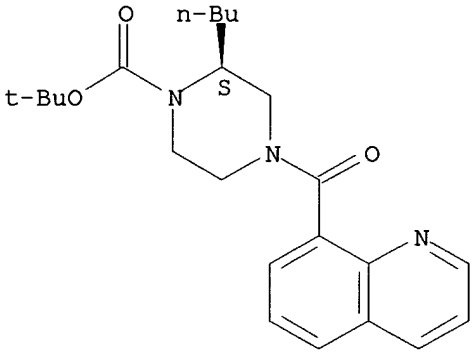
RN 169448-27-5 CAPLUS
CN Carbamic acid, [1-[[2-(2-propoxyethyl)-4-(8-quinolinylcarbonyl)-1-piperazinyl]methyl]-2-[(triphenylmethyl)thio]ethyl]-, 1,1-dimethylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



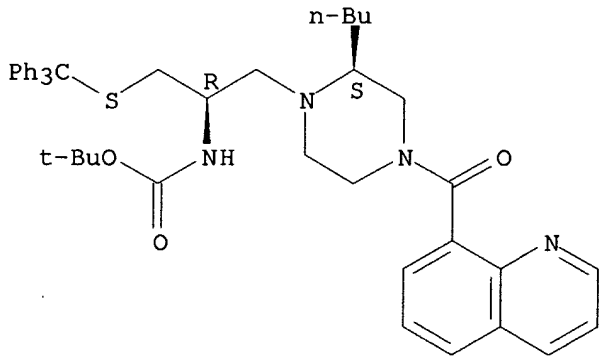
RN 169448-41-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-butyl-4-(8-quinolinylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169448-42-4 CAPLUS
CN Carbamic acid, [1-[[2-butyl-4-(8-quinolinylcarbonyl)-1-piperazinyl]methyl]-2-[(triphenylmethyl)thio]ethyl]-, 1,1-dimethylethyl ester, [S-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

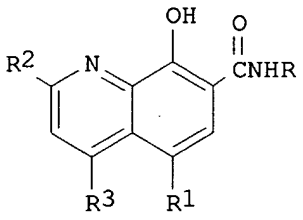


L5 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:180848 CAPLUS
DOCUMENT NUMBER: 128:243960
TITLE: 8-Hydroxy-7-substituted **quinolines** as anti-viral agents
INVENTOR(S): Vaillancourt, Valerie A.; Romines, Karen R.; Romero, Arthur G.; Tucker, John A.; Strohbach, Joseph W.; Bezencon, Olivier; Thaisrivongs, Suvit; et al.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA; Vaillancourt, Valerie A.; Romines, Karen R.; Romero, Arthur G.; Tucker, John A.; Strohbach, Joseph W.; Bezencon, Olivier; Thaisrivongs, Suvit
SOURCE: PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811073	A1	19980319	WO 1997-US15310	19970905
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9741721	A1	19980402	AU 1997-41721	19970905
EP 927164	A1	19990707	EP 1997-939690	19970905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6310211	B1	20011030	US 1997-924683	19970905

JP 2002505660	T2	20020219	JP 1998-513685		19970905
US 6211376	B1	20010403	US 1999-425789		19991022
US 6252080	B1	20010626	US 1999-425564		19991022
PRIORITY APPLN. INFO.:			US 1996-25870P	P	19960910
			US 1997-50720P	P	19970625
			US 1997-924683	A3	19970905
			WO 1997-US15310	W	19970905

OTHER SOURCE(S): MARPAT 128:243960
GI

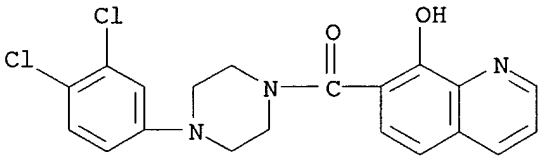


I

AB The present invention provides for 8-hydroxy-7-substituted **quinoline** compds. I (R = alkyl, alkylamino, alkoxyalkyl, etc.; R1 = H, F, Cl, Br, Cf3, etc.; R2 = H, alkyl, OH, arylalkenyl, etc.; R3 = H, OH, CF3, C1-C3alkyl) are prepd. as anti-viral agents. Specifically, these compds. have anti-viral activity against the herpes virus, cytomegalovirus (CMV). Many of these compds. are also active against other herpes viruses, such as the varicella zoster virus, the Epstein-Barr virus, the herpes simplex virus and the human herpes virus type 8 (HHV-8).

IT **205040-35-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 8-hydroxy-7-substituted **quinolines** as anti-viral agents)

RN 205040-35-3 CAPLUS
CN Piperazine, 1-(3,4-dichlorophenyl)-4-[(8-hydroxy-7-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)

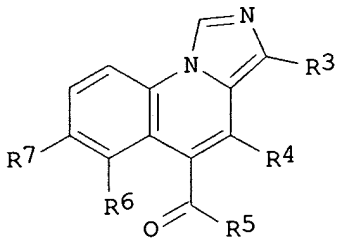


L5 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:178811 CAPLUS
DOCUMENT NUMBER: 126:171597
TITLE: Preparation of imidazo[1,5-a]**quinolines** as neuroprotective agents
INVENTOR(S): Carter, Donald B.
PATENT ASSIGNEE(S): Upjohn Co., USA; Carter, Donald B.
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700074	A1	19970103	WO 1996-US7952	19960531
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR				
AU 9660259	A1	19970115	AU 1996-60259	19960531
EP 833638	A1	19980408	EP 1996-917855	19960603
EP 833638	B1	20011121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
US 5935970	A	19990810	US 1996-657119	19960603
JP 2001518056	T2	20011009	JP 1997-503090	19960603
AT 209036	E	20011215	AT 1996-917855	19960603
ES 2167569	T3	20020516	ES 1996-917855	19960603

PRIORITY APPLN. INFO.: US 1995-246P P 19950615
WO 1996-US7952 W 19960531

OTHER SOURCE(S): MARPAT 126:171597
GI



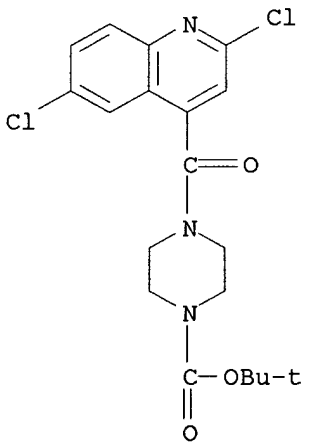
I

AB The title compds. [I; R3 = COOH, COOC1-6 alkyl, (un)substituted Ph, etc.; R4 = H, C1-4 alkyl, CF3; R5 = C1-6 alkyl, pyrrolidino, morpholino, etc.;

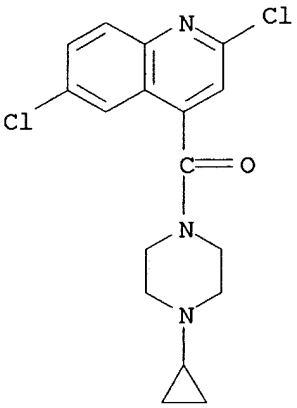
R6, R7 = H, halo, CN, etc.], useful in treating chronic neurodegenerative diseases such as amyotrophic lateral sclerosis, Parkinson's disease, dementia of the Alzheimer type, Wilson's disease, Huntington's disease, Guam degeneration (Lytico Bodig), progressive supranuclear palsy, Pick's disease, Hallervorden-Spatz syndrome, Creutzfeld-Jacob disease, Gerstmann-Straussler Scheinker syndrome, Kuru and corticobasal ganglionic degeneration, were prepd. Thus, treatment of pyrrolidino 2-hydroxyquinoline-4-carboxamide in DMF with tBuOK/THF followed by addn. of di-Et chlorophosphate, 3-isocyanomethyl-5-cyclopropyl-1,2,4-oxadiazole, and tBuOK/THF afforded I [R3 = 5-cyclopropyl-1,2,4-oxadiazol-3-yl; R4, R6, R7 = H; R5 = pyrrolidino]. Compds. I are effective at 1-4 mg/kg/day.

IT **170568-75-9P 170568-77-1P 170568-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazo[1,5-a]**quinolines** as neuroprotective agents)

RN 170568-75-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2,6-dichloro-4-quinolinyl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

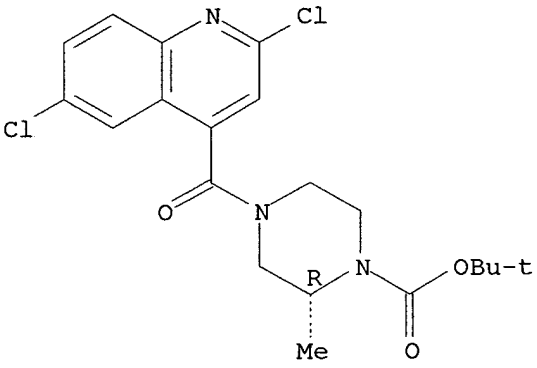


RN 170568-77-1 CAPLUS
CN Piperazine, 1-cyclopropyl-4-[(2,6-dichloro-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 170568-78-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2,6-dichloro-4-quinolinyl)carbonyl]-2-methyl-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 26 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:713016 CAPLUS
DOCUMENT NUMBER: 126:8138
TITLE: Preparation of tricyclic compounds as farnesyl protein transferase inhibitors
INVENTOR(S): Doll, Ronald J.; Mallams, Alan K.; Afonso, Adriano; Rane, Dinanath F.; Rossman, Randall R.; Njoroge, F. George
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631477	A1	19961010	WO 1996-US4171	19960403
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5712280	A	19980127	US 1995-418973	19950407
US 5672611	A	19970930	US 1995-446265	19950522
CA 2217477	AA	19961010	CA 1996-2217477	19960403
AU 9654328	A1	19961023	AU 1996-54328	19960403
EP 819120	A1	19980121	EP 1996-911442	19960403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI				
JP 10511980	T2	19981117	JP 1996-530363	19960403
JP 2999556	B2	20000117		

PRIORITY APPLN. INFO.: US 1995-418973 A 19950407
WO 1996-US4171 W 19960403

OTHER SOURCE(S): MARPAT 126:8138

GI

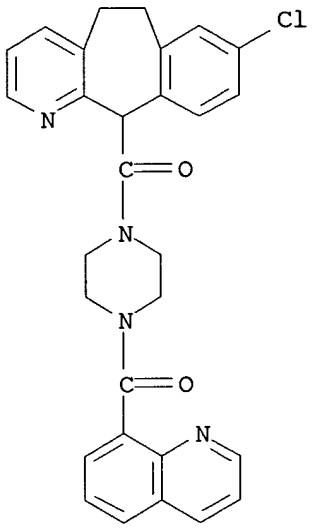
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = II, III, IV; R2 = H, C1-8 alkyl, C2-8 alkenyl, etc.; R3, R4 = H, halo, C1-6 alkyl; W = CH (when the optional bond is present), O, S, CH2; X = CH, N; Y = N, CH], useful for inhibiting Ras function and therefore inhibiting the abnormal growth of cells, were prepd. and formulated. Thus, reaction of the tricyclic compd. V with (R)-Ph3CSCH2(CHO)NHBoc in the presence of sodium triacetoxyborohydride, 4A mol. sieves, Et3N in DMF followed by deprotection afforded the expected product VI which showed IC50 of 10-100 .mu.M against FPT.

IT **183610-85-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic compds. as farnesyl protein transferase inhibitors)

RN 183610-85-7 CAPLUS

CN Piperazine, 1-[(8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)carbonyl]-4-(8-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:958518 CAPLUS
DOCUMENT NUMBER: 124:146212
TITLE: 8-Chloro-10,11-dihydro-10-(1-piperazinylcarbonyl)dibenz[b,f][1,4]oxazepine derivatives and analogs as analgesics and prostaglandin-E2 antagonists
INVENTOR(S): Hansen, Donald W., Jr.; Peterson, Karen B.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 38 pp. Cont.-in-part of U.S. 5,354,747.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

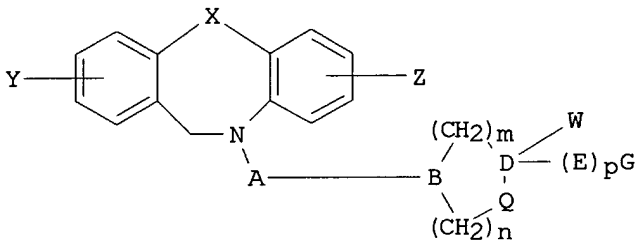
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5461047	A	19951024	US 1994-245349	19940518
US 5354747	A	19941011	US 1993-79021	19930616
WO 9429286	A1	19941222	WO 1994-US6029	19940602
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2165159	AA	19941222	CA 1994-2165159	19940602
AU 9471387	A1	19950103	AU 1994-71387	19940602
EP 703908	A1	19960403	EP 1994-920687	19940602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500107	T2	19970107	JP 1994-501874	19940602

PRIORITY APPLN. INFO.: US 1993-79021 19930616

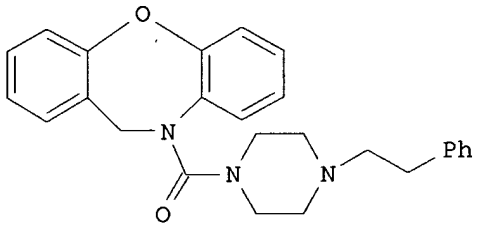
US 1994-245349 19940518
WO 1994-US6029 19940602

OTHER SOURCE(S): MARPAT 124:146212

GI



I



II

AB The present invention provides substituted dibenzoxazepine and dibenzothiazepine compds. I or a pharmaceutically-acceptable salt thereof,

wherein: W = (H)r; Q = [CH(R)q]t; X is oxygen, sulfur, SO, or SO2; Y is hydrogen, halogen or hydroxy; Z is hydrogen or halogen; A is alkylene or carbonyl; B is CH or nitrogen; D is carbon or nitrogen; E is alkylene, carbonyl, alkyleneamino or alkylenecarbonyl; G is hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, aminocycloalkyl, aryl, alkylenearyl or aryl-substituted aryl; R is hydrogen or CO2R1 ; R1 is hydrogen or alkyl; m is an integer of from 0 to 4; n is an integer of from 0 to 4; r is 0 or 1;

q is an integer of from 0 to 1; t is an integer of from 0 to 1; and p is an integer of from 0 to 1 (with provisos) which are useful as analgesic agents for the treatment of pain, and for prostaglandin-E2 mediated diseases. Thus, e.g., 10,11-dihydro-10-[[4-(2-phenylethyl)-1-piperazinyl]carbonyl]dibenz[b,f][1,4]oxazepine, monohydrochloride (II.HCl)

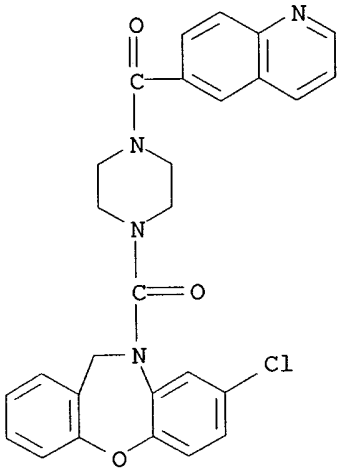
was synthesized by reductive alkylation of 8-chloro-10,11-dihydro-10-(1-piperazinylcarbonyl)dibenz[b,f][1,4]oxazepine, monohydrochloride (prepn. given) with phenylacetaldehyde, and exhibited analgesic activity of 10/10

in the writhing assay and prostaglandin-E2 antagonism with dose ratio of EC50 doses = 2.6.

IT **163839-47-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(8-chloro-10,11-dihydro-10-(1-piperazinylcarbonyl)dibenz[b,f][1,4]oxazepine derivs. and analogs as analgesics and prostaglandin-E2 antagonists)

RN 163839-47-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine, 8-chloro-10,11-dihydro-10-[[4-(6-quinolinylcarbonyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:931242 CAPLUS
DOCUMENT NUMBER: 123:340118
TITLE: Imidazo[1,5-a]**quinolines** for treatment of anxiety and sleep disorders
INVENTOR(S): Jacobsen, Eric Jon; Ten Brink, Rugh Elizabeth
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514020	A1	19950526	WO 1994-US12197	19941027

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2174106	AA	19950526	CA 1994-2174106	19941027	
AU 9480896	A1	19950606	AU 1994-80896	19941027	
AU 683507	B2	19971113			
EP 729469	A1	19960904	EP 1994-932018	19941027	
EP 729469	B1	19980923			

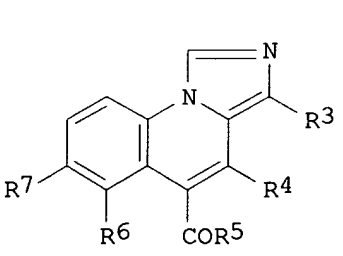
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

CN 1135753	A	19961113	CN 1994-194222	19941027	
CN 1067684	B	20010627			
JP 09505291	T2	19970527	JP 1994-514452	19941027	
AT 171453	E	19981015	AT 1994-932018	19941027	
ES 2123836	T3	19990116	ES 1994-932018	19941027	
US 5594140	A	19970114	US 1996-640973	19960513	
US 35840	E	19980707	US 1997-877611	19970617	

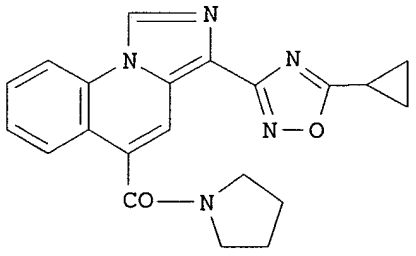
PRIORITY APPLN. INFO.:

US 1993-155405	A	19931119
US 1994-242556	A	19940513
WO 1994-US12197	W	19941027
US 1996-640973	A5	19960513

OTHER SOURCE(S): MARPAT 123:340118
GI



I



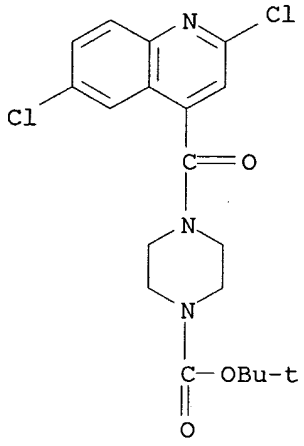
II

AB Imidazo[1,5-a]**quinolines** I are claimed [wherein R3 = CO2H or esters, CHO, alkanoyl, aroyl, (un)substituted Ph, oxadiazolyl, isoxazolyl;
R4 = H, alkyl, CF3; R5 = alkyl, (un)substituted Ph, OH, (un)substituted alkoxy or PhO, (un)substituted (a)cyclic amino; R6 = H, F, Br, alkyl, cyano, nitro, (un)substituted alkoxy, CO2H or esters, (un)substituted CONH2, etc.; R7 = H, F, Br, iodo, alkyl, cyano, nitro, CO2H or esters, (un)substituted CONH2, etc.]. Twenty-one specific compds. are claimed and
prepd. I are useful for treatment of anxiety, sleep disorders, panic states, convulsions, and muscle disorders (no data). For example, 2-hydroxyquinoline-4-carboxylic acid was treated with HCl in MeOH to give

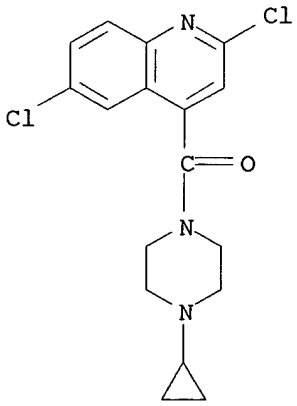
its Me ester, which reacted with pyrrolidine in THF at 80.degree. to give the corresponding pyrrolidine amide. Reaction of this compd. with KOBu-tert, followed by ClP(O)(OEt)2, then 3-(isocyanomethyl)-5-cyclopropyl-1,2,4-oxadiazole and addnl. KOBu-tert, gave title compd. II.

IT **170568-75-9P 170568-77-1P 170568-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of imidazoquinolines as anxiolytics and sedatives)

RN 170568-75-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2,6-dichloro-4-quinolinyl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

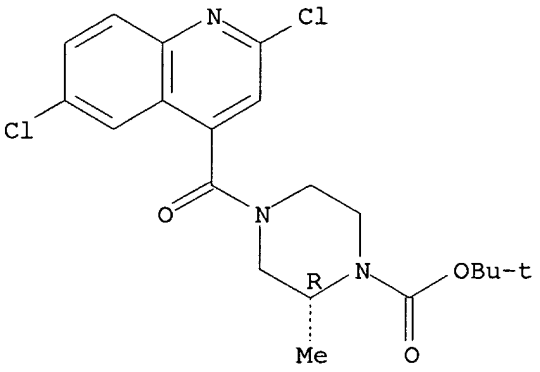


RN 170568-77-1 CAPLUS
CN Piperazine, 1-cyclopropyl-4-[(2,6-dichloro-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 170568-78-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2,6-dichloro-4-quinolinyl)carbonyl]-2-methyl-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

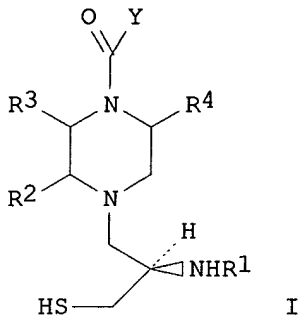
Absolute stereochemistry.



L5 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:881293 CAPLUS
DOCUMENT NUMBER: 123:286080
TITLE: Preparation of .alpha.-(mercaptoalkyl)-1-piperazineethanamines as inhibitors of farnesyl-protein transferase
INVENTOR(S): Graham, Samuel L.; Williams, Theresa M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9500497	A1	19950105	WO 1994-US5634	19940519
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2165176	AA	19950105	CA 1994-2165176	19940519
AU 9470412	A1	19950117	AU 1994-70412	19940519
AU 675145	B2	19970123		
EP 703905	A1	19960403	EP 1994-919174	19940519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500109	T2	19970107	JP 1994-502810	19940519
ZA 9404326	A	19951214	ZA 1994-4326	19940617
US 5736539	A	19980407	US 1995-549829	19951116

PRIORITY APPLN. INFO.: US 1993-80028 19930618
US 1994-237586 19940511
WO 1994-US5634 19940519
OTHER SOURCE(S): MARPAT 123:286080
GI



I

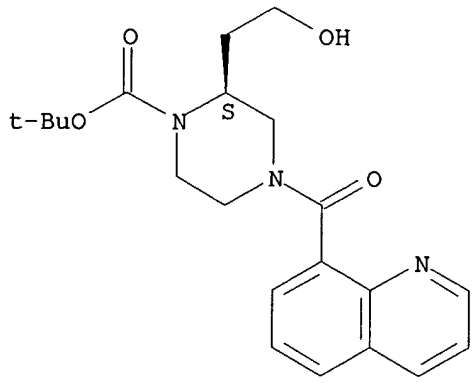
AB Compds. which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras were disclosed. More narrowly defined claimed compds. are .alpha.-(mercaptomethyl)-1-piperazineethanamines I (Y = Ph, aryl, furanyl, etc.; R1-R4 = H, alkyl, substituent, etc.). The invention is further directed to chemotherapeutic compns. contg. the compds. of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

IT **169448-25-3P 169448-26-4P 169448-27-5P**
169448-41-3P 169448-42-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of .alpha.-(mercaptoalkyl)-1-piperazineethanamines farnesyl-protein transferase inhibitors)

RN 169448-25-3 CAPLUS

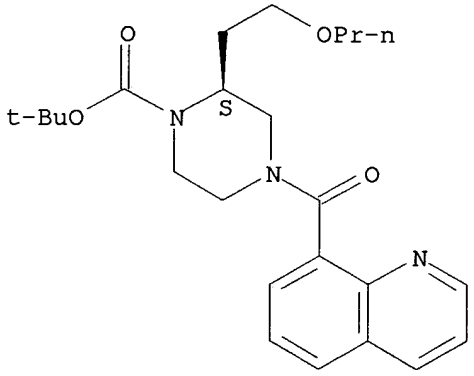
CN 1-Piperazinecarboxylic acid, 2-(2-hydroxyethyl)-4-(8-quinolinylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



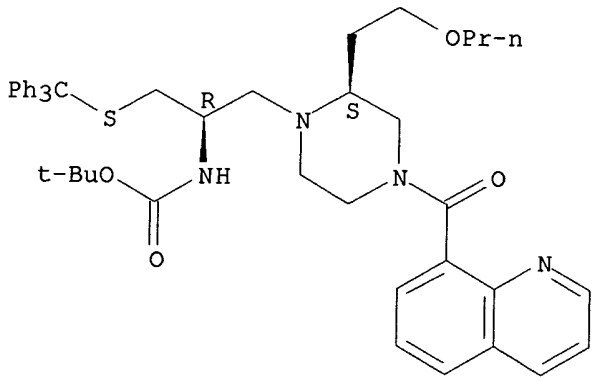
RN 169448-26-4 CAPLUS
CN 1-Piperazinecarboxylic acid,
2-(2-propoxyethyl)-4-(8-quinolinylcarbonyl)-,
1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



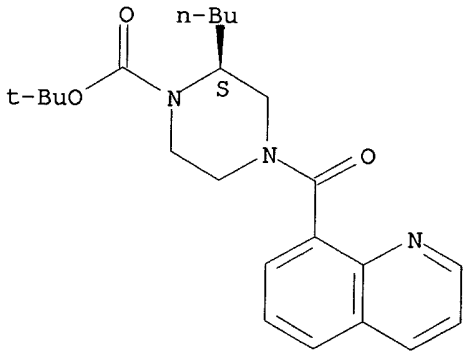
RN 169448-27-5 CAPLUS
CN Carbamic acid, [1-[[2-(2-propoxyethyl)-4-(8-quinolinylcarbonyl)-1-piperazinyl]methyl]-2-[(triphenylmethyl)thio]ethyl]-, 1,1-dimethylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



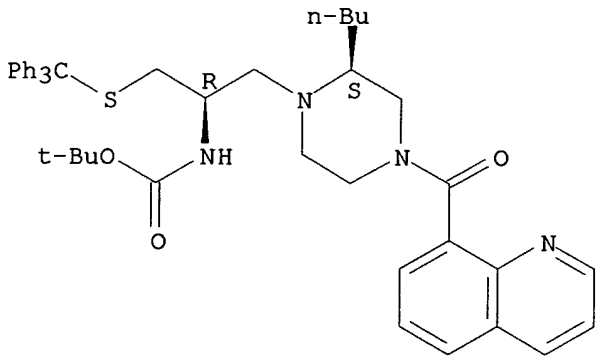
RN 169448-41-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-butyl-4-(8-quinolinylcarbonyl)-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



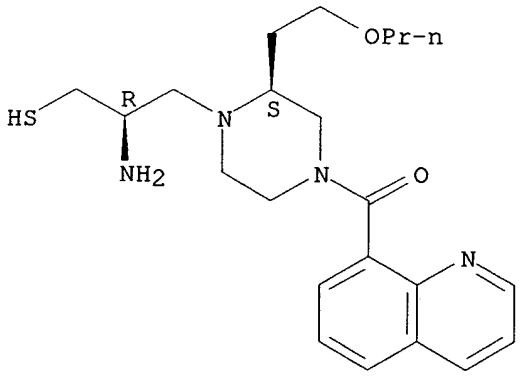
RN 169448-42-4 CAPLUS
CN Carbamic acid, [1-[[2-butyl-4-(8-quinolinylcarbonyl)-1-piperazinyl]methyl]-2-[(triphenylmethyl)thio]ethyl]-, 1,1-dimethylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **169448-28-6P 169448-43-5P 169449-21-2P**
169449-27-8P 169449-28-9P 169449-29-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-(mercaptoalkyl)-1-piperazineethanamines farnesyl-protein transferase inhibitors)
RN 169448-28-6 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-(2-propoxyethyl)-4-(8-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

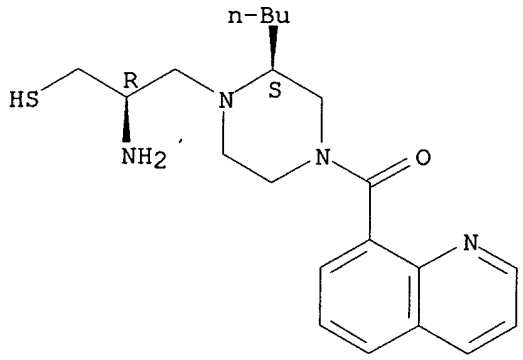
Absolute stereochemistry.



●3 HCl

RN 169448-43-5 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-butyl-4-(8-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

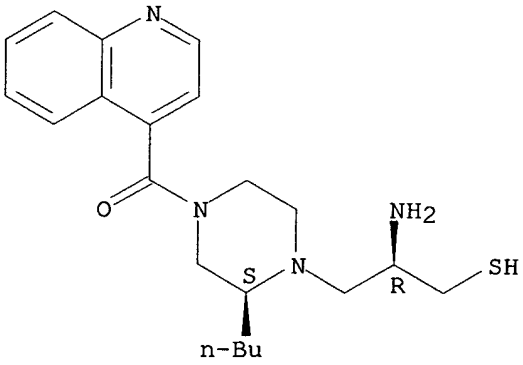
Absolute stereochemistry.



● 3 HCl

RN 169449-21-2 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-butyl-4-(4-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

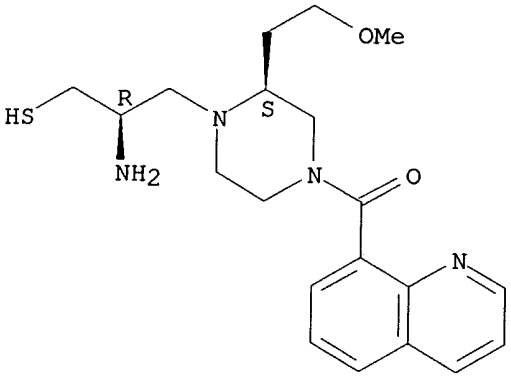
Absolute stereochemistry.



● 3 HCl

RN 169449-27-8 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-(2-methoxyethyl)-4-(8-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

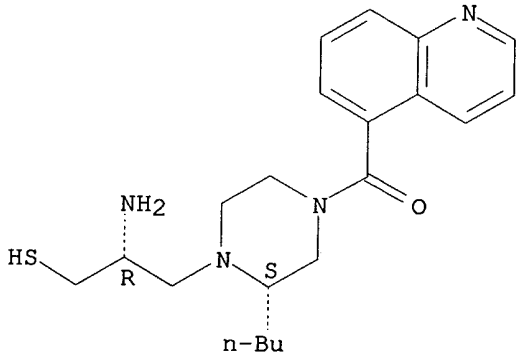
Absolute stereochemistry.



●3 HCl

RN 169449-28-9 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-butyl-4-(5-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

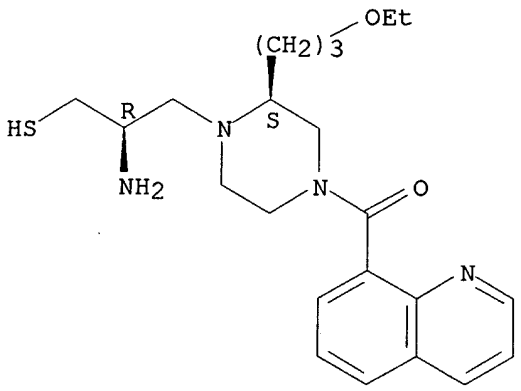
Absolute stereochemistry.



●3 HCl

RN 169449-29-0 CAPLUS
CN 1-Piperazinepropanethiol, .beta.-amino-2-(3-ethoxypropyl)-4-(8-quinolinylcarbonyl)-, trihydrochloride, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

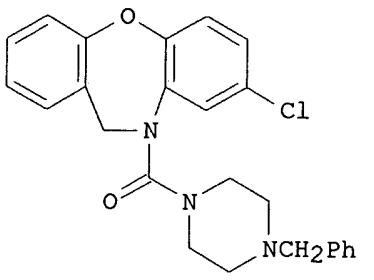


●3 HCl

L5 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:682580 CAPLUS
DOCUMENT NUMBER: 123:83397
TITLE: Analgesic dibenzoxazepines and dibenzothiazepines
INVENTOR(S): Hansen, Donald Willis, Jr.; Peterson, Karen Berenice
PATENT ASSIGNEE(S): Searle, G. D., and Co., USA
SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9429286	A1	19941222	WO 1994-US6029	19940602
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5354747	A	19941011	US 1993-79021	19930616
US 5461047	A	19951024	US 1994-245349	19940518
AU 9471387	A1	19950103	AU 1994-71387	19940602
EP 703908	A1	19960403	EP 1994-920687	19940602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500107	T2	19970107	JP 1994-501874	19940602

PRIORITY APPLN. INFO.: US 1993-79021 19930616
US 1994-245349 19940518
WO 1994-US6029 19940602
OTHER SOURCE(S): MARPAT 123:83397
GI



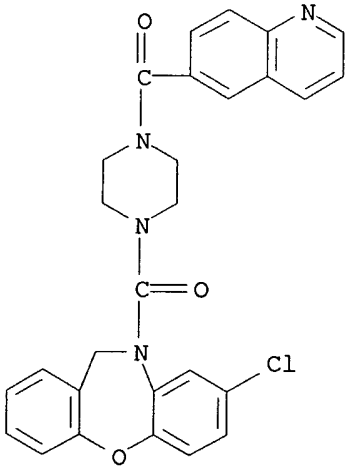
I

AB Dibenz[b,f][1,4]oxazepines and dibenz[b,f][1,4]thizepines were disclosed for the treatment of prostaglandin-E2 mediated diseases. A claimed example compd. is 8-chloro-10,11-dihydro-10-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]dibenz[b,f][1,4]oxazepine hydrochloride (I).

IT **163839-47-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dibenz[b,f][1,4]oxazepines analgesics)

RN 163839-47-2 CAPLUS

CN Dibenz[b,f][1,4]oxazepine, 8-chloro-10,11-dihydro-10-[[4-(6-quinolinylcarbonyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:205963 CAPLUS

DOCUMENT NUMBER: 123:9468

TITLE: 2-, 3-, 4-, 5-, 6-, 7-, 8-, 9- and/or 10-substituted dibenzoxazepine and dibenzthiazepine compounds as

analgesics and prostaglandin E2 antagonists, pharmaceutical compositions and methods of use

INVENTOR(S): Hansen, Donald W., Jr.; Peterson, Karen B.
PATENT ASSIGNEE(S): Searle, G. D., and Co., USA

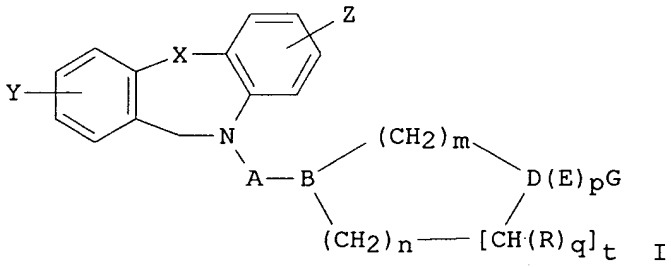
SOURCE: U.S., 39 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5354747	A	19941011	US 1993-79021	19930616
US 5461047	A	19951024	US 1994-245349	19940518
WO 9429286	A1	19941222	WO 1994-US6029	19940602
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2165159	AA	19941222	CA 1994-2165159	19940602
AU 9471387	A1	19950103	AU 1994-71387	19940602
EP 703908	A1	19960403	EP 1994-920687	19940602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500107	T2	19970107	JP 1994-501874	19940602

PRIORITY APPLN. INFO.: US 1993-79021 19930616
US 1994-245349 19940518
WO 1994-US6029 19940602

OTHER SOURCE(S): MARPAT 123:9468

GI



I

AB The present invention provides substituted dibenzoxazepine and dibenzthiazepine compds. I which are useful as analgesic agents for the treatment of pain, and for prostaglandin-E2 mediated diseases, pharmaceutical compns. comprising a therapeutically-effective amt. of I in combination with a pharmaceutically-acceptable carrier, a method for

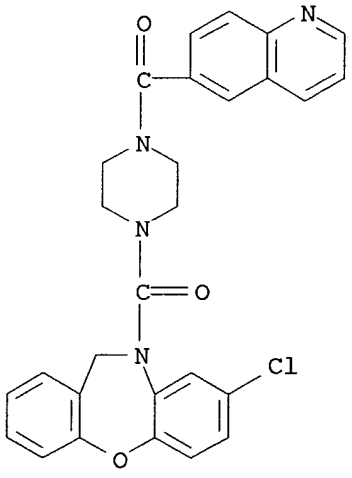
eliminating or ameliorating pain in an animal comprising administering a therapeutically-effective amt. of I to the animal, and a method for treating prostaglandin-E2 mediated diseases in an animal comprising administering a therapeutically-effective amt. of I to the animal. Analgesic activity was measured using the writhing assay at std. dose of 10 mpk/g body wt.: I produced analgesia in from 2/10 to 10/10 of the mice.

Prostaglandin E2 antagonism assay (inhibition of contraction of guinea pig ileum): dose ratio of EC50 doses of from 0.8 to 32. Pharmaceutical compns. were given.

IT **163839-47-2P**, 1[-Chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-4-[(6-quinolinyl]carbonyl]yl)carbonyl]-4-[(6-quinolinyl)carbonyl]piperazine
RL: SPN (Synthetic preparation); PREP (Preparation)
(substituted dibenzoxazepine and dibenzthiazepine compds. as analgesics and prostaglandin E2 antagonists)

RN 163839-47-2 CAPLUS

CN Dibenz[b,f][1,4]oxazepine, 8-chloro-10,11-dihydro-10-[[4-(6-quinolinylcarbonyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 32 OF 45 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:244697 CAPLUS

DOCUMENT NUMBER: 120:244697

TITLE: Preparation of **quinoline**-2,4-dicarboxylic acid diamide derivatives as antiphlogistics and immunosuppressants

INVENTOR(S): Suzuki, Fumio; Nakazato, Nobusuke; Oomori, Takemori; Nakajima, Hiroshi

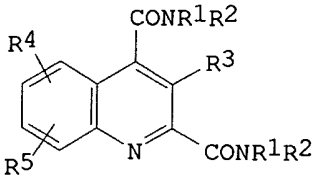
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

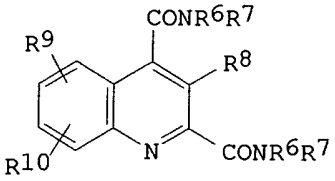
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05310702	A2	19931122	JP 1992-113603	19920506

OTHER SOURCE(S): MARPAT 120:244697
GI



I

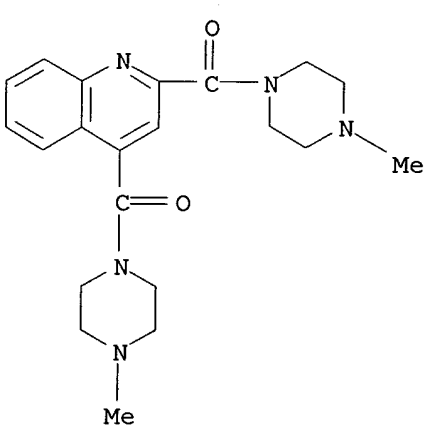


II

AB The title derivs. I [R1, R2 = H, lower alkyl, aralkyl, (un)substituted aryl; R3 = H, lower alkyl, aryl; R4, R5 = H, halo; n = 2-5; NR1R2 = (un)substituted heterocyclyl], their pharmaceutically acceptable salts, II [R6, R7 = H, (CH2)nPh, substituted aryl; R8 = H, lower alkyl; R9, R10 = H, halo; n = 2-5; NR6R7 = (un)substituted heterocyclyl], or their pharmaceutically acceptable salts are prepd. Antiphlogistics and immunosuppressants contg. I, II, or their pharmaceutically acceptable salts as effective components are also claimed. A suspension of **quinoline**-2,4-dicarboxylic acid in PhMe was treated dropwise with SOCl2 and DMF at room temp., refluxed for 2 h, then treated with 3-phenyl-1-propylamine and Et3N at room temp. for 10 h to give 70% N,N'-bis(3-phenylpropyl)**quinoline**-2,4-dicarboxylic acid diamide. 2,4-Bis[(thiomorpholin-1-yl)carbonyl]**quinoline** at 100 mg/kg P.O. inhibited 28.0% the carrageenin-induced rat paw edema.

IT **153814-48-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiphlogistic and immunosuppressant)

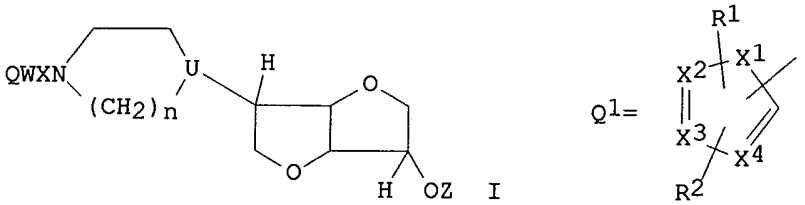
RN 153814-48-3 CAPLUS
CN Piperazine, 1,1'-(2,4-quinolinediyldicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 33 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:536644 CAPLUS
DOCUMENT NUMBER: 115:136644
TITLE: Preparation of heterocyclylhexitols as coronary vasodilators
INVENTOR(S): Suzuki, Fumio; Hayashi, Hiroaki; Kuroda, Takeshi; Kubo, Kazuhiro; Ikeda, Junichi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 393574	A2	19901024	EP 1990-107245	19900417
EP 393574	A3	19910821		
EP 393574	B1	19960131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2014520	AA	19901017	CA 1990-2014520	19900412
CA 2014520	C	19960716		
US 5053408	A	19911001	US 1990-508701	19900413
JP 03218381	A2	19910925	JP 1990-100005	19900416
JP 2954647	B2	19990927		
AT 133671	E	19960215	AT 1990-107245	19900417
ES 2085295	T3	19960601	ES 1990-107245	19900417

PRIORITY APPLN. INFO.: JP 1989-97032 19890417
JP 1989-293125 19891110
OTHER SOURCE(S): MARPAT 115:136644
GI



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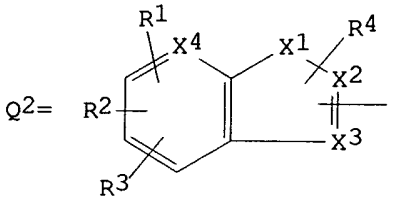
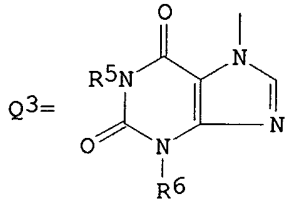
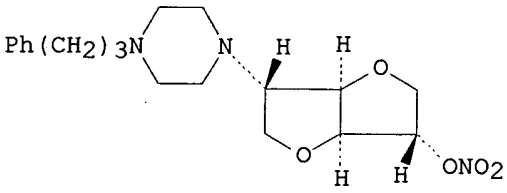






@ HCl

II

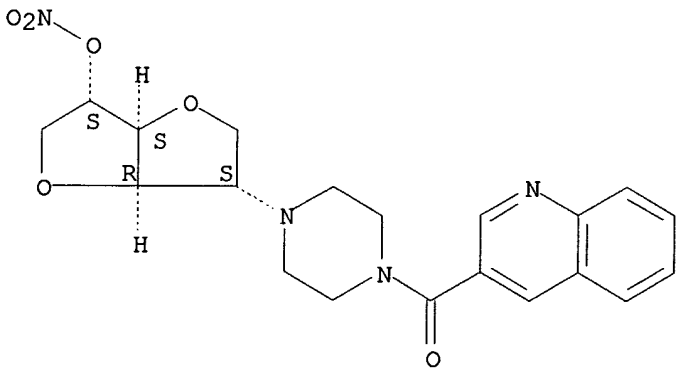
AB Title compds. [I; Q = Q1, Q2, Q3, etc.; X1 = NH, O, S; X2-X4 = CH, N; R1-R4 = H, alkyl, CF3, aryl, alkanoyloxy, amino, alkanoyl, halo, NO2, etc.; R5, R6 = H, alkyl; U = N, N(O); W = bond, O, S; X = (CY1Y2)l, CY3:CY4 = (CY1Y2)l; Y1, Y2 = H, alkyl, OH, alkanoyloxy, cyano, Ph; Y1Y2 = O; Y3, Y4 = H, alkyl; l = 0-6; Z = H, NO2; n = 2, 3], were prepd. Thus, a mixt. of 1,4:3,6-dianhydro-D-glucitol 5-methanesulfonate was refluxed 36 h with piperazine in BuOH to give 5-deoxy-5-piperazin-1-yl-1,4:3,6-dianhydro-L-iditol methanesulfonate. The latter in aq. H2SO4 was added to a -15.degree. mixt. of urea and 86% HNO3 in conc. H2SO4 to give 38% 5-deoxy-5-piperazin-1-yl-1,4:3,6-dianhydro-L-iditol 2-nitrate. The latter was refluxed 24 h with 1-chloro-3-phenylthiopropane and Et3N in EtOH to give 34% of title compd. II. II at 0.3 mg/kg i.d. was effective against propranolol-induced heart failure in dogs.

IT **134186-14-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as coronary vasodilator)

RN 134186-14-4 CAPLUS

CN L-Iditol, 1,4:3,6-dianhydro-2-deoxy-2-[4-(3-quinolinylcarbonyl)-1-piperazinyl]-, 5-nitrate, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



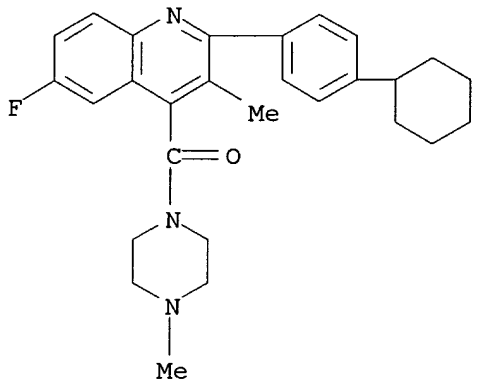
●x HCl

L5 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:35413 CAPLUS
DOCUMENT NUMBER: 114:35413
TITLE: Structure-activity relationship of **quinoline** carboxylic acids. A new class of inhibitors of dihydroorotate dehydrogenase
AUTHOR(S): Chen, Shih Fong; Papp, Lisa M.; Ardecky, Robert J.; Rao, Ganti V.; Hesson, David P.; Forbes, Martin; Dexter, Daniel L.
CORPORATE SOURCE: Pharm. Biotechnol. Res. Dev. Div., E. I. Du Pont de Nemours and Co., Wilmington, DE, 19898, USA
SOURCE: Biochem. Pharmacol. (1990), 40(4), 709-14
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The novel anticancer drug candidate brequinar sodium [DuP 785, I] and other **quinoline** carboxylic acids inhibit dihydroorotate dehydrogenase, the fourth enzyme in the de novo pyrimidine biosynthetic pathway leading to the formation of UMP. Sixty-nine **quinoline** 4-carboxylic acid analogs were analyzed as inhibitors of L1210 dihydroorotate dehydrogenase. This structure-activity relationship study identified three crit. regions of brequinar sodium and its analogs, where specific substitutions are required for the inhibition of the activity of dihydroorotate dehydrogenase. The three principal regions are (i) the C(2) position where bulky hydrophobic substituents are necessary, (ii) the C(4) position which has a strict requirement for the carboxylic acid and its corresponding salts, and (iii) the benzo portion of the **quinoline** ring with appropriate substitutions. These results will be useful in the elucidation of the precise nature of the interaction between brequinar sodium and dihydroorotate dehydrogenase.
IT **130507-56-1**

RL: BIOL (Biological study)
(dihydroorotate dehydrogenase inhibition by, antitumor activity of, structure in relation to)

RN 130507-56-1 CAPLUS

CN Piperazine, 1-[[2-(4-cyclohexylphenyl)-6-fluoro-3-methyl-4-quinolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1990:532029 CAPLUS

DOCUMENT NUMBER: 113:132029

TITLE: Preparation of **quinoline** derivatives as antioxidants

INVENTOR(S): Kuroki, Yoshiaki; Asada, Hideki; Oda, Hiroyuki; Chihara, Yasuaki; Izumi, Noriyoshi; Shimada, Shuji

PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan; Yoshitomi Pharmaceutical Industries, Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

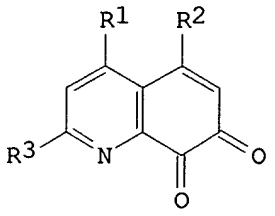
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02129169	A2	19900517	JP 1988-281830	19881108

OTHER SOURCE(S): MARPAT 113:132029

GI



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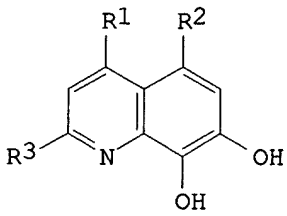
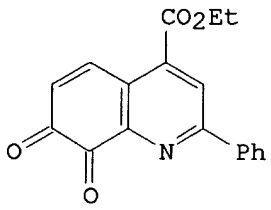


II



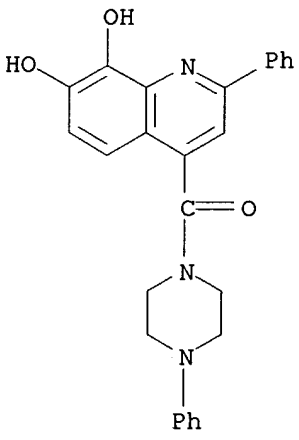
III

AB The title compds. I [R1 = H, CO2H, alkoxycarbonyl, etc.; R2 = H, alkoxy, NH2; R3 = alkyl, pyridyl, (substituted) Ph, etc.] and II which inhibit lipid peroxidn. and blood platlet aggregation and are useful as cardiovascular agents, are prepd. A mixt of 4-ethoxycarbonyl-7,8-dihydroxy-2-phenylquinoline and Jones reagent in acetone was stirred for 2 h to give **quinoline** III. In an in vitro test using rat liver microsomes, III exhibited an IC50 of 17 .mu.M against lipid peroxidn.

IT **129375-84-4P 129376-30-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antioxidant)

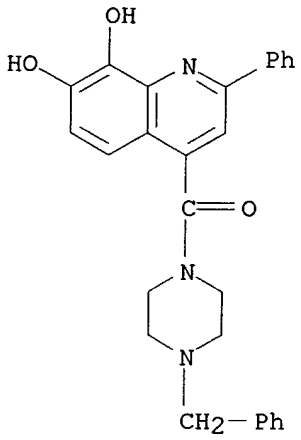
RN 129375-84-4 CAPLUS

CN Piperazine, 1-[(7,8-dihydroxy-2-phenyl-4-quinolinyl)carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 129376-30-3 CAPLUS

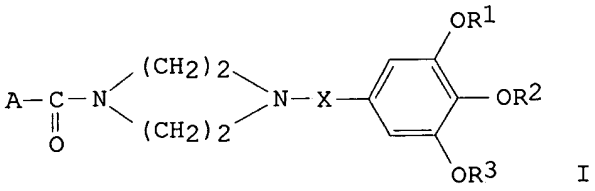
CN Piperazine, 1-[(7,8-dihydroxy-2-phenyl-4-quinolinyl)carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 36 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:625318 CAPLUS
DOCUMENT NUMBER: 111:225318
TITLE: Preparation of 1,4-disubstituted piperazines and their use as antagonists of platelet-activating factor
INVENTOR(S): Sugihara, Hirosada; Itoh, Katsumi; Nishikawa, Kohei
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 318235	A2	19890531	EP 1988-311022	19881122
EP 318235	A3	19910502		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01230570	A2	19890914	JP 1988-295244	19881122
US 4937246	A	19900626	US 1988-274975	19881122

PRIORITY APPLN. INFO.: JP 1987-296887 19871125
GI

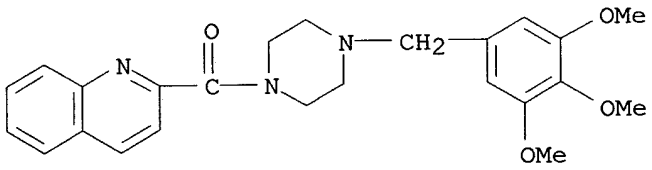


I

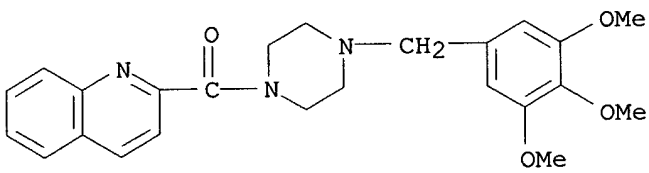
AB The title compds. I [A = (un)substituted Ph, (un)substituted heterocyclyl;
X = CH2, C(:O), C(:S); R1, R2, R3 = lower alkyl] or their salts, a means of their prepn., and compns. contg. them are provided for inhibition of platelet-activating factor (PAF).
1-(3-Methoxy-5-nitro-4-propoxybenzoyl)-
4-(3,4,5-trimethoxybenzyl)piperazine-HCl (II) was prepd. from
1-(3,4,5-trimethoxybenzyl)piperazine dihydrochloride and
3-methoxy-5-nitro-4-propoxy-benzoyl chloride (prepn. given). II (3 .times. 10-5M) completely inhibited PAF-induced aggregation of rabbit platelets; 30 mg II/kg inhibited PAF-induced hypotension in rats.

IT **123947-37-5P 123947-38-6P 123947-46-6P**
123947-47-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inhibitor of platelet-activating factor)

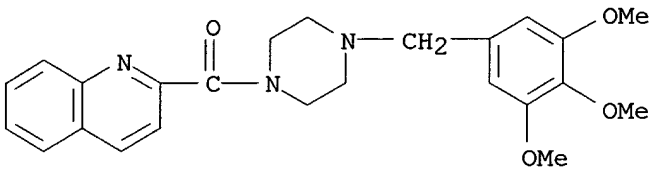
RN 123947-37-5 CAPLUS
CN Piperazine, 1-(2-quinolinylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-
(9CI) (CA INDEX NAME)



RN 123947-38-6 CAPLUS
CN Piperazine, 1-(2-quinolinylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

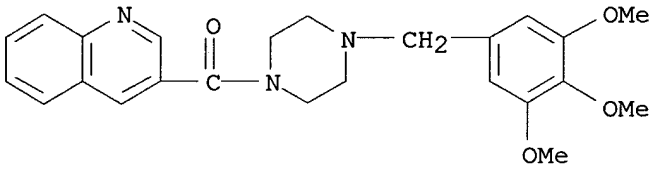


● HCl

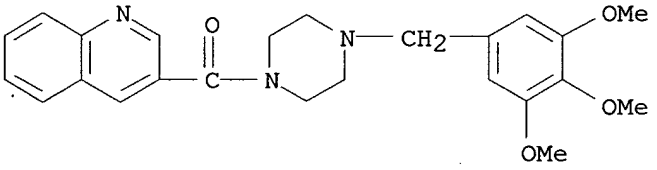


● HCl

RN 123947-46-6 CAPLUS
CN Piperazine, 1-(3-quinolinylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



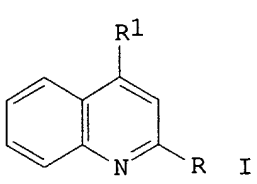
RN 123947-47-7 CAPLUS
CN Piperazine, 1-(3-quinolinylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



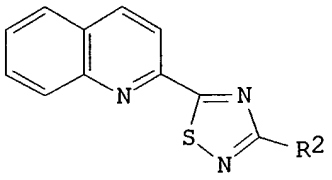
●2 HCl

L5 ANSWER 37 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:173062 CAPLUS
DOCUMENT NUMBER: 110:173062
TITLE: Reactions of thionyl chloride with C-methyl heterocycles. Part 1. The formation of dichloro(2-quinolyl)methanesulfenyl chlorides from 2-methylquinolines
AUTHOR(S): Al-Shaar, Adnan H. M.; Gilmour, David W.; Lythgoe, David J.; McClenaghan, Ian; Ramsden, Christopher A.
CORPORATE SOURCE: Pharm. Res. Cent., Rhone-Poulenc Ltd., Dagenham/Essex,

RM10 7XS, UK
SOURCE: J. Chem. Soc., Perkin Trans. 1 (1988), (11), 3019-23
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:173062
GI



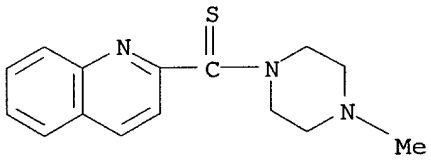
I



II

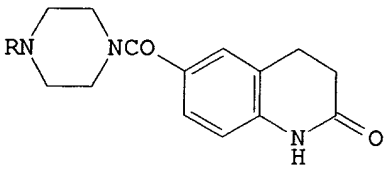
AB Hot SOCl2 converted 2-methylquinolines, e.g., I (R = Me, R1 = H, Cl) into dichloro(2-quinolyl)methanesulfenyl chlorides I (R = CCl2SCl), which, upon treatment with secondary amines gave thioamides I (R = CSR1; R1 = 4-methylpiperazin-1-yl, N-methylanilino, NEt2, morpholino]. Reaction of I (R = CCl2SCl, R1 = H) with amidines gave quinolylthiadiazoles II (R = H, Me, Ph, Et, CH2Ph, CCl3, NMe2, SMe, etc.).

IT **120095-83-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 120095-83-2 CAPLUS
CN Piperazine, 1-methyl-4-(2-quinolinylthioxomethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 38 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:102057 CAPLUS
DOCUMENT NUMBER: 106:102057
TITLE: Studies on positive inotropic agents. II. Synthesis of [(4-substituted 1-piperazinyl)carbonyl]-2(1H)-quinolinone derivatives
AUTHOR(S): Tominaga, Michiaki; Yo, Eiyu; Ogawa, Hidenori; Yamashita, Shuji; Yabuuchi, Youichi; Nakagawa, Kazuyuki
CORPORATE SOURCE: Tokushima Res. Inst., Otsuka Pharm. Co., Ltd.,

SOURCE: Tokushima, 771-01, Japan
Chem. Pharm. Bull. (1986), 34(2), 682-93
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:102057
GI



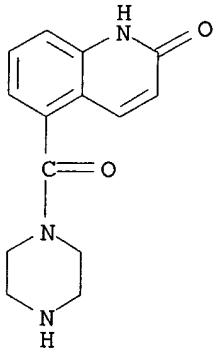
I

AB (1-Piperazinylcarbonyl)quinolinones, e.g., I [R = (CH2)nBz (n = 2,3), Ph, Pr, (CH2)2OPh] were synthesized and examd. for pos. inotropic activity on the canine heart. Among them, I [R = (CH2)nBz (n = 2,3) had potent activity.

IT **83735-61-9P 83748-36-1P 88463-87-0P**
91300-91-3P 91300-96-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and alkylation of)

RN 83735-61-9 CAPLUS

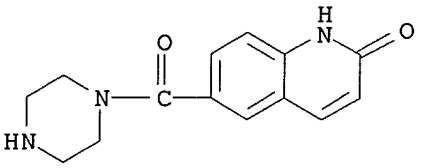
CN Piperazine, 1-[(1,2-dihydro-2-oxo-5-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

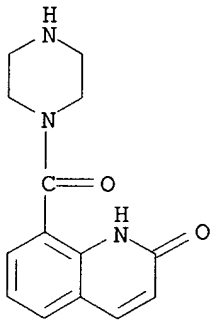
RN 83748-36-1 CAPLUS

CN Piperazine, 1-[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



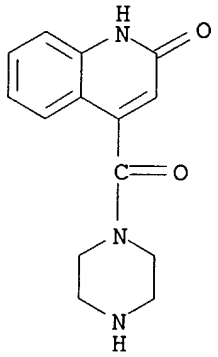
● HCl

RN 88463-87-0 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-8-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



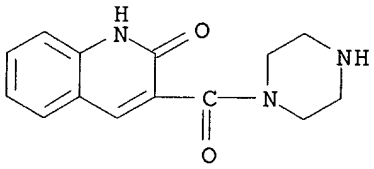
● HCl

RN 91300-91-3 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



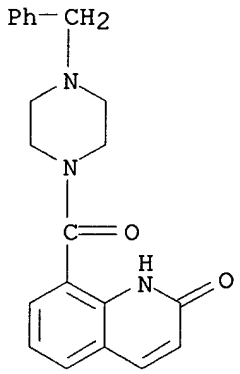
● HCl

RN 91300-96-8 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



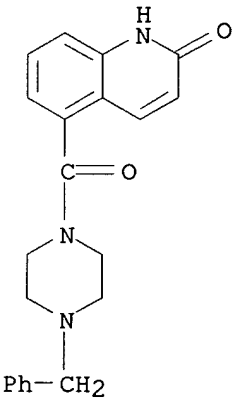
● HCl

IT **83735-58-4P 83735-59-5P 83748-37-2P**
91300-89-9P 91300-90-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and catalytic hydrogenation of)
RN 83735-58-4 CAPLUS
CN Piperazine,
1-[(1,2-dihydro-2-oxo-8-quinolinyl)carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



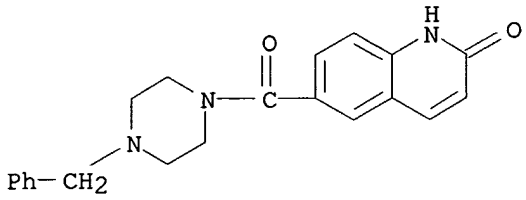
● HCl

RN 83735-59-5 CAPLUS
CN Piperazine,
1-[(1,2-dihydro-2-oxo-5-quinolinyl)carbonyl]-4-(phenylmethyl)-
, monohydrochloride (9CI) (CA INDEX NAME)



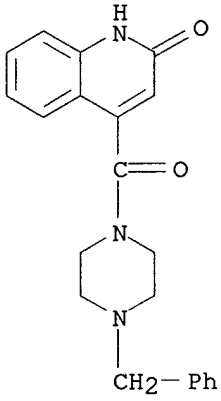
● HCl

RN 83748-37-2 CAPLUS
CN Piperazine,
1-[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]-4-(phenylmethyl)-
, monohydrochloride (9CI) (CA INDEX NAME)



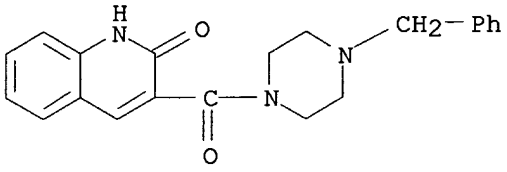
● HCl

RN 91300-89-9 CAPLUS
CN Piperazine,
1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(phenylmethyl)-
, monohydrochloride (9CI) (CA INDEX NAME)



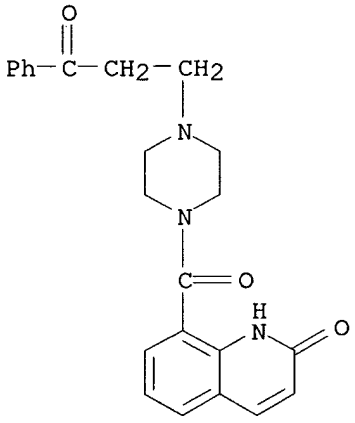
● HCl

RN 91300-90-2 CAPLUS
CN Piperazine,
1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(phenylmethyl)-
, monohydrochloride (9CI) (CA INDEX NAME)

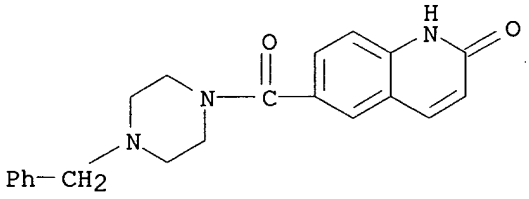


● HCl

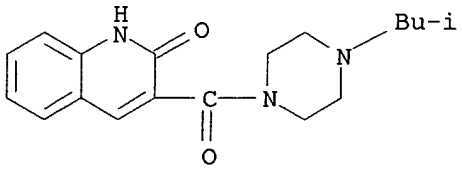
IT **83735-49-3P 106752-36-7P 106752-37-8P 106752-38-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and inotropic activity of)
RN 83735-49-3 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-8-quinolinyl)carbonyl]-4-(3-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



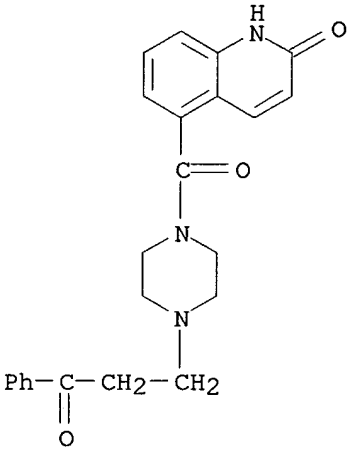
RN 106752-36-7 CAPLUS
CN Piperazine,
1-[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]-4-(phenylmethyl)-
(9CI) (CA INDEX NAME)



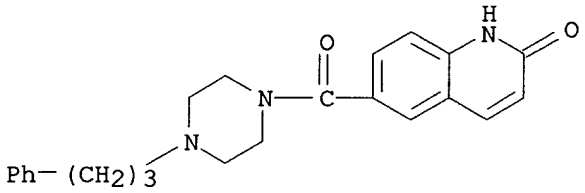
RN 106752-37-8 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 106752-38-9 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-5-quinolinyl)carbonyl]-4-(3-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)

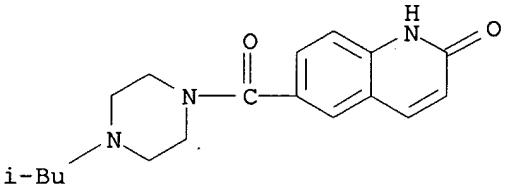


IT **83735-34-6P 83735-36-8P 83735-39-1P**
83735-40-4P 83735-41-5P 83735-48-2P
83748-39-4P 88463-83-6P 91300-92-4P
91300-93-5P 91300-94-6P 91300-97-9P
91300-98-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83735-34-6 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]-4-(3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



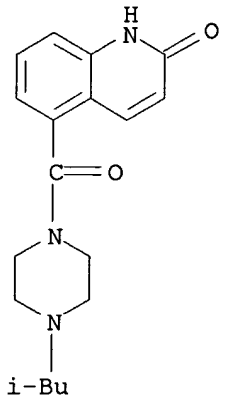
● HCl

RN 83735-36-8 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



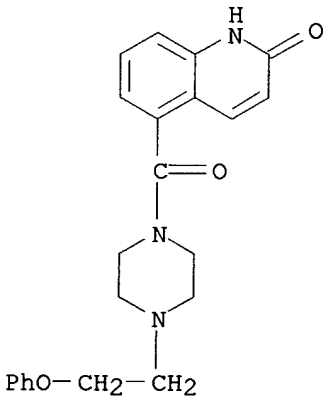
● HCl

RN 83735-39-1 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-5-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



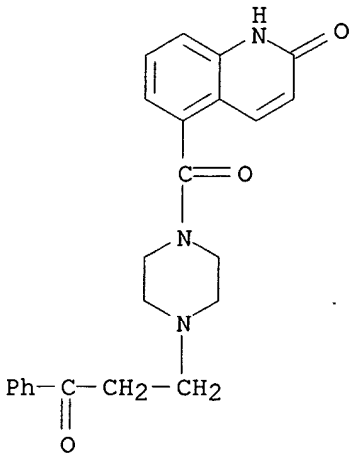
● HCl

RN 83735-40-4 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-5-quinolinyl)carbonyl]-4-(2-phenoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



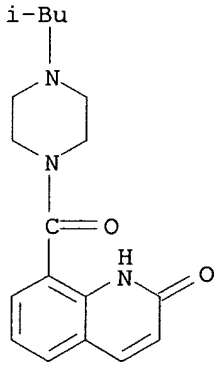
● HCl

RN 83735-41-5 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-5-quinolinyl)carbonyl]-4-(3-oxo-3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



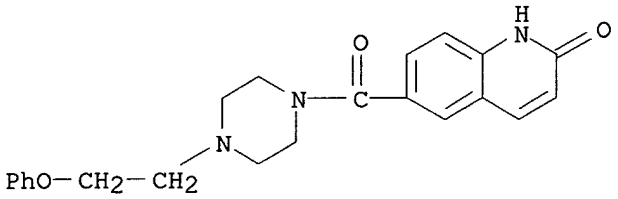
● HCl

RN 83735-48-2 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-8-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



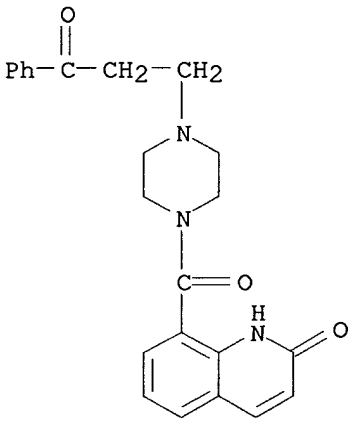
● HCl

RN 83748-39-4 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]-4-(2-phenoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



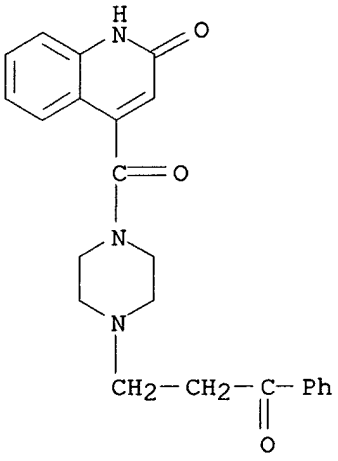
● HCl

RN 88463-83-6 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-8-quinolinyl)carbonyl]-4-(3-oxo-3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



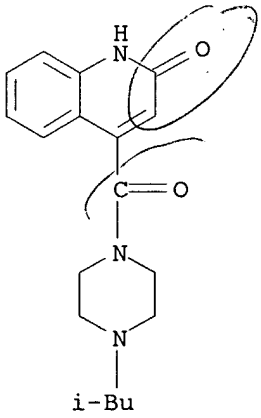
● HCl

RN 91300-92-4 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(3-oxo-3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



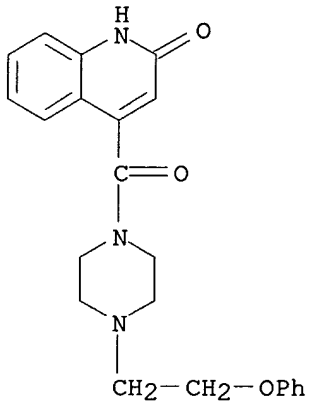
● HCl

RN 91300-93-5 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



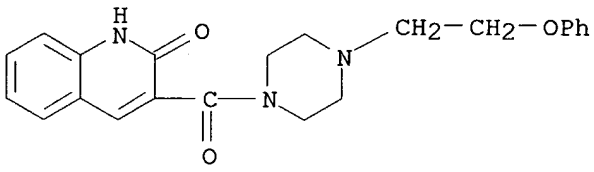
● HCl

RN 91300-94-6 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-4-(2-phenoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



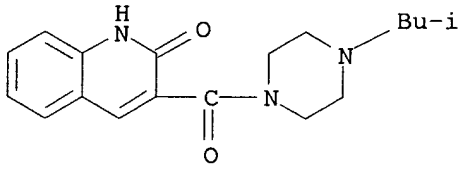
● HCl

RN 91300-97-9 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-phenoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 91300-98-0 CAPLUS
CN Piperazine, 1-[(1,2-dihydro-2-oxo-3-quinolinyl)carbonyl]-4-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



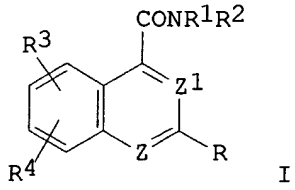
● HCl

L5 ANSWER 39 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:591716 CAPLUS
DOCUMENT NUMBER: 101:191716
TITLE: 4-**Quinolinecarboxamide** derivatives
INVENTOR(S): Dubroeucq, Marie Christine; Le Fur, Gerard; Renault, Christian
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 112776	A2	19840704	EP 1983-402501	19831221
EP 112776	A3	19840912		
EP 112776	B1	19870722		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2538388	A1	19840629	FR 1982-21758	19821224
FR 2538388	B1	19850621		
AT 28401	E	19870815	AT 1983-402501	19831221
AU 8322776	A1	19840628	AU 1983-22776	19831222
AU 575797	B2	19880811		
ZA 8309576	A	19840829	ZA 1983-9576	19831222
HU 33119	O	19841029	HU 1983-4425	19831222
HU 191745	B	19870428		
JP 59219260	A2	19841210	JP 1983-243082	19831222
IL 70528	A1	19870130	IL 1983-70528	19831222
US 4711890	A	19871208	US 1983-564322	19831222
DK 8305964	A	19840625	DK 1983-5964	19831223
NO 8304798	A	19840625	NO 1983-4798	19831223
ES 528364	A1	19850101	ES 1983-528364	19831223
SU 1255050	A3	19860830	SU 1983-3682598	19831223
CA 1225992	A1	19870825	CA 1983-444273	19831223
US 4684652	A	19870804	US 1985-763660	19850808
CA 1228548	A2	19871027	CA 1986-526560	19861230

PRIORITY APPLN. INFO.:
FR 1982-21758 19821224
EP 1983-402501 19831221
US 1983-564322 19831222
CA 1983-444273 19831223

OTHER SOURCE(S): CASREACT 101:191716
GI



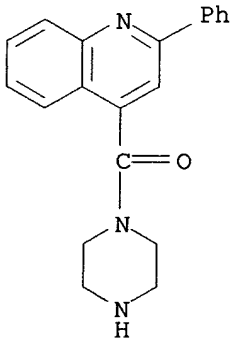
I

AB Amides I [Z and Z1 are N, CH; R = Ph, pyridyl, thienyl, 2-thiazolyl, halo-, alkoxy-, alkyl-, alkylthio-, nitro-, or (trifluoromethyl)phenyl; R1 and R2 are alkyl, Ph, cycloalkyl, phenylalkyl, cycloalkylalkyl, alkenyl, alkynyl, or R2 = 4-piperidinyl, (4-piperidinyl)alkyl, or NR1R2 form a heterocycle; R3 and R4 are H, halo, alkyl, alkoxy, NO2, CF3] were prepd., and they showed tranquilizer activity. 2-Phenyl-4-**quinolinecarboxylic** acid was treated with SOCl2 and Et2NH to give I (Z = N, R = Ph, Z1 = CH, R1 = R2 = Et, R3 = R4 = H).

IT **63591-81-1P 92566-49-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

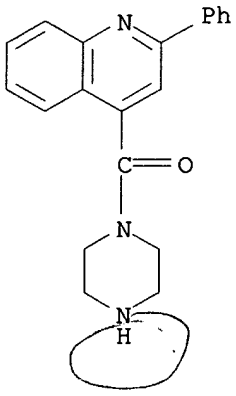
RN 63591-81-1 CAPLUS

CN Piperazine, 1-[(2-phenyl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 92566-49-9 CAPLUS

CN Piperazine, 1-[(2-phenyl-4-quinolinyl)carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L5 ANSWER 40 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:407051 CAPLUS
DOCUMENT NUMBER: 101:7051
TITLE: 2-Substituted 4-amino-6,7-dimethoxyquinolines
INVENTOR(S): Campbell, Simon Fraser; Hardstone, John David
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Corp.
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 100200	A1	19840208	EP 1983-304196	19830720
EP 100200	B1	19870506		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4656174	A	19870407	US 1983-515095	19830719
AT 26978	E	19870515	AT 1983-304196	19830720
FI 8302658	A	19840125	FI 1983-2658	19830721
FI 78296	B	19890331		
FI 78296	C	19890710		
ES 524320	A1	19850416	ES 1983-524320	19830721
PL 139498	B1	19870131	PL 1983-243131	19830721
DK 8303373	A	19840125	DK 1983-3373	19830722
DK 166821	B1	19930719		
NO 8302688	A	19840125	NO 1983-2688	19830722
NO 171594	B	19921228		
NO 171594	C	19930407		
AU 8317222	A1	19840126	AU 1983-17222	19830722
AU 548036	B2	19851121		
JP 59033264	A2	19840223	JP 1983-134244	19830722
JP 02019112	B4	19900427		

HU 31688	O	19840528	HU 1983-2594	19830722
HU 190907	B	19861228		
ZA 8305355	A	19840530	ZA 1983-5355	19830722
DD 211555	A5	19840718	DD 1983-253330	19830722
SU 1251801	A3	19860815	SU 1983-3618703	19830722
CS 247073	B2	19861113	CS 1983-5509	19830722
IL 69311	A1	19870130	IL 1983-69311	19830722
CA 1255670	A1	19890613	CA 1983-433023	19830722
SU 1340589	A3	19870923	SU 1984-3732816	19840426
US 4686228	A	19870811	US 1986-925029	19861030
US 4758568	A	19880719	US 1987-48343	19870511
NO 9003181	A	19840125	NO 1990-3181	19900717
NO 173605	B	19930927		
NO 173605	C	19940105		

PRIORITY APPLN. INFO.:

GB 1982-21457	19820724
US 1983-515095	19830719
EP 1983-304196	19830720
NO 1983-2688	19830722
US 1986-925029	19861030

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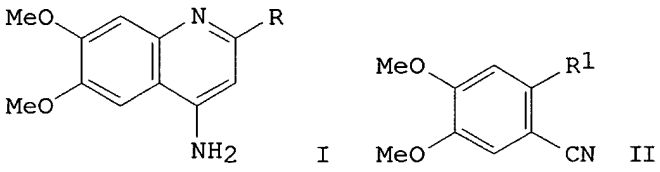
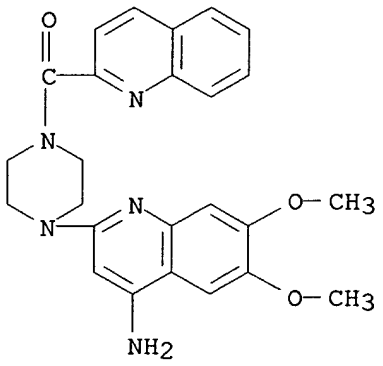


AB Antihypertensive (no data) aminodimethoxyquinolines I (R = tertiary amino) were prepd. Thus the aniline II (R1 = NH2) was treated with MeC(OEt)3 to give II (R1 = N:CMeOEt) which was treated with N-benzylpiperazine to give II [R1 = 1-(4-benzylpiperazino)ethylideneamino, III]. Cyclization of III with ZnCl2 gave I (R = 4-benzylpiperazino) which was hydrogenolyzed to I (R = piperazino). Acylation of I (R = piperazino) with 1,4-benzodioxan-2-carbonyl chloride gave I [R = 4-(1,4-benzodioxan-2-ylcarbonyl)piperazino].

IT **90402-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 90402-04-3 CAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinolinyl)-4-(2-quinolinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

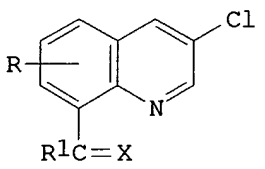
L5 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:34511 CAPLUS
DOCUMENT NUMBER: 98:34511
TITLE: Dichloroquinoline derivatives and their use in herbicidal compositions
INVENTOR(S): Hagen, Helmut; Markert, Juergen; Wuerzer, Bruno
PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 41 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3108873	A1	19820916	DE 1981-3108873	19810309
US 4497651	A	19850205	US 1982-349675	19820217
IL 65052	A1	19850830	IL 1982-65052	19820218
CA 1202026	A1	19860318	CA 1982-396575	19820218
EP 60429	A1	19820922	EP 1982-101534	19820227
EP 60429	B1	19840125		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 5964	E	19840215	AT 1982-101534	19820227
DD 201559	C	19830727	DD 1982-237883	19820304
JP 57165368	A2	19821012	JP 1982-34124	19820305
JP 02016298	B4	19900416		
DK 8200993	A	19820910	DK 1982-993	19820308
DK 159879	B	19901224		
DK 159879	C	19910513		
BR 8201241	A	19830118	BR 1982-1241	19820308
ZA 8201503	A	19830223	ZA 1982-1503	19820308
HU 28279	O	19831228	HU 1982-710	19820308

HU 188783	B	19860528			
CS 227042	P	19840416	CS 1982-1572	19820308
AU 8281214	A1	19820916	AU 1982-81214	19820309
AU 544877	B2	19850620		
US 4632696	A	19861230	US 1984-686747	19841227
PRIORITY APPLN. INFO.:			DE 1981-3108873	19810309
			US 1982-349675	19820217
			EP 1982-101534	19820227

OTHER SOURCE(S): CASREACT 98:34511

GI



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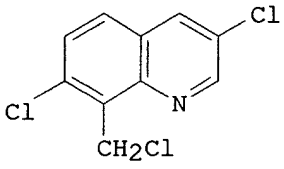


II

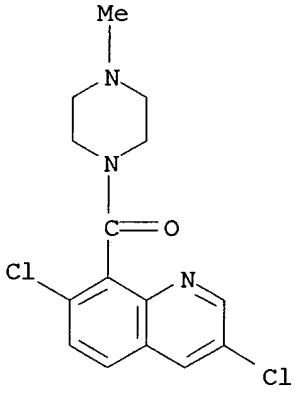
III

AB I [R = 5-, 6-, or 7-Cl, X = H2, O, S, NOH, NAQ [A = bond or CH2, Q = (un)substituted Ph or pyridyl]; R1 = H, halo, cyano, (un)substituted amino, CO2H, OM (M = metal), etc.] were prepd. as herbicides (no data). Thus, radical chlorination of 7-chloro-8-methylquinoline gave II, which, e.g., with Et2NH gave III.

IT **84087-21-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 84087-21-8 CAPLUS

CN Piperazine, 1-[(3,7-dichloro-8-quinolinyl)carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



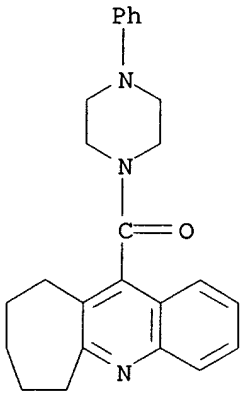
L5 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:26369 CAPLUS
DOCUMENT NUMBER: 96:26369
TITLE: Copper-plating electrolyte
INVENTOR(S): Milushkin, A. S.; Abramochkin, E. S.
PATENT ASSIGNEE(S): Kaliningrad State University, USSR; Kirov Polytechnic Institute
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1981, (31), 140-1.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 857304	A1	19810823	SU 1979-2853366	19791217

AB The porosity of Cu plates was decreased by adding 0.001-0.05 mol substituted 2,3-polymethylenequinoline-4-carboxylic acid amides to a bath contg. CuSO4 180-240, H2SO4 60-80, Na2SO4 10-25, and polyethylenepolyamine 3-5 g/L in H2O. The bath can contain N-phenylpiperazide of 2,3-pentamethylenequinoline-4-carboxylic acid [**36063-64-6**] or N-diethylaminoethylamide of 6-methyl-2,3-tetramethylenequinoline-4-carboxylic acid [80039-84-5].

IT **36063-64-6**
RL: PRP (Properties)
(in electroplating, of copper, porosity decrease in relation to)

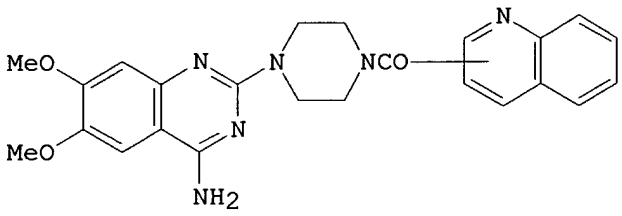
RN 36063-64-6 CAPLUS
CN Piperazine, 1-phenyl-4-[(7,8,9,10-tetrahydro-6H-cyclohepta[b]quinolin-11-yl)carbonyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:146914 CAPLUS
DOCUMENT NUMBER: 92:146914
TITLE: 2-[4-(**Quinolinecarbonyl**)piperazino]-4-amino-6,7-dimethoxyquinazolines
INVENTOR(S): Maruyama, Isamu; Aono, Shunji; Katsube, Junki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54128582	A2	19791005	JP 1978-37603	19780330
JP 62041232	B4	19870902		

GI



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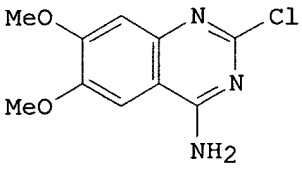

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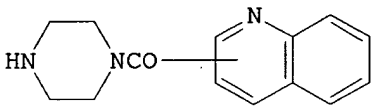
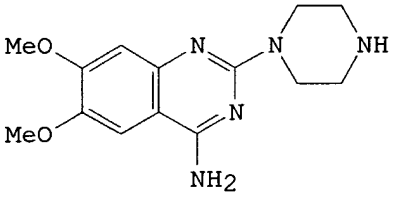
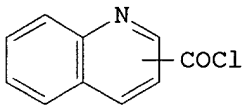


III



IV



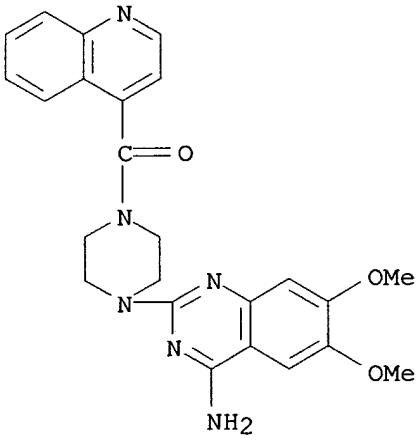
V

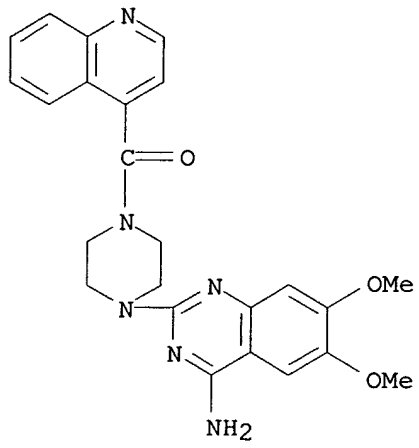
AB Antihypertensive (no data) title compds. I (2-, 3-, and 4-substituted) were prepd. by reaction of II with III or by reaction of IV with V. Thus, refluxing II with III (4-substituted) in BuOH 10 h gave I (4-substituted) (yield not given).

IT **73242-39-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

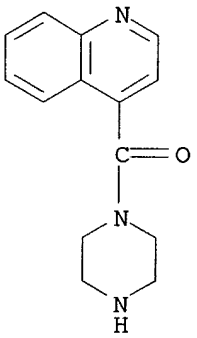
RN 73242-39-4 CAPLUS

CN Piperazine, 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

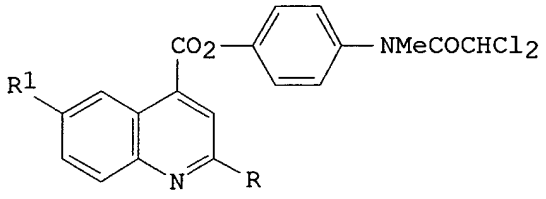




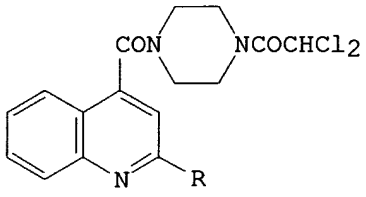
IT **73242-38-3**
RL: RCT (Reactant)
(reaction with chloroquinazolines)
RN 73242-38-3 CAPLUS
CN Piperazine, 1-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 44 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:468114 CAPLUS
DOCUMENT NUMBER: 87:68114
TITLE: Synthesis of some **quinoline** derivatives of potential antiamebic activity
AUTHOR(S): Ibrahim, El-Sebai A.; Chaaban, I.; El-Khawass, S. M.
CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, Egypt
SOURCE: Pharmazie (1977), 32(3), 155-6
CODEN: PHARAT
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



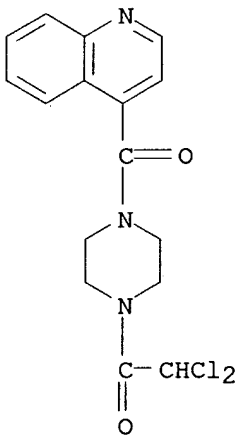
II

AB Esters I (R, R1 given; H, H; Me, H; Cl, H; Ph, H; H, Cl) were prepd. in 70-80% yield by reaction of diloxanide with the corresponding cinchoninic acid chloride. Amides II (R = H, CH2COCHCl2, 4-dichloroacetyl-1-piperazinyl, Ph) were prepd. by reaction of a cinchoninate ester with piperazine followed by reaction of the piperazine amide with Cl2CHCOCl.

IT **63591-75-3P 63591-76-4P 63591-77-5P**
63591-78-6P 63591-79-7P 63591-80-0P
63591-81-1P 63591-84-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

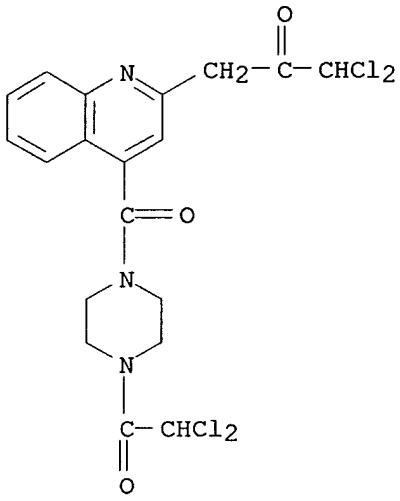
RN 63591-75-3 CAPLUS

CN Piperazine, 1-(dichloroacetyl)-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

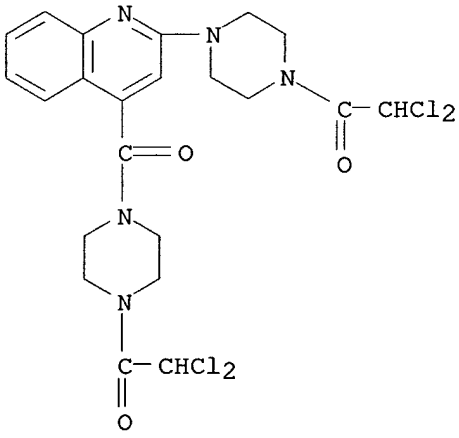


RN 63591-76-4 CAPLUS

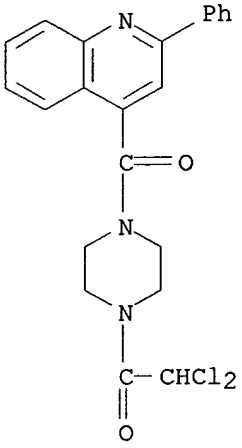
CN Piperazine, 1-(dichloroacetyl)-4-[[2-(3,3-dichloro-2-oxopropyl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)



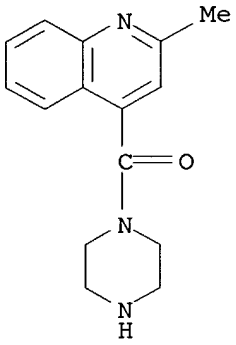
RN 63591-77-5 CAPLUS
CN Piperazine, 1-(dichloroacetyl)-4-[4-[[4-(dichloroacetyl)-1-piperazinyl]carbonyl]-2-quinolinyl]- (9CI) (CA INDEX NAME)



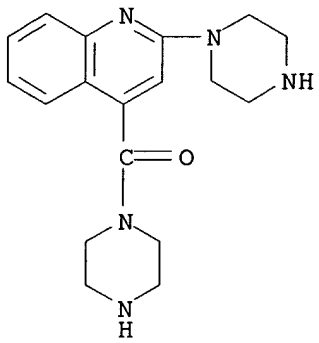
RN 63591-78-6 CAPLUS
CN Piperazine, 1-(dichloroacetyl)-4-[(2-phenyl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



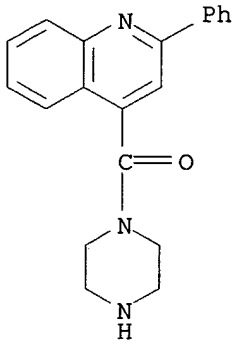
RN 63591-79-7 CAPLUS
CN Piperazine, 1-[(2-methyl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



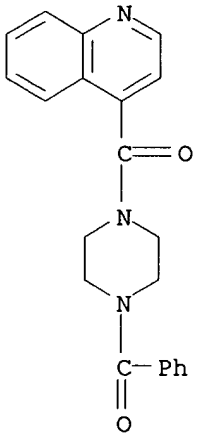
RN 63591-80-0 CAPLUS
CN Piperazine, 1-[[2-(1-piperazinyl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX
NAME)



RN 63591-81-1 CAPLUS
CN Piperazine, 1-[(2-phenyl-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 63591-84-4 CAPLUS
CN Piperazine, 1-benzoyl-4-(4-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



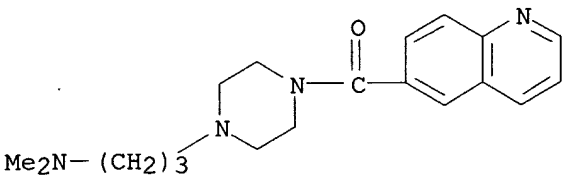
L5 ANSWER 45 OF 45 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1968:59611 CAPLUS
DOCUMENT NUMBER: 68:59611
TITLE: 1-Acyl-4-aminoalkylpiperazines
INVENTOR(S): Tomcufcik, Andrew S.; Hoffman, Arlene M.
PATENT ASSIGNEE(S): American Cyanamid Co.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3331830		19670718	US	19630404

GI For diagram(s), see printed CA Issue.
AB I are active in inhibiting the growth of protozoa of the genus Trypanosoma which causes sleeping sickness disease in man and animals. They are prepd. by treating an acyl halide with a 1-substituted methylaminopropylpiperazine or an N-acylpiperazine with an .omega.-substituted methylaminopropylhalide. Thus, to a soln. of 20.7 g. 1-(3-dimethylaminopropyl)piperazine.cntdot.3HBrin 150 ml. N NaOH, 11.6 ml. BzCl and 270 ml. N NaOH were added dropwise at 0-5.degree., stirred 1.5 hrs. to give 66% 1-benzoyl-4-(3-dimethylaminopropyl)-piperazine.cntdot.2HCl, m. 274-8.degree. (decompn.) (MeOH). Similary prepd. were I (R, salt, and m.p. given): 3,4,5-(MeO)3C6H2, 2HCl, 263-5.degree.; PhCH2O, 2HCl, 218-19.degree.; 2,4-Cl2C6H3, 2HCl, 265-70.degree.; 2-furyl, 2HCl, 268.degree.; 2-phenyl-2H-1,2,3-triazol-4-yl, dimaleate, 176-7.degree.; OC8H17, 2HCl, 258-9.degree.; CCl3, 2HCl, 240-5.degree.; 2,4-Cl2C6H3OCH2, 2HCl, 242-3.degree.; 4-IC6H4, dimaleate, 170-1.degree.; 4-PhN2C6H4, dimaleate, 188-90.degree.; 4-biphenyl, dimaleate, 194-6.degree.; 6-**quinoline**, dimaleate, 167-9.degree.; 2-phenylcyclopropyl, 2HCl, 225-6.degree.; 2H-2-(2,4-dinitrophenyl)-1,2,3-triiazol-4-yl, -, 89-92.degree.; PhCH:CH, dimaleate, 179-80.degree.; 3-CF3C6H4, 2HCl, 283.degree.; 5-nitro-2-thienyl, 2HCl, 282-3.degree.; 2,3,6-Cl3C6H2, 2HCl, 270.degree.; 5-nitro-2-furyl, 2HCl, 250-5.degree.; 9,10-anthraquinon-2-yl, 2HCl, 296.degree., and benzofuroxan-5-yl, dimaleate, m. 198-200.degree..
IT **17699-04-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 17699-04-6 CAPLUS
CN Piperazine, 1-[3-(dimethylamino)propyl]-4-(6-quinolylcarbonyl)-, maleate (1:2) (8CI) (CA INDEX NAME)

CM 1

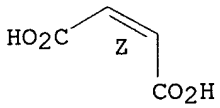
CRN 47369-54-0
CMF C19 H26 N4 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	200.72	341.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-27.88	-27.88

STN INTERNATIONAL LOGOFF AT 15:16:14 ON 25 SEP 2002